

10/561,071

=> d his

(FILE 'HOME' ENTERED AT 12:30:08 ON 26 AUG 2008)

FILE 'REGISTRY' ENTERED AT 12:30:16 ON 26 AUG 2008

L1           STRUCTURE UPLOADED  
L2           43429 S C6-C6N/EA  
L3           41 S C3-C6-C6N/EA  
L4           81 S C4-C6-C6N/EA  
L5           713 S C5-C6-C6N/EA  
L6           16282 S C6-C6-C6N/EA  
L7           82 S C6-C6N-C7/EA  
L8           17199 S L3 OR L4 OR L5 OR L6 OR L7  
L9           909 S L8 AND SPIRO  
L10          44338 S L9 OR L2  
L11          50 S L1   SUB=L10 SAM  
L12          13163 S L1   SUB=L10 FUL

FILE 'CAPLUS' ENTERED AT 12:41:55 ON 26 AUG 2008

FILE 'REGISTRY' ENTERED AT 12:43:25 ON 26 AUG 2008

FILE 'CAPLUS' ENTERED AT 12:45:01 ON 26 AUG 2008

FILE 'REGISTRY' ENTERED AT 12:53:09 ON 26 AUG 2008

FILE 'CAPLUS' ENTERED AT 12:57:40 ON 26 AUG 2008

FILE 'REGISTRY' ENTERED AT 12:58:59 ON 26 AUG 2008

L13          8 S L12 AND L9  
L14               STRUCTURE UPLOADED  
L15          514 S L14   SUB=L12 FUL

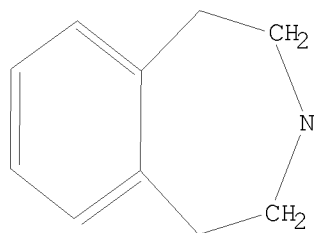
FILE 'CAPLUS' ENTERED AT 13:02:26 ON 26 AUG 2008

L16          50 S L15  
L17          37 S L16 NOT (2008/SO OR 2007/SO OR 2006/SO OR 2005/SO OR 2004/SO)  
L18          6 S L13  
L19          40 S L17 OR L18

=> d l1

L1 HAS NO ANSWERS

L1               STR



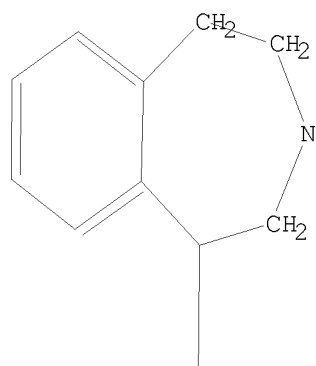
Structure attributes must be viewed using STN Express query preparation.

10/561,071

=> d 114

L14 HAS NO ANSWERS

L14 STR



Structure attributes must be viewed using STN Express query preparation.

=> d ibib abs hitstr total

L19 ANSWER 1 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:831458 CAPLUS

DOCUMENT NUMBER: 149:153369

TITLE: Synthesis of 3-aminotetrahydrofuran-3-carboxylic acid derivatives for use as medicaments

INVENTOR(S): Han, Zhengxu; Gerlach, Kai; Krishnamurthy, Dhileepkumar; Matthes, Burkhard; Nar, Herbert; Priepke, Henning; Schuler-Metz, Annette; Senanayake, Chris H.; Sieger, Peter; Tang, Wenjun; Wienen, Wolfgang; Xu, Yibo; Yee, Nathan K.

PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Germany; Boehringer Ingelheim Pharma Gmbh &amp; Co. K.-G.; Pfau, Roland

SOURCE: PCT Int. Appl., 178pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

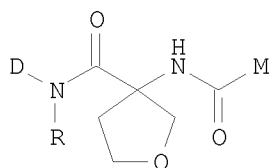
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008080891	A2	20080710	WO 2007-EP64406	20071221
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.:

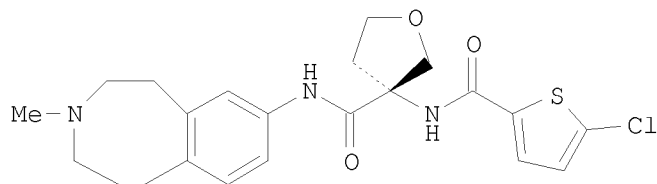
US 2006-882937P

P 20061231

GI



I



II

AB The invention relates to the manufacture of 3-aminotetrahydrofuran-3-carboxylic acid amides I [D is substituted benzo[d]azepin-7-yl, 6/8/9-aza analogs, or 4-(pyrrolidinocarbonyl)phenyl residues; M is (un)substituted 2-thienyl; R is H or alkyl], including enantiomers, diastereomers, and physiol.-acceptable salts. Thus, aminotetrahydrofurancarboxylic acid benzo[d]azepin-7-ylamide II was prepared via sequential amidation reactions.

IT 1037302-00-3P

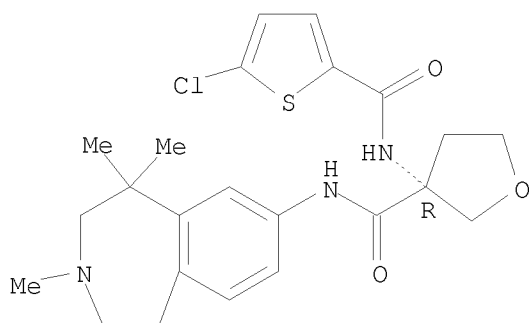
RL: PAC (Pharmacological activity); PRPH (Prophetic); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminotetrahydrofurancarboxylic acid derivs. for use as medicaments)

RN 1037302-00-3 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



IT 1037301-25-9P

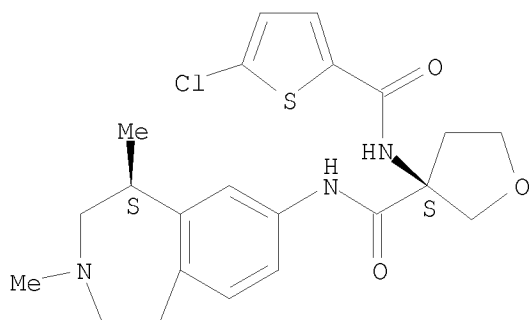
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of aminotetrahydrofurancarboxylic acid derivs. for use as medicaments)

RN 1037301-25-9 CAPLUS

CN 3-Furancarboxamide, 3-[[[(5-chloro-2-thienyl)carbonyl]amino]tetrahydro-N-[(5S)-2,3,4,5-tetrahydro-3,5-dimethyl-1H-3-benzazepin-7-yl]-, (3S)- (CA INDEX NAME)

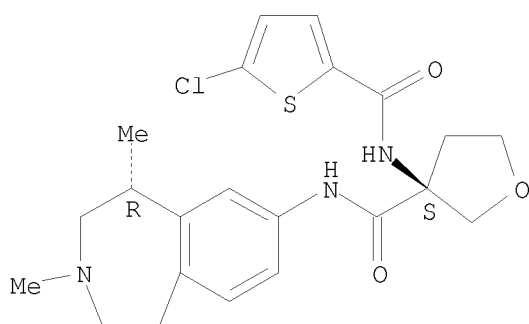
Absolute stereochemistry.



10/561,071

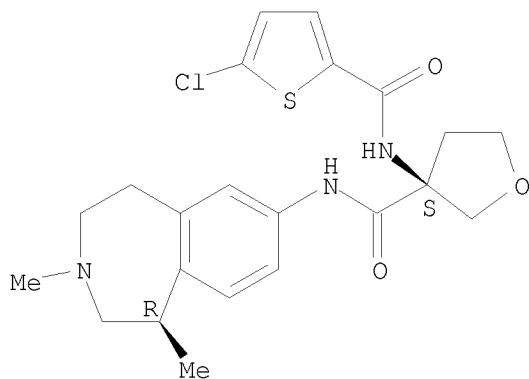
IT 1037301-39-5P 1037301-40-8P 1037301-41-9P  
1037301-45-3P 1037301-46-4P 1037301-99-7P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)  
(preparation of aminotetrahydrofurancarboxylic acid derivs. for use as  
medicaments)  
RN 1037301-39-5 CAPLUS  
CN 3-Furancarboxamide, 3-[[[(5-chloro-2-thienyl)carbonyl]amino]tetrahydro-N-  
[(5R)-2,3,4,5-tetrahydro-3,5-dimethyl-1H-3-benzazepin-7-yl]-, (3S)- (CA  
INDEX NAME)

Absolute stereochemistry.



RN 1037301-40-8 CAPLUS  
CN 3-Furancarboxamide, 3-[[[(5-chloro-2-thienyl)carbonyl]amino]tetrahydro-N-  
[(1R)-2,3,4,5-tetrahydro-1,3-dimethyl-1H-3-benzazepin-7-yl]-, (3S)- (CA  
INDEX NAME)

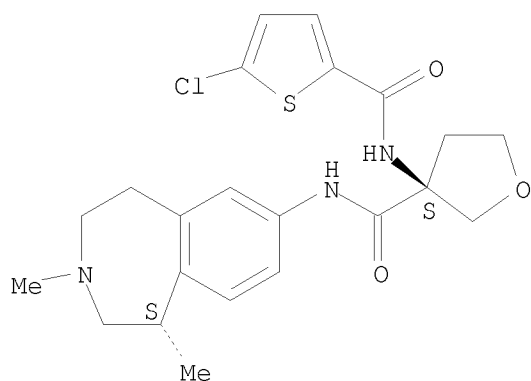
Absolute stereochemistry.



RN 1037301-41-9 CAPLUS  
CN 3-Furancarboxamide, 3-[[[(5-chloro-2-thienyl)carbonyl]amino]tetrahydro-N-  
[(1S)-2,3,4,5-tetrahydro-1,3-dimethyl-1H-3-benzazepin-7-yl]-, (3S)- (CA  
INDEX NAME)

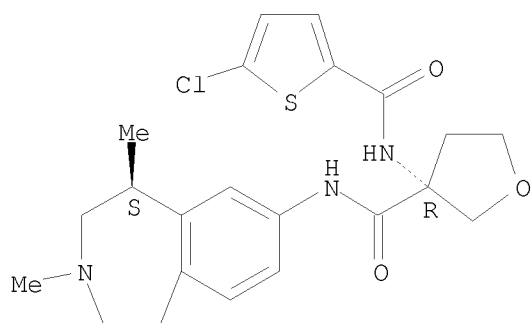
Absolute stereochemistry.

10/561,071



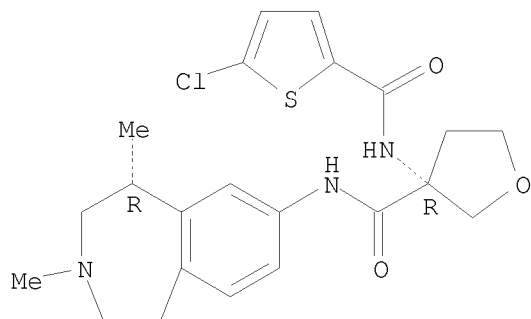
RN 1037301-45-3 CAPLUS  
CN 3-Furancarboxamide, 3-[[ (5-chloro-2-thienyl)carbonyl]amino]tetrahydro-N-  
[(5S)-2,3,4,5-tetrahydro-3,5-dimethyl-1H-3-benzazepin-7-yl]-, (3R)- (CA  
INDEX NAME)

Absolute stereochemistry.



RN 1037301-46-4 CAPLUS  
CN 3-Furancarboxamide, 3-[[ (5-chloro-2-thienyl)carbonyl]amino]tetrahydro-N-  
[(5R)-2,3,4,5-tetrahydro-3,5-dimethyl-1H-3-benzazepin-7-yl]-, (3R)- (CA  
INDEX NAME)

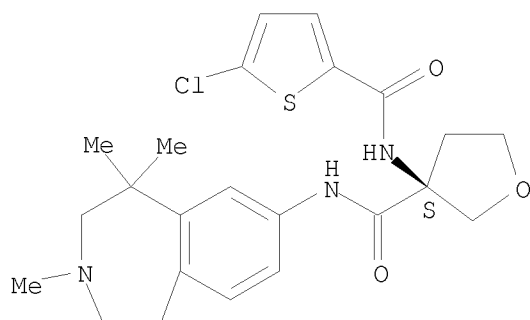
Absolute stereochemistry.



RN 1037301-99-7 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

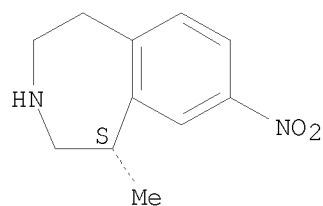
10/561,071

Absolute stereochemistry.

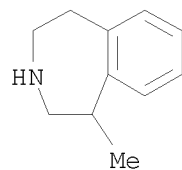


IT 1037301-31-7P  
RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of aminotetrahydrofuran carboxylic acid derivs. for use as medicaments)  
RN 1037301-31-7 CAPLUS  
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-nitro-, (1S)- (CA INDEX NAME)

Absolute stereochemistry.



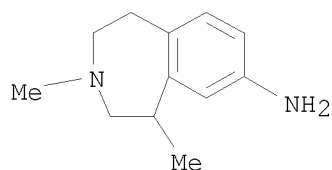
IT 23266-24-2P 919099-24-4P 919099-25-5P  
1037301-18-0P 1037301-19-1P 1037301-29-3P  
1037301-32-8P 1037301-33-9P 1037301-43-1P  
1037301-44-2P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of aminotetrahydrofuran carboxylic acid derivs. for use as medicaments)  
RN 23266-24-2 CAPLUS  
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



RN 919099-24-4 CAPLUS

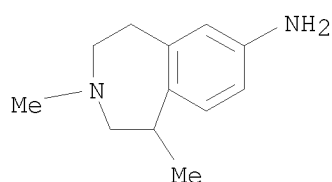
10/561,071

CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-3,5-dimethyl- (CA INDEX NAME)



RN 919099-25-5 CAPLUS

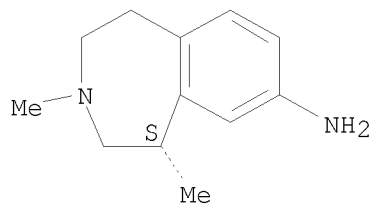
CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-1,3-dimethyl- (CA INDEX NAME)



RN 1037301-18-0 CAPLUS

CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-3,5-dimethyl-, (5S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 1037301-19-1 CAPLUS

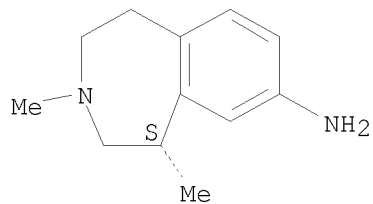
CN INDEX NAME NOT YET ASSIGNED

CM 1

CRN 1037301-18-0

CMF C12 H18 N2

Absolute stereochemistry.





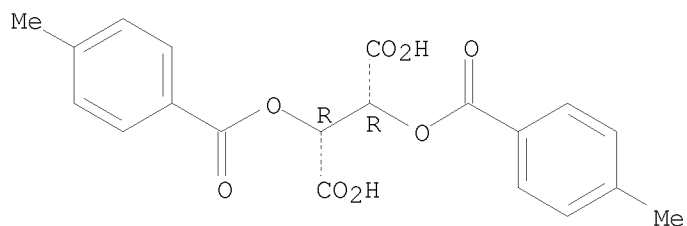
10/561,071

CM 2

CRN 32634-66-5

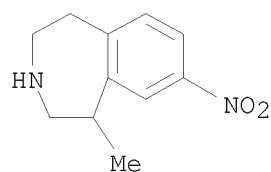
CMF C20 H18 O8

Absolute stereochemistry. Rotation (-).



RN 1037301-29-3 CAPLUS

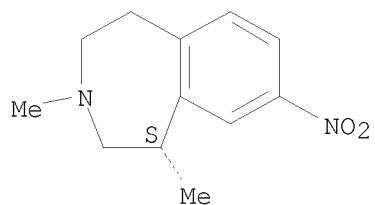
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-nitro- (CA INDEX NAME)



RN 1037301-32-8 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1,3-dimethyl-8-nitro-, (1S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 1037301-33-9 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

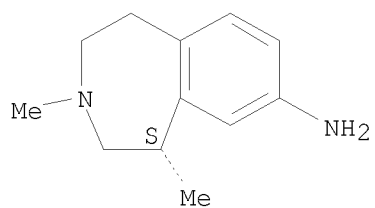
CM 1

CRN 1037301-18-0

CMF C12 H18 N2

Absolute stereochemistry.

10/561,071

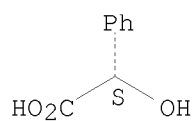


CM 2

CRN 17199-29-0

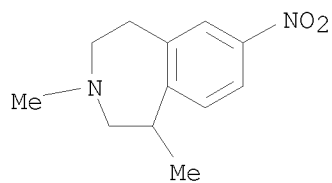
CMF C8 H8 O3

Absolute stereochemistry. Rotation (+).



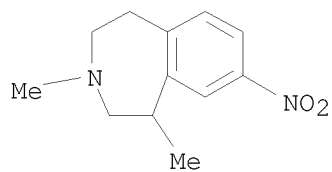
RN 1037301-43-1 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1,3-dimethyl-7-nitro- (CA INDEX NAME)



RN 1037301-44-2 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1,3-dimethyl-8-nitro- (CA INDEX NAME)



L19 ANSWER 2 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:703346 CAPLUS

DOCUMENT NUMBER: 149:32211

TITLE: Processes for preparing (R)-8-chloro-1-methyl-2,3,4,5-tetrahydro-1h-3-benzazepine intermediates toward serotonin-2C (5-HT<sub>2C</sub>) receptor agonists

INVENTOR(S): Gharbaoui, Tawfik; Tandel, Sagun K.; Ma, You-An; Carlos, Marlon; Fritch, John Robert

PATENT ASSIGNEE(S): Arena Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 40pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2008070111	A2	20080612	WO 2007-US24900	20071204
WO 2008070111	A3	20080807		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			

PRIORITY APPLN. INFO.: US 2006-873036P P 20061205

OTHER SOURCE(S): CASREACT 149:32211; MARPAT 149:32211

AB Processes for the preparation of (R)-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepines and their intermediates is presented. Compds. of the present invention are useful as serotonin-2C (5-HT<sub>2C</sub>) receptor agonists for the treatment of obesity.

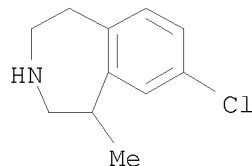
IT 616201-80-0P 1030624-49-7P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(processes for preparing (R)-8-chloro-1-methyl-2,3,4,5-tetrahydro-1h-3-benzazepine intermediates toward serotonin-2C (5-HT<sub>2C</sub>) receptor agonists)

RN 616201-80-0 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

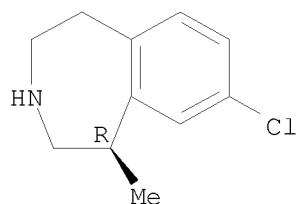


RN 1030624-49-7 CAPLUS

10/561,071

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, hydrate (2:1),  
(1R)- (CA INDEX NAME)

Absolute stereochemistry.



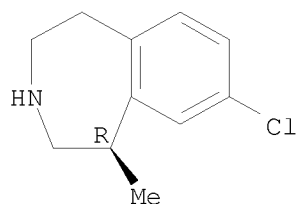
● 1/2 H<sub>2</sub>O

IT 616202-92-7P 824430-78-6P 846589-98-8P,  
(R)-8-Chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine hydrochloride  
856681-05-5P 1030624-46-4P  
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP  
(Preparation)  
(processes for preparing (R)-8-chloro-1-methyl-2,3,4,5-tetrahydro-1h-3-  
benzazepine intermediates toward serotonin-2C (5-HT<sub>2</sub>C) receptor  
agonists)

RN 616202-92-7 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)- (CA INDEX  
NAME)

Absolute stereochemistry.



RN 824430-78-6 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)-,  
(2R,3R)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

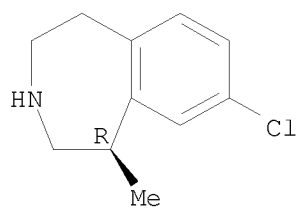
CM 1

CRN 616202-92-7

CMF C11 H14 Cl N

Absolute stereochemistry.

10/561,071

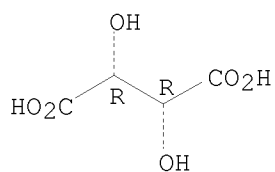


CM 2

CRN 87-69-4

CMF C4 H6 O6

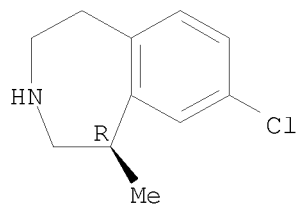
Absolute stereochemistry.



RN 846589-98-8 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, hydrochloride  
(1:1), (1R)- (CA INDEX NAME)

Absolute stereochemistry.



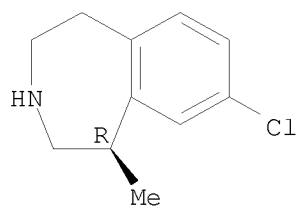
● HCl

RN 856681-05-5 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, hydrochloride,  
hydrate (2:2:1), (1R)- (CA INDEX NAME)

Absolute stereochemistry.

10/561,071



● HCl

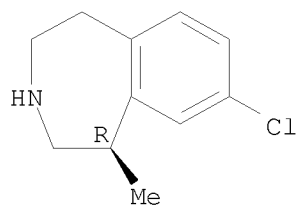
● 1/2 H<sub>2</sub>O

RN 1030624-46-4 CAPLUS  
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)-,  
(2R,3R)-2,3-dihydroxybutanedioate (1:?) (CA INDEX NAME)

CM 1

CRN 616202-92-7  
CMF C11 H14 Cl N

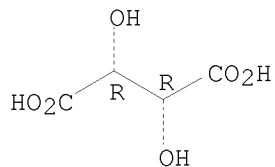
Absolute stereochemistry.



CM 2

CRN 87-69-4  
CMF C4 H6 O6

Absolute stereochemistry.



L19 ANSWER 3 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:529900 CAPLUS

DOCUMENT NUMBER: 148:538288

TITLE: Preparation of fused bicyclic derivatives of  
2,4-diaminopyrimidine as ALK and c-Met kinase  
inhibitorsINVENTOR(S): Ahmed, Gulzar; Bohnstedt, Adolph; Breslin, Henry  
Joseph; Burke, Jason; Curry, Matthew A.; Diebold,  
James L.; Dorsey, Bruce; Dugan, Benjamin J.; Feng,  
Daming; Gingrich, Diane E.; Guo, Tao; Ho, Koc-Kan;  
Learn, Keith S.; Lisko, Joseph G.; Liu, Rong-Qiang;  
Mesaros, Eugen F.; Milkiewicz, Karen; Ott, Gregory R.;  
Parrish, Jonathan; Therooff, Jay P.; Thieu, Tho V.;  
Tripathy, Rabindranath; Underiner, Theodore L.;  
Wagner, Jason C.; Weinberg, Linda; Wells, Gregory J.;  
You, Ming; Zificsak, Craig A.PATENT ASSIGNEE(S): Cephalon, Inc., USA; Pharmacopeia Drug Discovery, Inc.  
SOURCE: PCT Int. Appl., 1297pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008051547	A1	20080502	WO 2007-US22496	20071023
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: US 2006-853562P P 20061023

OTHER SOURCE(S): MARPAT 148:538288

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I and II [R1 = H, halo, NO<sub>2</sub>, OH and derivs., aryl, alkyl, etc.; R2 = (un)substituted alk(en/yn)yl, (hetero)aryl, R3-R5 = independently H, CO<sub>2</sub>H and derivs., NH<sub>2</sub> and derivs., OCHF<sub>2</sub>, etc.; A1-A5 = independently (CH<sub>2</sub>)<sub>1-2</sub> and derivs., CO, NH and derivs., S, SO, SO<sub>2</sub>, O, with provisos; with the exception of specified compds.; and their pharmaceutically acceptable salts] were prepared as ALK and c-Met kinase inhibitors for treating proliferative disorders. Thus, nitration of 1,3,4,5-tetrahydrobenzo[b]azepin-2-one with HNO<sub>3</sub>/H<sub>2</sub>SO<sub>4</sub>, alkylation with Me iodide, reduction of the nitro intermediate and amination of

10/561,071

2-[(2,5-dichloropyrimidin-4-yl)amino]-N-methylbenzamide gave benzazepinylaminopyrimidine III. III inhibited ALK and C-Met kinases with  $IC_{50} < 0.1 \mu M$ .

IT 1022970-66-6P

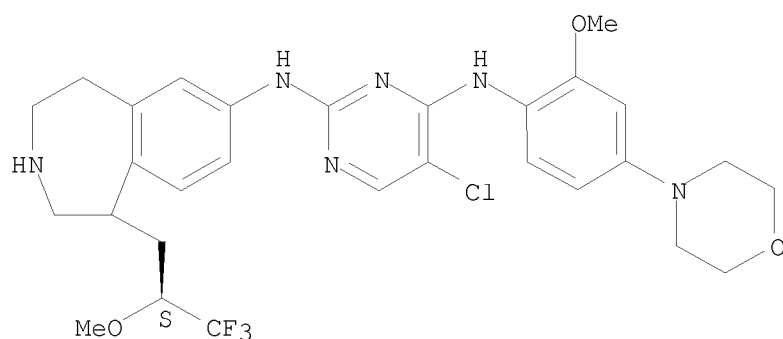
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of fused bicyclic derivs. of 2,4-diaminopyrimidine as ALK and c-Met kinase inhibitors)

RN 1022970-66-6 CAPLUS

CN 2,4-Pyrimidinediamine, 5-chloro-N4-[2-methoxy-4-(4-morpholinyl)phenyl]-N2-[2,3,4,5-tetrahydro-1-[(2S)-3,3,3-trifluoro-2-methoxypropyl]-1H-3-benzazepin-7-yl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



L19 ANSWER 4 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:526837 CAPLUS

DOCUMENT NUMBER: 148:509943

TITLE: Combination therapy for diabetes, hypertension, migraine, epilepsy, sleep apnea, depression, impulse control disorders or alcoholism

INVENTOR(S): Tam, Peter Y.; Wilson, Leland F.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 19pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20080103179	A1	20080501	US 2007-764116	20070615
PRIORITY APPLN. INFO.:			US 2006-854756P	P 20061027

AB The present invention features a novel therapy for treating diabetes, hypertension, migraine, epilepsy, sleep apnea, depression, impulse control disorders or alc. addiction which involves treating a subject with a sympathomimetic agent (e.g., phentermine or a phentermine-like drug) in combination with an anticonvulsant sulfamate compound (e.g., topiramate) or an anticonvulsive sulfonylurea compound (e.g. zonisamide).

IT 846589-98-8, Lorcaserin hydrochloride

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

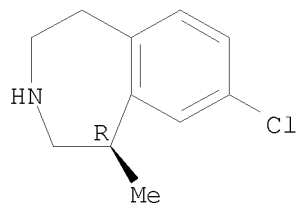
(Biological study); USES (Uses)

(combination therapy for diabetes, hypertension, migraine, epilepsy, sleep apnea, depression, impulse control disorders or alcoholism)

RN 846589-98-8 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, hydrochloride (1:1), (1R)- (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L19 ANSWER 5 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1204827 CAPLUS

DOCUMENT NUMBER: 147:486344

TITLE: Processes for preparation of 8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine and intermediates

INVENTOR(S): Weigl, Ulrich; Porstmann, Frank; Straessler, Christoph; Ulmer, Lars; Koetz, Ulf

PATENT ASSIGNEE(S): Arena Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 35pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007120517	A2	20071025	WO 2007-US8170	20070402
WO 2007120517	A3	20080619		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			

PRIORITY APPLN. INFO.: US 2006-789191P P 20060403

OTHER SOURCE(S): CASREACT 147:486344

AB The present invention provides a process for the preparation of 8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine, salts, hydrates, and crystal forms thereof. For example, 2-(4-chlorophenyl)ethanol was brominated with phosphorous tribromide, followed by addition of 1-amino-2-propanol and reaction with thionyl chloride to give 4-chloro-N-(2-chloropropyl)benzeneethanamine hydrochloride. The intermediate obtained in the previous step was reacted with aluminum chloride in 1,2-dichlorobenzene, followed by optical resolution with L-tartaric acid to give (R)-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine hemitartrate. The compds. are useful serotonin (5-HT) receptor agonists for the treatment of central nervous system disorders, such as obesity.

IT 847063-12-1P

RL: IMF (Industrial manufacture); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine and intermediates)

RN 847063-12-1 CAPLUS

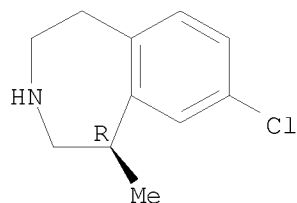
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)-, (2R,3R)-2,3-dihydroxybutanedioate (2:1) (CA INDEX NAME)

CM 1

10/561,071

CRN 616202-92-7  
CMF C11 H14 Cl N

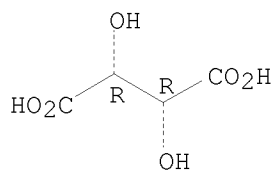
Absolute stereochemistry.



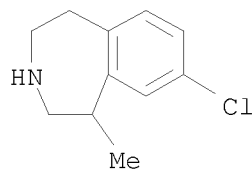
CM 2

CRN 87-69-4  
CMF C4 H6 O6

Absolute stereochemistry.



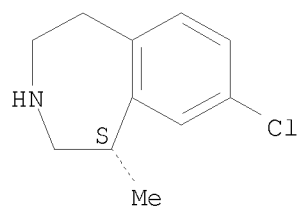
IT 616201-80-0P  
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of 8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine and intermediates)  
RN 616201-80-0 CAPLUS  
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



IT 616202-81-4P 616202-92-7P 846589-98-8P  
856681-05-5P  
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP  
(Preparation)  
(preparation of 8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine and intermediates)  
RN 616202-81-4 CAPLUS  
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1S)- (CA INDEX NAME)

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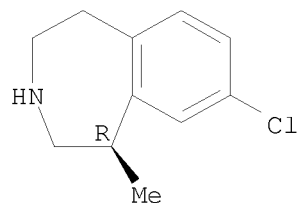
Absolute stereochemistry.



RN 616202-92-7 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)- (CA INDEX NAME)

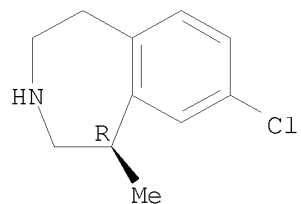
Absolute stereochemistry.



RN 846589-98-8 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, hydrochloride (1:1), (1R)- (CA INDEX NAME)

Absolute stereochemistry.



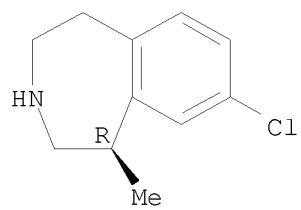
● HCl

RN 856681-05-5 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, hydrochloride, hydrate (2:2:1), (1R)- (CA INDEX NAME)

Absolute stereochemistry.

10/561,071



● HCl

● 1/2 H<sub>2</sub>O

L19 ANSWER 6 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1176022 CAPLUS

DOCUMENT NUMBER: 147:469249

TITLE: Benzazepinyloxyacetic acid derivatives as PPAR-delta agonists used for the increase of HDL-C, lower LDL-C and lower cholesterol and their preparation

INVENTOR(S): Kuo, Gee-Hong; Zhang, Yan; Shen, Lan; Lu, Songfeng; Demarest, Keith T.; Peiton, Patricia

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 113pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

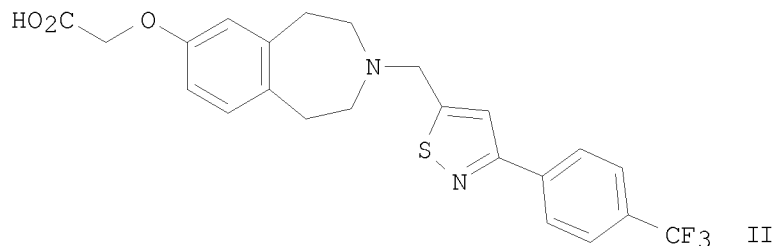
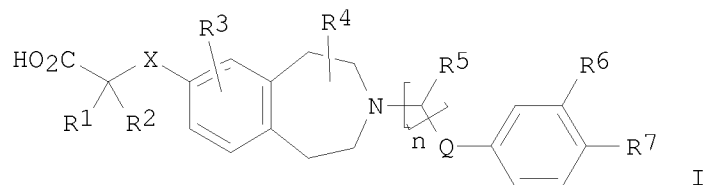
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 20070244094	A1	20071018	US 2007-736221	20070417
WO 2007121432	A2	20071025	WO 2007-US66772	20070417
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA,				
CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB,				
GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM,				
KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK,				
MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,				
RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT,				
TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,				
IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,				
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,				
GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,				
BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: US 2006-793001P P 20060418

OTHER SOURCE(S): MARPAT 147:469249

GI



AB The invention is directed to compds. of formula I useful as PPAR agonists. Pharmaceutical compns. and methods of treating one or more conditions including, but not limited to, diabetes, nephropathy, neuropathy, retinopathy, polycystic ovary syndrome, hypertension, ischemia, stroke, irritable bowel disorder, inflammation, cataract, cardiovascular diseases, Metabolic X Syndrome, hyper-LDL-cholesterolemia, dyslipidemia (including hypertriglyceridemia, hypercholesterolemia, mixed hyperlipidemia, and hypo-HDL-cholesterolemia), atherosclerosis, obesity, and other disorders related to lipid metabolism and energy homeostasis complications thereof, using compds. of the invention are also described. Compds. of formula I wherein X is a covalent bond, O and S; R1 and R2 are independently H, and (un)substituted C1-8 alkyl; R1R2 and the carbon they are attached together may form C3-7 cycloalkyl; R3 is H; R4 and R5 are independently H, halo, C1-8 alkyl, C3-7 cycloalkyl, etc.; R5 and R7 are independently H, halo, C1-3 (halo)alkyl and C1-3 (halo)alkoxy; n is 1; Q is (un)substituted 5- to 6-membered heteroarom. ring; and their enantiomers, diastereoisomers, tautomers, solvates and pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by a multistep procedure (detailed procedure given). All the invention compds. were evaluated for their PPAR- $\delta$  agonistic activity. From the assay, it was determined that compound II exhibited EC50 value of 34.1 nM against PPAR $\delta$ .

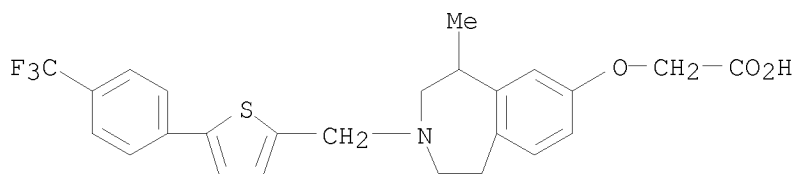
IT 952709-53-4P 952709-54-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of benzazepinyloxyacetic acid derivs. as PPAR-delta agonists useful for increasing HDL-C, lower LDL-C and lower cholesterol)

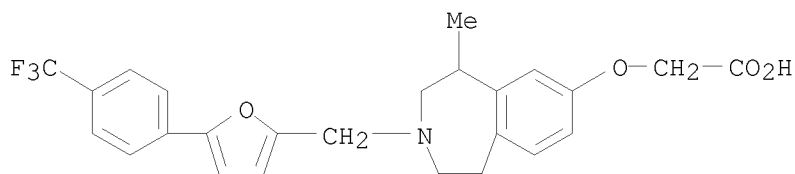
RN 952709-53-4 CAPLUS

CN Acetic acid, 2-[[[2,3,4,5-tetrahydro-5-methyl-3-[[5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]-1H-3-benzazepin-7-yl]oxy]- (CA INDEX NAME)



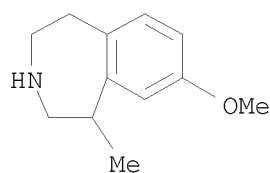
RN 952709-54-5 CAPLUS

CN Acetic acid, 2-[[[2,3,4,5-tetrahydro-5-methyl-3-[[5-[4-(trifluoromethyl)phenyl]-2-furanyl]methyl]-1H-3-benzazepin-7-yl]oxy]- (CA INDEX NAME)

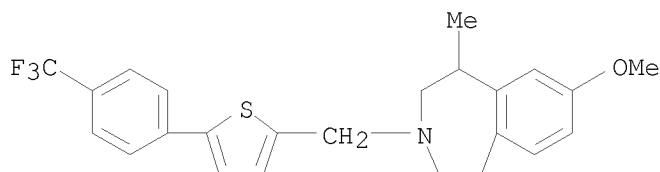


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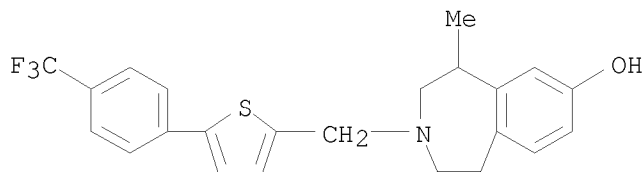
IT 849663-07-6P 952710-34-8P 952710-35-9P  
952710-36-0P 952710-37-1P 952710-38-2P  
952710-39-3P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(intermediate; preparation of benzazepinyloxyacetic acid derivs. as  
PPAR-delta agonists useful for increasing HDL-C, lower LDL-C and lower  
cholesterol)  
RN 849663-07-6 CAPLUS  
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-methoxy-1-methyl- (CA INDEX NAME)



RN 952710-34-8 CAPLUS  
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-methoxy-1-methyl-3-[[5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]- (CA INDEX NAME)



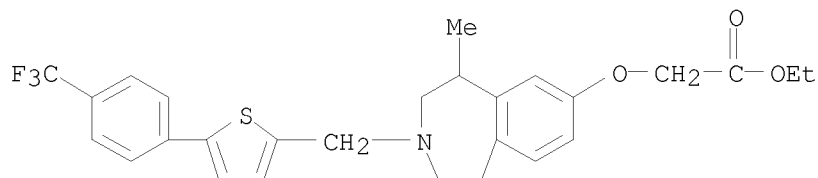
RN 952710-35-9 CAPLUS  
CN 1H-3-Benzazepin-7-ol, 2,3,4,5-tetrahydro-5-methyl-3-[[5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]- (CA INDEX NAME)



RN 952710-36-0 CAPLUS  
CN Acetic acid, 2-[[2,3,4,5-tetrahydro-5-methyl-3-[[5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]-1H-3-benzazepin-7-yl]oxy]-, ethyl ester (CA INDEX NAME)

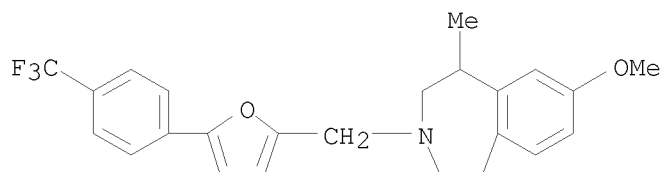


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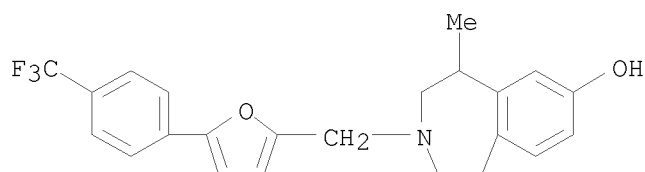
RN 952710-37-1 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-methoxy-1-methyl-3-[[5-[4-(trifluoromethyl)phenyl]-2-furanyl]methyl]- (CA INDEX NAME)



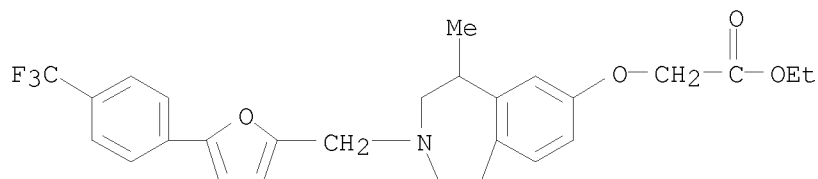
RN 952710-38-2 CAPLUS

CN 1H-3-Benzazepin-7-ol, 2,3,4,5-tetrahydro-5-methyl-3-[[5-[4-(trifluoromethyl)phenyl]-2-furanyl]methyl]- (CA INDEX NAME)



RN 952710-39-3 CAPLUS

CN Acetic acid, 2-[[2,3,4,5-tetrahydro-5-methyl-3-[[5-[4-(trifluoromethyl)phenyl]-2-furanyl]methyl]-1H-3-benzazepin-7-yl]oxy]-, ethyl ester (CA INDEX NAME)



L19 ANSWER 7 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:35810 CAPLUS

DOCUMENT NUMBER: 146:142521

TITLE: Preparation of 2,3,4,5-tetrahydro-1H-3-benzazepines as antithrombotic agents

INVENTOR(S): Priepke, Henning; Dahmann, Georg; Gerlach, Kai; Pfau, Roland; Wienen, Wolfgang; Schuler-Metz, Annette; Handschuh, Sandra; Nar, Herbert

PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany; Boehringer Ingelheim Pharma GmbH &amp; Co. KG

SOURCE: PCT Int. Appl., 185pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

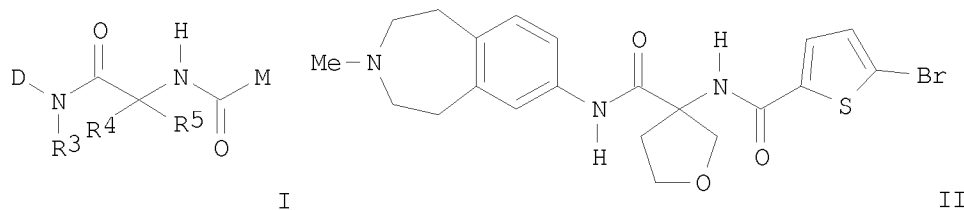
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007003536	A1	20070111	WO 2006-EP63611	20060628
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RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
AU 2006265216	A1	20070111	AU 2006-265216	20060628
CA 2613059	A1	20070111	CA 2006-2613059	20060628
EP 1899330	A1	20080319	EP 2006-763910	20060628
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BA, HR, YU			
NO 2007005186	A	20080214	NO 2007-5186	20071011
IN 2007DN09037	A	20080104	IN 2007-DN9037	20071123
MX 200716253	A	20080307	MX 2007-16253	20071218
CN 101213195	A	20080702	CN 2006-80024267	20080102
KR 2008033318	A	20080416	KR 2008-702478	20080130
PRIORITY APPLN. INFO.:			EP 2005-14270	A 20050630
			WO 2006-EP63611	W 20060628

OTHER SOURCE(S): MARPAT 146:142521

GI



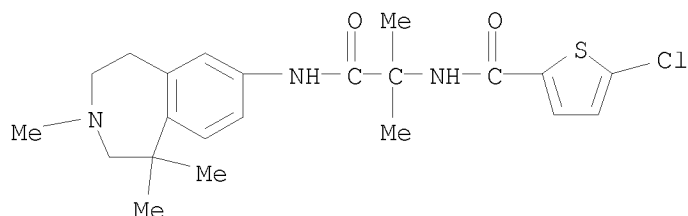
AB Title compds. I [D = substituted bicyclic ring system with provisos; R3 = H, alkyl; R4, R5 = H, alkyl, alkenyl, etc.; M = substituted thiophene with provisos] and their pharmaceutically acceptable salts and formulations were prepared. For example, benzazepine II was prepared from 3-trifluoroacetyl-7-nitro-2,3,4,5-tetrahydro-1H-benzo(d)azepine in 6-steps. Compds. I are claimed useful as antithrombotic agents.

IT 919097-19-1P 919097-21-5P 919097-26-0P  
919097-94-2P 919097-96-4P 919098-92-3P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tetrahydrobenzazepines as antithrombotic agents)

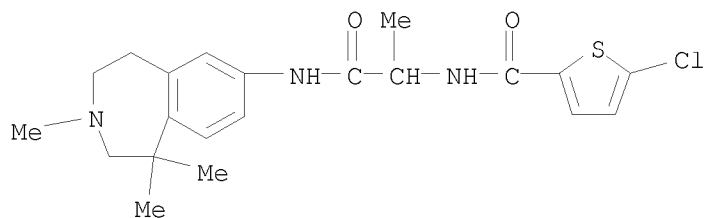
RN 919097-19-1 CAPLUS

CN 2-Thiophenecarboxamide, 5-chloro-N-[1,1-dimethyl-2-oxo-2-[(2,3,4,5-tetrahydro-1,1,3-trimethyl-1H-3-benzazepin-7-yl)amino]ethyl]- (CA INDEX NAME)



RN 919097-21-5 CAPLUS

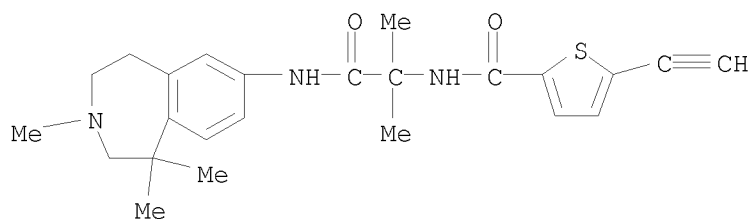
CN 2-Thiophenecarboxamide, 5-chloro-N-[1-methyl-2-oxo-2-[(2,3,4,5-tetrahydro-1,1,3-trimethyl-1H-3-benzazepin-7-yl)amino]ethyl]- (CA INDEX NAME)



RN 919097-26-0 CAPLUS

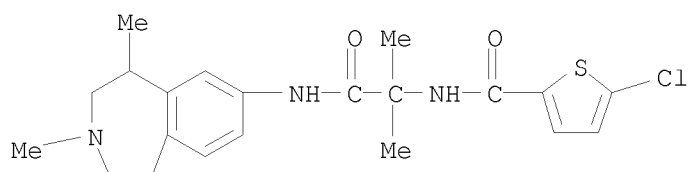
CN 2-Thiophenecarboxamide, N-[1,1-dimethyl-2-oxo-2-[(2,3,4,5-tetrahydro-1,1,3-trimethyl-1H-3-benzazepin-7-yl)amino]ethyl]-5-ethynyl- (CA INDEX NAME)

10/561,071



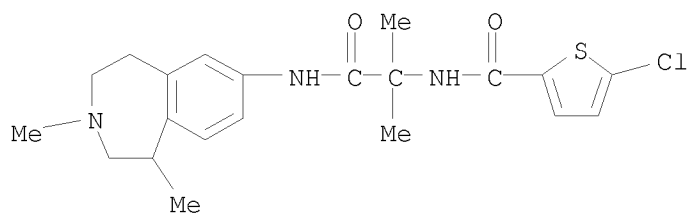
RN 919097-94-2 CAPLUS

CN 2-Thiophenecarboxamide, 5-chloro-N-[1,1-dimethyl-2-oxo-2-[(2,3,4,5-tetrahydro-3,5-dimethyl-1H-3-benzazepin-7-yl)amino]ethyl]- (CA INDEX NAME)



RN 919097-96-4 CAPLUS

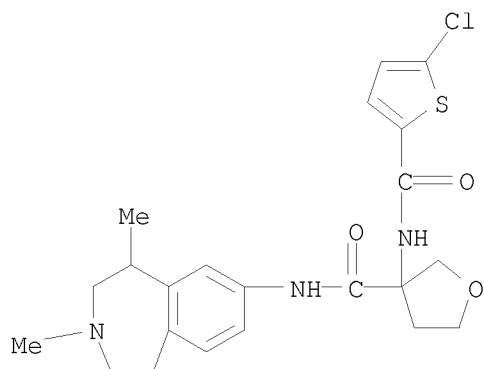
CN 2-Thiophenecarboxamide, 5-chloro-N-[1,1-dimethyl-2-oxo-2-[(2,3,4,5-tetrahydro-1,3-dimethyl-1H-3-benzazepin-7-yl)amino]ethyl]- (CA INDEX NAME)



RN 919098-92-3 CAPLUS

CN 3-Furancarboxamide, 3-[[[(5-chloro-2-thienyl)carbonyl]amino]tetrahydro-N-(2,3,4,5-tetrahydro-3,5-dimethyl-1H-3-benzazepin-7-yl)- (CA INDEX NAME)

10/561,071



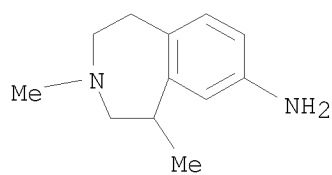
IT 919099-24-4P 919099-25-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of tetrahydrobenzazepines as antithrombotic agents)

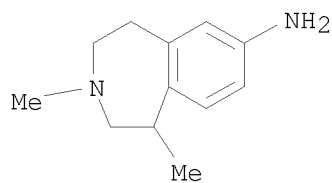
RN 919099-24-4 CAPLUS

CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-3,5-dimethyl- (CA INDEX NAME)



RN 919099-25-5 CAPLUS

CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-1,3-dimethyl- (CA INDEX NAME)



REFERENCE COUNT:

2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 8 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:656846 CAPLUS

DOCUMENT NUMBER: 145:124478

TITLE: Preparation of 2,3,4,5-tetrahydro-1H-3-benzazepine derivatives as selective 5HT-2C receptor agonists

INVENTOR(S): Behan, Dominic P.; Smith, Brian M.; Bjenning, Christina

PATENT ASSIGNEE(S): Arena Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 94 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

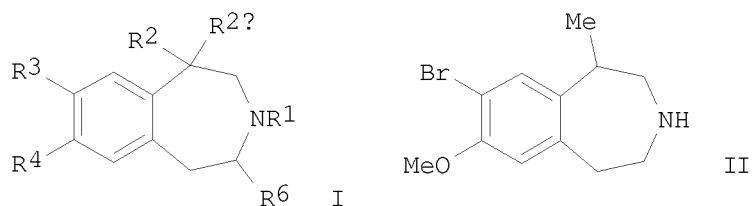
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

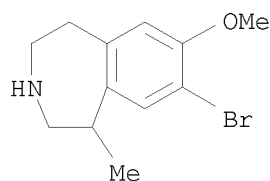
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006071740	A2	20060706	WO 2005-US46654	20051221
WO 2006071740	A3	20070419		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
AU 2005322183	A1	20060706	AU 2005-322183	20051221
CA 2588941	A1	20060706	CA 2005-2588941	20051221
EP 1833473	A2	20070919	EP 2005-855247	20051221
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU			
JP 2008525480	T	20080717	JP 2007-548479	20051221
IN 2007KN02012	A	20070810	IN 2007-KN2012	20070604
CN 101123955	A	20080213	CN 2005-80043743	20070620
KR 2007091030	A	20070906	KR 2007-716812	20070720
PRIORITY APPLN. INFO.:			US 2004-638667P	P 20041223
			US 2005-688901P	P 20050608
			WO 2005-US46654	W 20051221

OTHER SOURCE(S): MARPAT 145:124478

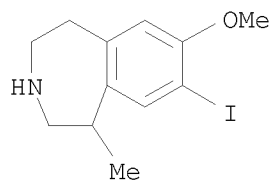
GI



- AB Title compds. represented by the formula I [wherein R1 = H or alkyl; R2 = alkyl, OH, CH2OH, etc.; R2a = H or R2R2a = -CH2CH2-; R3, R4 = independently H, halo, cyano, etc., or R3R4 = one oxygen containing heterocyclyl; and pharmaceutically acceptable salts, solvates or hydrates thereof] were prepared as 5HT-2C receptor agonists. For example, II was provided in a multi-step synthesis starting from 3-methoxyphenethylamine. II showed EC50 with 4.2 nM in intracellular IP3 accumulation assay, and was tested for inhibition of food intake in food-deprived rats. Thus, I and their pharmaceutical compns. are useful as selective 5HT-2C receptor agonist for the treatment of obesity.
- IT 616201-55-9P, 8-Bromo-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-57-1P 616201-80-0P,  
8-Chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine  
RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent); USES (Uses) (preparation of 2,3,4,5-tetrahydro-1H-3-benzazepine derivs. as selective 5HT-2C receptor agonists)
- RN 616201-55-9 CAPLUS
- CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1-methyl- (CA INDEX NAME)



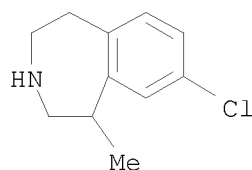
- RN 616201-57-1 CAPLUS
- CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-7-methoxy-1-methyl- (CA INDEX NAME)



- RN 616201-80-0 CAPLUS

10/561,071

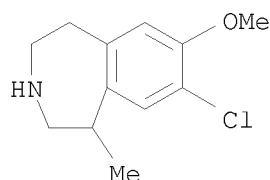
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



IT 616201-56-0P, 8-Chloro-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-73-1P, 8-Bromo-1-ethyl-7-methoxy-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-91-3P, N-Methyl-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-05-2P, 8-Trifluoromethyl-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-07-4P, 8-Chloro-1-ethyl-2,3,4,5-tetrahydro-1H-3-benzazepine  
RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)  
(preparation of 2,3,4,5-tetrahydro-1H-3-benzazepine derivs. as selective 5HT-2C receptor agonists)

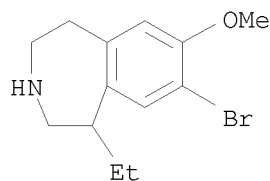
RN 616201-56-0 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-7-methoxy-1-methyl- (CA INDEX NAME)



RN 616201-73-1 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-1-ethyl-2,3,4,5-tetrahydro-7-methoxy- (CA INDEX NAME)

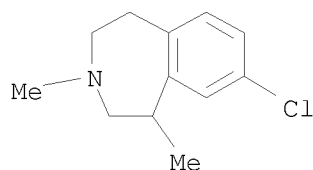


RN 616201-91-3 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1,3-dimethyl- (CA INDEX NAME)

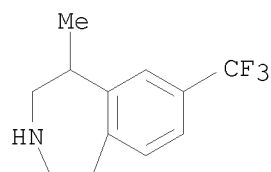


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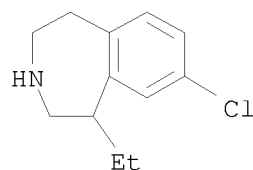
RN 616202-05-2 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(trifluoromethyl)- (CA INDEX NAME)



RN 616202-07-4 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-1-ethyl-2,3,4,5-tetrahydro- (CA INDEX NAME)



IT 616202-75-6P 616202-76-7P 616202-77-8P

616202-79-0P 616202-81-4P 616202-82-5P

616202-84-7P 616202-85-8P 616202-86-9P

616202-87-0P 616202-88-1P 616202-90-5P

616202-92-7P, (R)-8-Chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-

benzazepine 616202-93-8P 616202-95-0P

616202-96-1P

RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);

PREP (Preparation); USES (Uses)

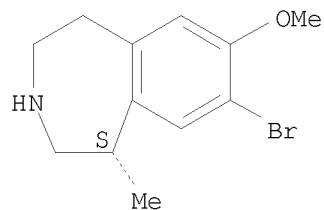
(preparation of 2,3,4,5-tetrahydro-1H-3-benzazepine derivs. as selective 5HT-2C receptor agonists)

RN 616202-75-6 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1-methyl-, (1S)- (CA INDEX NAME)

Absolute stereochemistry.

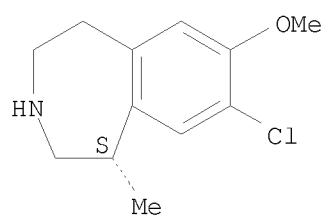
10/561,071



RN 616202-76-7 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-7-methoxy-1-methyl-, (1S)-  
(CA INDEX NAME)

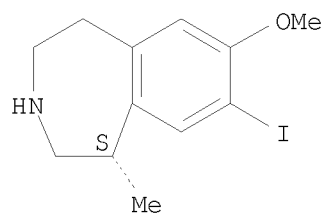
Absolute stereochemistry.



RN 616202-77-8 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-7-methoxy-1-methyl-, (1S)-  
(CA INDEX NAME)

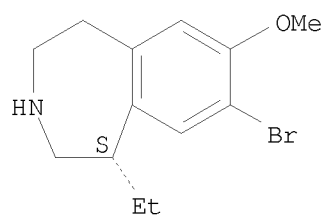
Absolute stereochemistry.



RN 616202-79-0 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-1-ethyl-2,3,4,5-tetrahydro-7-methoxy-, (1S)-  
(CA INDEX NAME)

Absolute stereochemistry.



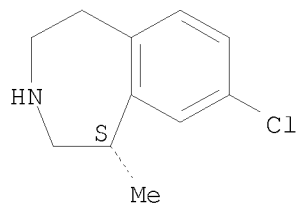
RN 616202-81-4 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1S)- (CA INDEX

10/561,071

NAME)

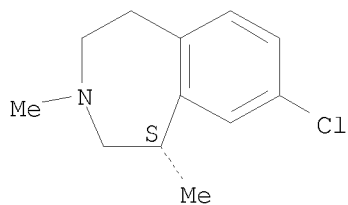
Absolute stereochemistry.



RN 616202-82-5 CAPLUS

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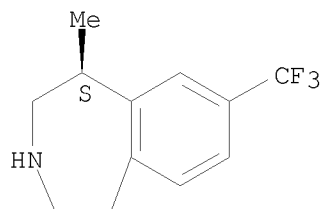
Absolute stereochemistry.



RN 616202-84-7 CAPLUS

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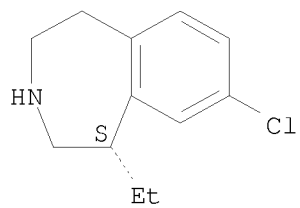
Absolute stereochemistry.



RN 616202-85-8 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-1-ethyl-2,3,4,5-tetrahydro-, (1S)- (CA INDEX NAME)

Absolute stereochemistry.

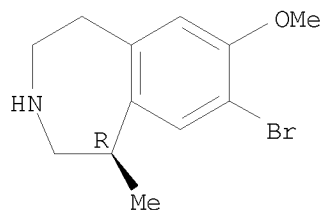


10/561,071

RN 616202-86-9 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1-methyl-, (1R)-  
(CA INDEX NAME)

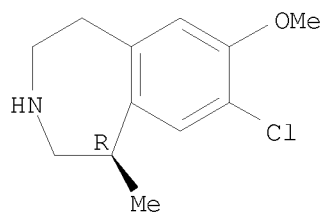
Absolute stereochemistry.



RN 616202-87-0 CAPLUS

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(CA INDEX NAME)

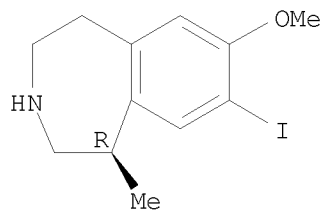
Absolute stereochemistry.



RN 616202-88-1 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-7-methoxy-1-methyl-, (1R)-  
(CA INDEX NAME)

Absolute stereochemistry.

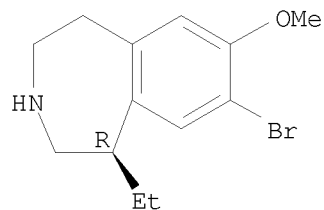


RN 616202-90-5 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-1-ethyl-2,3,4,5-tetrahydro-7-methoxy-, (1R)-  
(CA INDEX NAME)

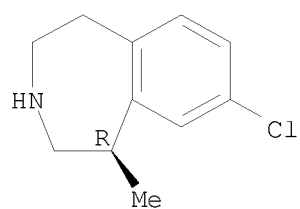
Absolute stereochemistry.

10/561,071



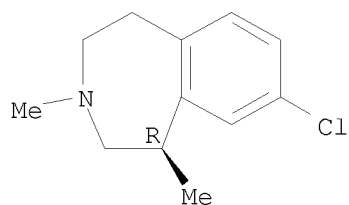
RN 616202-92-7 CAPLUS  
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)- (CA INDEX NAME)

Absolute stereochemistry.



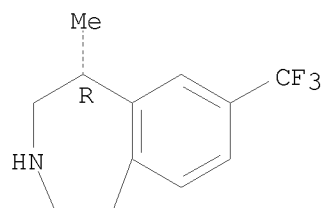
RN 616202-93-8 CAPLUS  
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1,3-dimethyl-, (1R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 616202-95-0 CAPLUS  
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(trifluoromethyl)-, (1R)- (CA INDEX NAME)

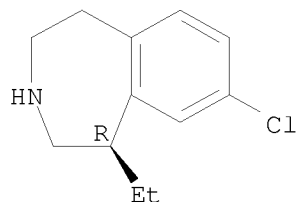
Absolute stereochemistry.



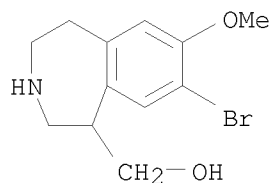
RN 616202-96-1 CAPLUS  
CN 1H-3-Benzazepine, 8-chloro-1-ethyl-2,3,4,5-tetrahydro-, (1R)- (CA INDEX NAME)

(NAME)

Absolute stereochemistry.



IT 616201-72-0P, 8-Bromo-1-hydroxymethyl-7-methoxy-2,3,4,5-tetrahydro-1H-3-benzazepine  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (preparation of 2,3,4,5-tetrahydro-1H-3-benzazepine derivs. as selective 5HT-2C receptor agonists)  
 RN 616201-72-0 CAPLUS  
 CN 1H-3-Benzazepine-1-methanol, 8-bromo-2,3,4,5-tetrahydro-7-methoxy- (CA INDEX NAME)



IT 616201-58-2P, 8-Bromo-7-hydroxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-59-3P, 7-Allyloxy-8-bromo-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-60-6P, 7-Benzoyloxy-8-bromo-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-61-7P, 8-Bromo-7-ethoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-62-8P, 8-Bromo-7-isopropoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-63-9P, N-Methyl-8-bromo-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-64-0P, N-Propyl-8-bromo-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-65-1P, 7-Hydroxy-8-iodo-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-66-2P, 7-Allyloxy-8-iodo-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-68-4P, 7-Allyloxy-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-69-5P, 7-Methoxy-1-methyl-8-(2-thienyl)-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-70-8P, 8-Cyano-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-74-2P, 8-Chloro-1-ethyl-7-methoxy-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-75-3P, 8-Bromo-1-isopropyl-7-methoxy-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-76-4P, 8-Bromo-7-hydroxy-1-isopropyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-77-5P, 7-Allyloxy-8-bromo-1-isopropyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-81-1P, 7-(2-Methyl-2H-pyrazol-3-yl)-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-82-2P, 7-(4-Bromo-2-methyl-2H-pyrazol-3-yl)-1-methyl-2,3,4,5-tetrahydro-1H-3-

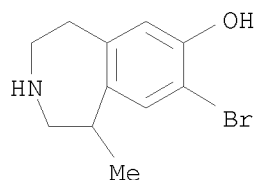
benzazepine 616201-83-3P, 7-(3-Chlorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-84-4P, 7-(2-Chlorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-86-6P, 8-Bromo-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-87-7P, 8-Fluoro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-88-8P, 7-Fluoro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-89-9P, 7-Chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-90-2P, 7,8-Dichloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-92-4P, 1-Methyl-7-trifluoromethoxy-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-93-5P, 8-Iodo-1-methyl-7-trifluoromethoxy-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-94-6P, N-Propyl-8-iodo-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-95-7P, 1-Ethyl-8-iodo-7-methoxy-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-96-8P, 7-(3-Methoxyphenyl)-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-97-9P, 7-(2,6-Difluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-98-0P, 7-(2-Fluorophenyl)-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-99-1P, 7-(2-Trifluoromethylphenyl)-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-00-7P, 7-(3-Trifluoromethylphenyl)-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-01-8P, 7-(4-Trifluoromethylphenyl)-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-02-9P, 8-(2-Chlorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-03-0P, 7-Methoxy-1-methyl-8-trifluoromethyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-04-1P, 7-Methoxy-1-methyl-8-pentafluoroethyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-06-3P 616202-08-5P, 8-Chloro-7-fluoro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-69-8P, 8-Iodo-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-70-1P, 8-Trifluoromethyl-1-ethyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-71-2P, 8-Bromo-1-ethyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-72-3P, 8-Iodo-1-ethyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-73-4P, 7,8-Dichloro-1-ethyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-74-5P, 8-Chloro-7-fluoro-1-ethyl-2,3,4,5-tetrahydro-1H-3-benzazepine

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2,3,4,5-tetrahydro-1H-3-benzazepine derivs. as selective 5HT-2C receptor agonists)

RN 616201-58-2 CAPLUS

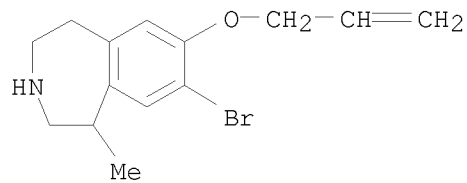
CN 1H-3-Benzazepin-7-ol, 8-bromo-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



RN 616201-59-3 CAPLUS

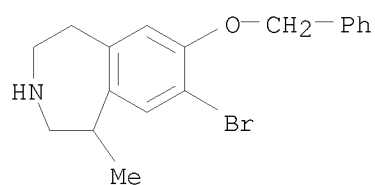
CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-1-methyl-7-(2-propen-1-yloxy)- (CA INDEX NAME)

10/561,071



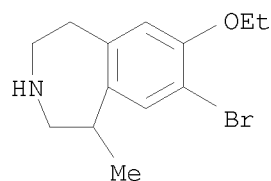
RN 616201-60-6 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-1-methyl-7-(phenylmethoxy)-  
(CA INDEX NAME)



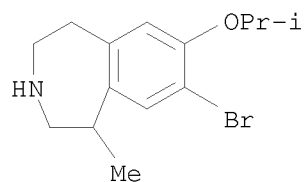
RN 616201-61-7 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-7-ethoxy-2,3,4,5-tetrahydro-1-methyl- (CA INDEX  
NAME)



RN 616201-62-8 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-1-methyl-7-(1-methylethoxy)-  
(CA INDEX NAME)

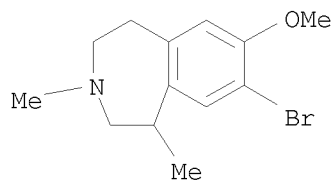


RN 616201-63-9 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1,3-dimethyl- (CA  
INDEX NAME)

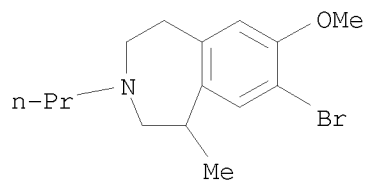


10/561,071



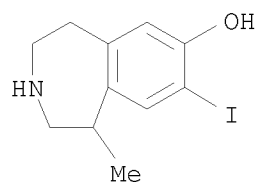
RN 616201-64-0 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1-methyl-3-propyl-  
(CA INDEX NAME)



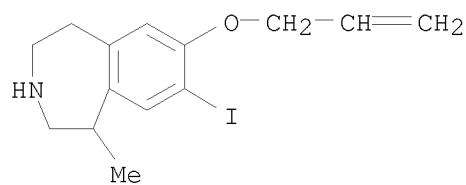
RN 616201-65-1 CAPLUS

CN 1H-3-Benzazepin-7-ol, 2,3,4,5-tetrahydro-8-iodo-1-methyl- (CA INDEX NAME)



RN 616201-66-2 CAPLUS

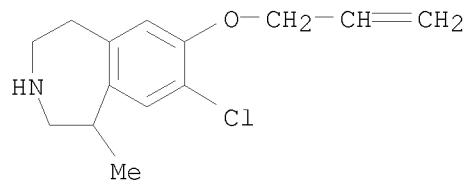
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-1-methyl-7-(2-propen-1-yloxy)-  
(CA INDEX NAME)



RN 616201-68-4 CAPLUS

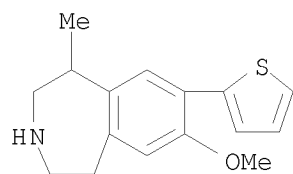
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-7-(2-propen-1-yloxy)-  
(CA INDEX NAME)

10/561,071



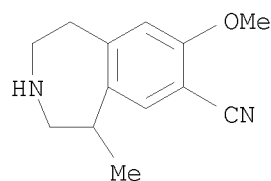
RN 616201-69-5 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-methoxy-1-methyl-8-(2-thienyl)-  
(CA INDEX NAME)



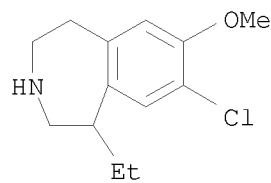
RN 616201-70-8 CAPLUS

CN 1H-3-Benzazepine-7-carbonitrile, 2,3,4,5-tetrahydro-8-methoxy-5-methyl-  
(CA INDEX NAME)



RN 616201-74-2 CAPLUS

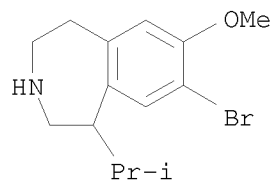
CN 1H-3-Benzazepine, 8-chloro-1-ethyl-2,3,4,5-tetrahydro-7-methoxy- (CA  
INDEX NAME)



RN 616201-75-3 CAPLUS

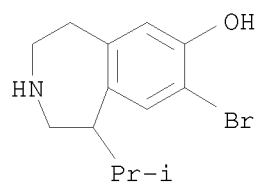
CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1-(1-methylethyl)-  
(CA INDEX NAME)

10/561,071



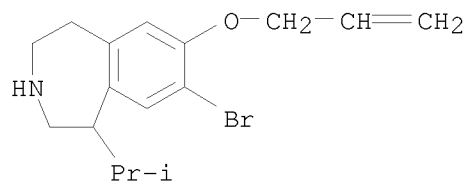
RN 616201-76-4 CAPLUS

CN 1H-3-Benzazepin-7-ol, 8-bromo-2,3,4,5-tetrahydro-1-(1-methylethyl)- (CA INDEX NAME)



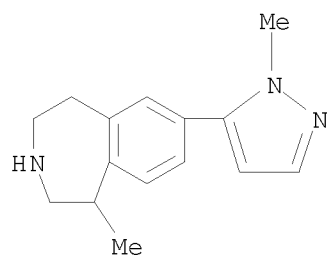
RN 616201-77-5 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-1-(1-methylethyl)-7-(2-propen-1-yloxy)- (CA INDEX NAME)



RN 616201-81-1 CAPLUS

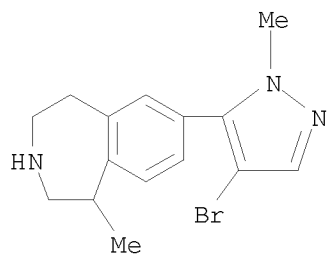
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(1-methyl-1H-pyrazol-5-yl)- (CA INDEX NAME)



RN 616201-82-2 CAPLUS

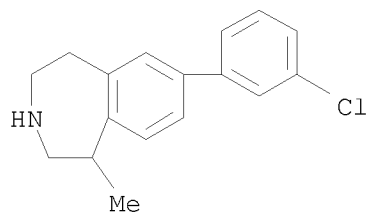
CN 1H-3-Benzazepine, 7-(4-bromo-1-methyl-1H-pyrazol-5-yl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

10/561,071



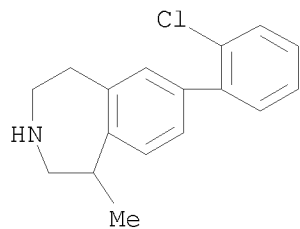
RN 616201-83-3 CAPLUS

CN	1H-3-Benzazepine, 7-(3-chlorophenyl)-2,3,4,5-tetrahydro-1-methyl- (INDEX NAME)	(CA
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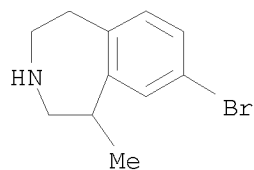
RN 616201-84-4 CAPLUS

CN	1H-3-Benzazepine, 7-(2-chlorophenyl)-2,3,4,5-tetrahydro-1-methyl- (INDEX NAME)	(CA
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RN 616201-86-6 CAPLUS

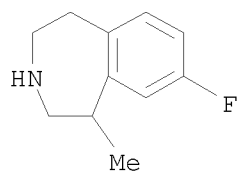
CN	1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-1-methyl-	(CA INDEX NAME)
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RN 616201-87-7 CAPLUS

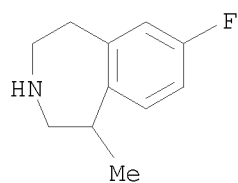
CN	1H-3-Benzazepine, 8-fluoro-2,3,4,5-tetrahydro-1-methyl-	(CA INDEX NAME)
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10/561,071



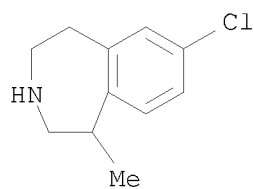
RN 616201-88-8 CAPLUS

CN 1H-3-Benzazepine, 7-fluoro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



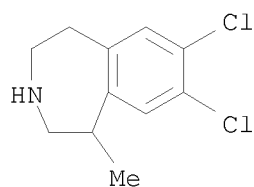
RN 616201-89-9 CAPLUS

CN 1H-3-Benzazepine, 7-chloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



RN 616201-90-2 CAPLUS

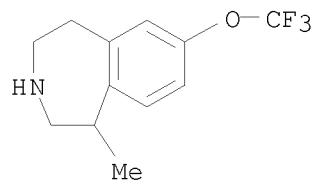
CN 1H-3-Benzazepine, 7,8-dichloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



RN 616201-92-4 CAPLUS

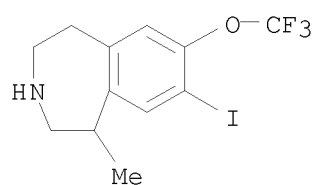
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(trifluoromethoxy)- (CA INDEX NAME)

10/561,071



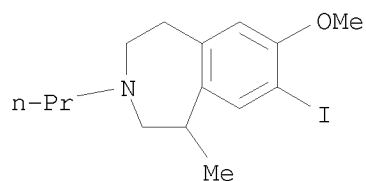
RN 616201-93-5 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-1-methyl-7-(trifluoromethoxy)-  
(CA INDEX NAME)



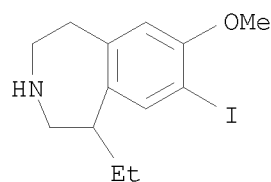
RN 616201-94-6 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-7-methoxy-1-methyl-3-propyl-  
(CA INDEX NAME)



RN 616201-95-7 CAPLUS

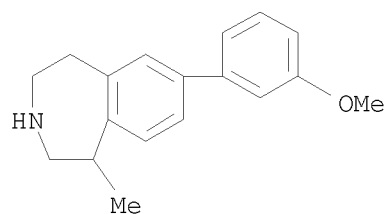
CN 1H-3-Benzazepine, 1-ethyl-2,3,4,5-tetrahydro-8-iodo-7-methoxy- (CA INDEX  
NAME)



RN 616201-96-8 CAPLUS

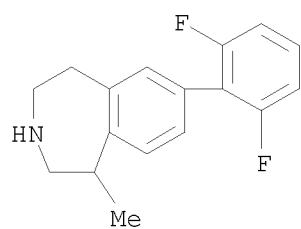
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-(3-methoxyphenyl)-1-methyl- (CA  
INDEX NAME)

10/561,071



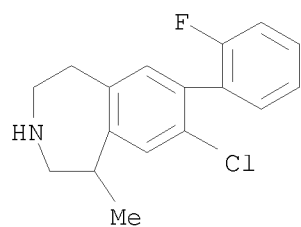
RN 616201-97-9 CAPLUS

CN 1H-3-Benzazepine, 7-(2,6-difluorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



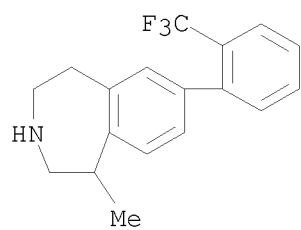
RN 616201-98-0 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-7-(2-fluorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



RN 616201-99-1 CAPLUS

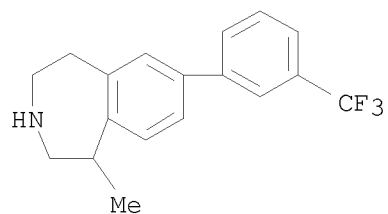
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 616202-00-7 CAPLUS

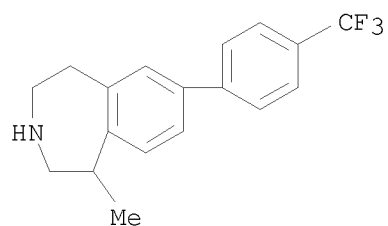
10/561,071

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



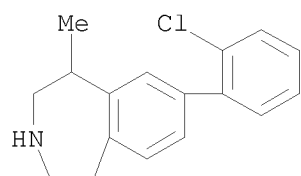
RN 616202-01-8 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



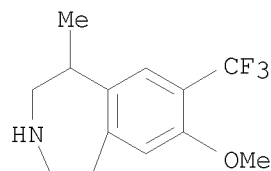
RN 616202-02-9 CAPLUS

CN 1H-3-Benzazepine, 8-(2-chlorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



RN 616202-03-0 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-methoxy-1-methyl-8-(trifluoromethyl)- (CA INDEX NAME)



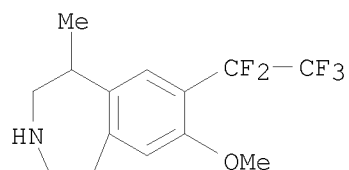
RN 616202-04-1 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-methoxy-1-methyl-8-(1,1,2,2,2-



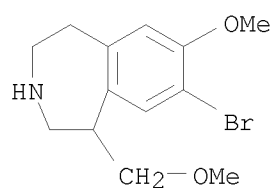
10/561,071

pentafluoroethyl)- (CA INDEX NAME)



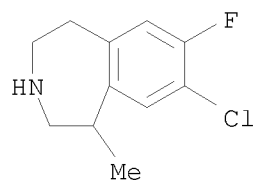
RN 616202-06-3 CAPLUS

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(CA INDEX NAME)



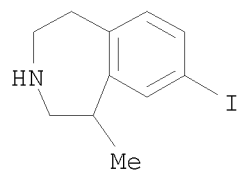
RN 616202-08-5 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-7-fluoro-2,3,4,5-tetrahydro-1-methyl- (CA  
INDEX NAME)



RN 616202-69-8 CAPLUS

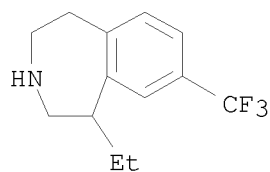
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-1-methyl- (CA INDEX NAME)



RN 616202-70-1 CAPLUS

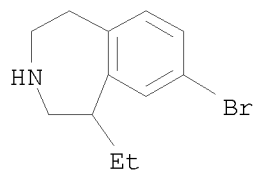
CN 1H-3-Benzazepine, 1-ethyl-2,3,4,5-tetrahydro-8-(trifluoromethyl)- (CA  
INDEX NAME)

10/561,071



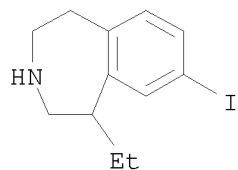
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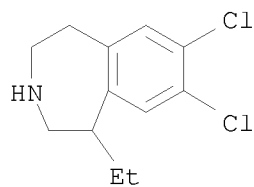
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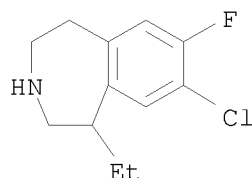
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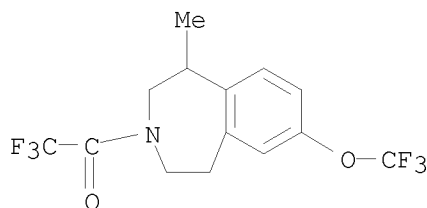


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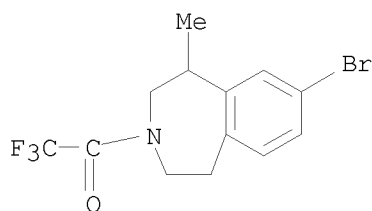
CN 1H-3-Benzazepine, 8-chloro-1-ethyl-7-fluoro-2,3,4,5-tetrahydro- (CA INDEX NAME)



- IT 616202-59-6, N-(Trifluoroacetyl)-1-methyl-7-trifluoromethoxy-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-60-9, N-(Trifluoroacetyl)-8-bromo-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of 2,3,4,5-tetrahydro-1H-3-benzazepine derivs. as selective 5HT-2C receptor agonists)
- RN 616202-59-6 CAPLUS
- CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-1-methyl-7-(trifluoromethoxy)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

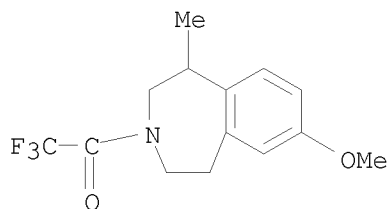


- RN 616202-60-9 CAPLUS
- CN Ethanone, 1-(8-bromo-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



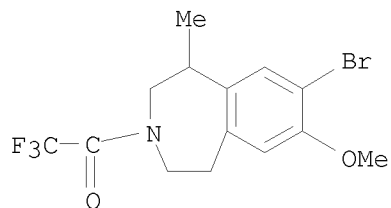
- IT 616202-11-0P, N-(Trifluoroacetyl)-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-12-1P, N-(Trifluoroacetyl)-8-bromo-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-13-2P, N-(Trifluoroacetyl)-8-chloro-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-14-3P, N-(Trifluoroacetyl)-8-iodo-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-15-4P, N-(Trifluoroacetyl)-8-bromo-7-hydroxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-16-5P, N-(Trifluoroacetyl)-7-allyloxy-8-bromo-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-17-6P, N-(Trifluoroacetyl)-7-benzyloxy-8-bromo-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-18-7P, N-(Trifluoroacetyl)-8-bromo-7-ethyloxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-19-8P, N-(Trifluoroacetyl)-8-bromo-7-isopropoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine

616202-20-1P, N-(Trifluoroacetyl)-7-hydroxy-8-iodo-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-21-2P, N-(Trifluoroacetyl)-7-allyloxy-8-iodo-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-23-4P, N-(Trifluoroacetyl)-8-chloro-7-hydroxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-24-5P, N-(Trifluoroacetyl)-7-allyloxy-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-25-6P, N-(Trifluoroacetyl)-7-methoxy-1-methyl-8-(2-thienyl)-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-26-7P, N-(Trifluoroacetyl)-8-cyano-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-29-0P, N-(Trifluoroacetyl)-1-hydroxymethyl-7-methoxy-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-30-3P, N-(Trifluoroacetyl)-8-bromo-1-hydroxymethyl-7-methoxy-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-33-6P, N-(Trifluoroacetyl)-1-ethyl-7-methoxy-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-34-7P, N-(Trifluoroacetyl)-8-bromo-1-ethyl-7-methoxy-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-35-8P, N-(Trifluoroacetyl)-8-chloro-1-ethyl-7-methoxy-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-38-1P, N-(Trifluoroacetyl)-1-isopropyl-7-methoxy-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-39-2P, N-(Trifluoroacetyl)-8-bromo-1-isopropyl-7-methoxy-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-40-5P, N-(Trifluoroacetyl)-8-bromo-7-hydroxy-1-isopropyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-41-6P, N-(Trifluoroacetyl)-7-allyloxy-8-bromo-1-isopropyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-51-8P, N-(Trifluoroacetyl)-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-52-9P, N-(Trifluoroacetyl)-7-hydroxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-53-0P 616202-54-1P, N-(Trifluoroacetyl)-7-(2-Methyl-2H-pyrazol-3-yl)-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-55-2P, N-(Trifluoroacetyl)-7-(4-bromo-2-Methyl-2H-pyrazol-3-yl)-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-65-4P 616202-67-6P, N-(Trifluoroacetyl)-8-chloro-1-ethyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-68-7P, N-(Trifluoroacetyl)-8-chloro-7-fluoro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of 2,3,4,5-tetrahydro-1H-3-benzazepine derivs. as selective 5HT-2C receptor agonists)  
 RN 616202-11-0 CAPLUS  
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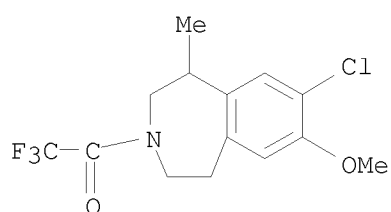
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10/561,071



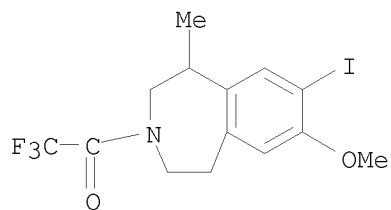
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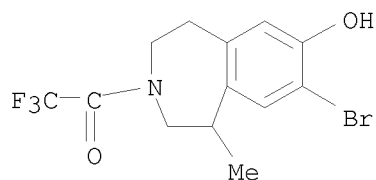
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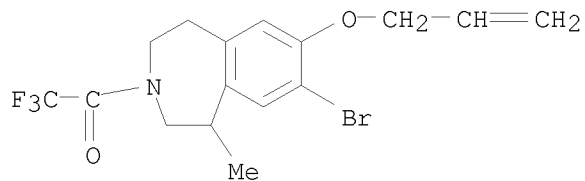
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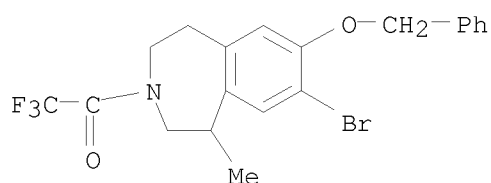
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10/561,071



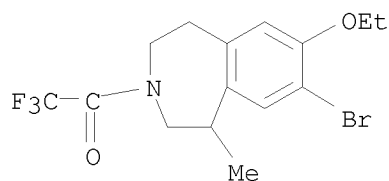
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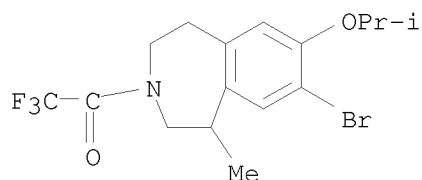
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RN 616202-19-8 CAPLUS

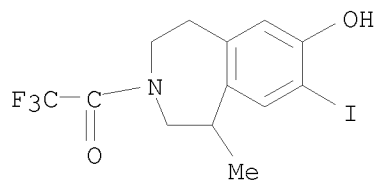
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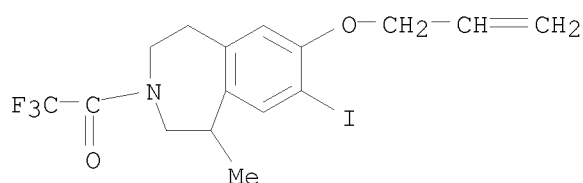
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10/561,071



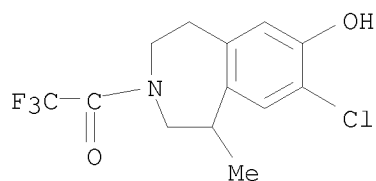
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CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-8-iodo-1-methyl-7-(2-propen-1-yloxy)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



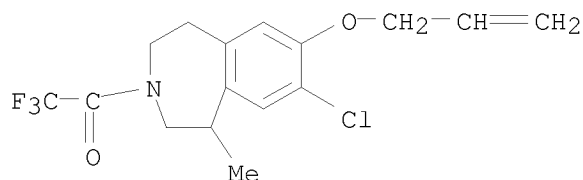
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CN Ethanone, 1-(8-chloro-1,2,4,5-tetrahydro-7-hydroxy-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



RN 616202-24-5 CAPLUS

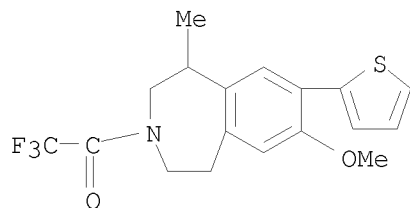
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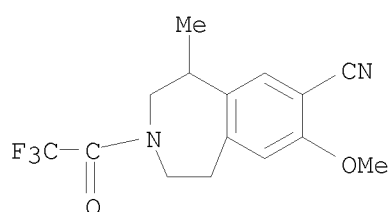
RN 616202-25-6 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7-methoxy-1-methyl-8-(2-thienyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

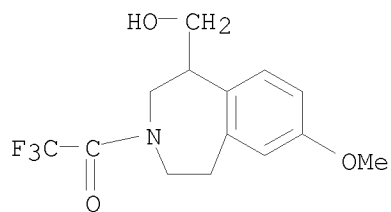
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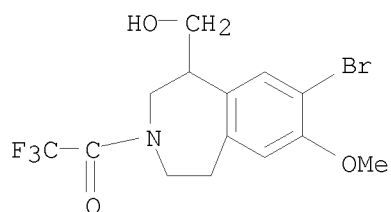
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CN 1H-3-Benzazepine-7-carbonitrile, 2,3,4,5-tetrahydro-8-methoxy-5-methyl-3-(2,2,2-trifluoroacetyl)- (CA INDEX NAME)



RN 616202-29-0 CAPLUS  
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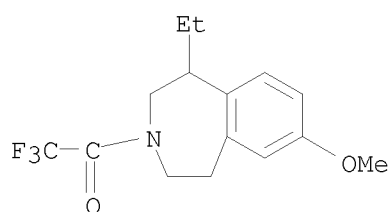
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RN 616202-33-6 CAPLUS  
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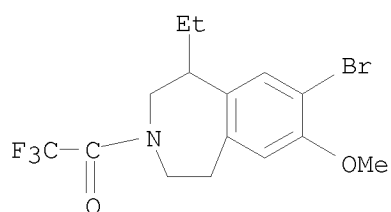


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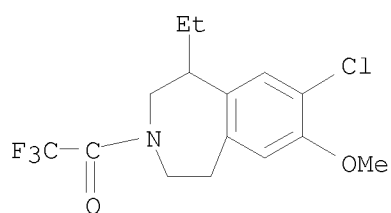
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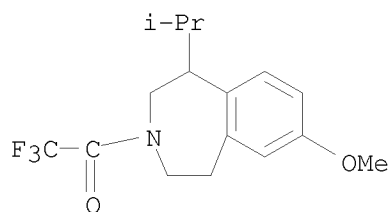
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CN Ethanone, 1-(8-chloro-1-ethyl-1,2,4,5-tetrahydro-7-methoxy-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



RN 616202-38-1 CAPLUS

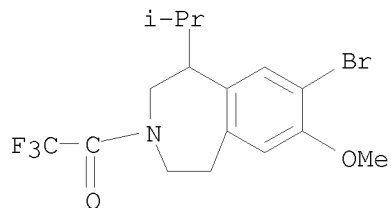
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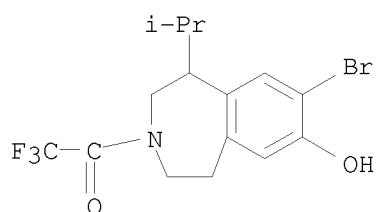
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10/561,071



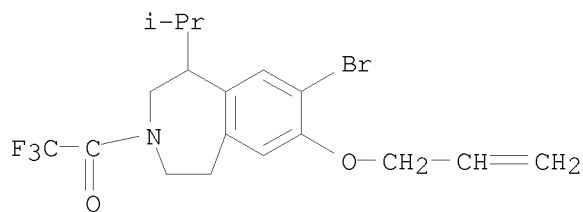
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CN Ethanone, 1-[8-bromo-1,2,4,5-tetrahydro-7-hydroxy-1-(1-methylethyl)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



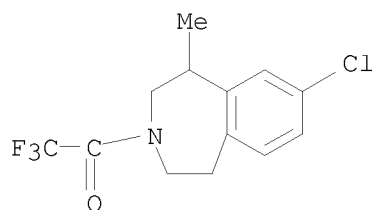
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CN Ethanone, 1-[8-bromo-1,2,4,5-tetrahydro-1-(1-methylethyl)-7-(2-propen-1-yloxy)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



RN 616202-51-8 CAPLUS

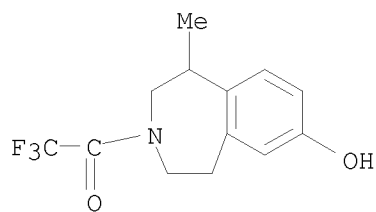
CN Ethanone, 1-(8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



RN 616202-52-9 CAPLUS

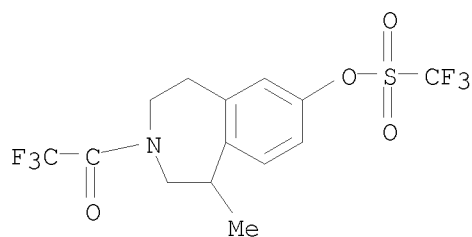
CN Ethanone, 2,2,2-trifluoro-1-(1,2,4,5-tetrahydro-7-hydroxy-1-methyl-3H-3-benzazepin-3-yl)- (CA INDEX NAME)

10/561,071



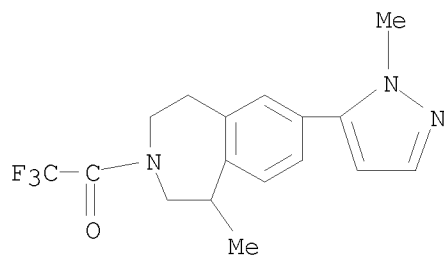
RN 616202-53-0 CAPLUS

CN Methanesulfonic acid, 1,1,1-trifluoro-, 2,3,4,5-tetrahydro-1-methyl-3-(2,2,2-trifluoroacetyl)-1H-3-benzazepin-7-yl ester (CA INDEX NAME)



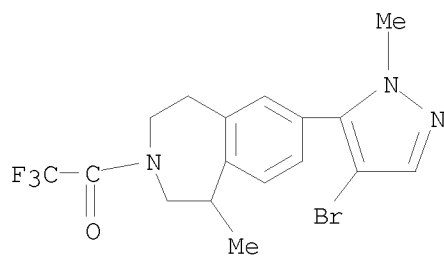
RN 616202-54-1 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-1-methyl-7-(1-methyl-1H-pyrazol-5-yl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



RN 616202-55-2 CAPLUS

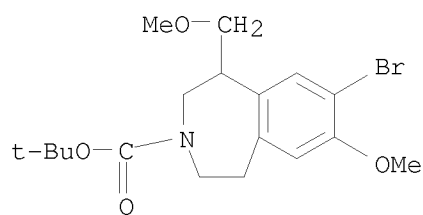
CN Ethanone, 1-[7-(4-bromo-1-methyl-1H-pyrazol-5-yl)-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



RN 616202-65-4 CAPLUS

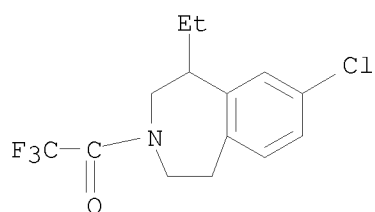
10/561,071

CN 3H-3-Benzazepine-3-carboxylic acid, 8-bromo-1,2,4,5-tetrahydro-7-methoxy-1-(methoxymethyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



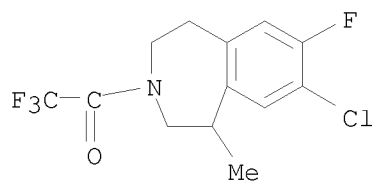
RN 616202-67-6 CAPLUS

CN Ethanone, 1-(8-chloro-1-ethyl-1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



RN 616202-68-7 CAPLUS

CN Ethanone, 1-(8-chloro-7-fluoro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



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ACCESSION NUMBER: 2006:635052 CAPLUS

DOCUMENT NUMBER: 145:83251

TITLE: Preapartion of polymorphic crystalline forms of  
(R)-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-  
benzazepine hydrochloride and its sesquihydrateINVENTOR(S): Agarwal, Rajesh Kumar; Betts, William L., III;  
Henshilwood, James A.; Kiang, Yuan-Hon; Post, Noah

PATENT ASSIGNEE(S): Arena Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 36 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

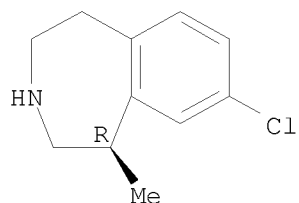
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006069363	A2	20060629	WO 2005-US46983	20051220
WO 2006069363	A3	20070510		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
AU 2005318959	A1	20060629	AU 2005-318959	20051220
CA 2589988	A1	20060629	CA 2005-2589988	20051220
EP 1838677	A2	20071003	EP 2005-855526	20051220
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU			
CN 101084193	A	20071205	CN 2005-80043392	20051220
JP 2008524262	T	20080710	JP 2007-547060	20051220
IN 2007KN02296	A	20070817	IN 2007-KN2296	20070621
KR 2007098870	A	20071005	KR 2007-716727	20070720
PRIORITY APPLN. INFO.:			US 2004-638221P	P 20041221
			WO 2005-US46983	W 20051220
AB	Polymorphic crystalline forms of (R)-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine hydrochloride and its sesquihydrate, useful as a 5-HT2c receptor agonist and for the treatment of diseases responsive to 5-HT2c receptor agonists (e.g., depression), are prepared			
IT	616202-92-7, (R)-8-Chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine			
RL:	RCT (Reactant); RACT (Reactant or reagent)			
	(preparation of polymorphic crystalline forms of			
	(R)-8-chloro-1-methyl-2,3,4,5-			
	tetrahydro-1H-3-benzazepine hydrochloride and its sesquihydrate)			
RN	616202-92-7 CAPLUS			
CN	1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)- (CA INDEX NAME)			

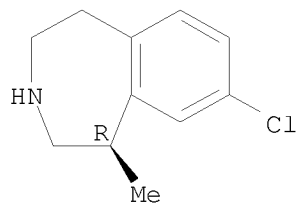
10/561,071

Absolute stereochemistry.



IT 846589-98-8P, (R)-8-Chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine hydrochloride  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of polymorphic crystalline forms of  
(R)-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine hydrochloride and its sesquihydrate)  
RN 846589-98-8 CAPLUS  
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, hydrochloride (1:1), (1R)- (CA INDEX NAME)

Absolute stereochemistry.

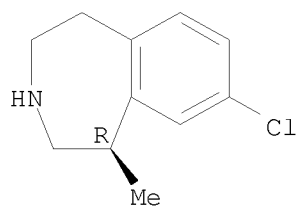


● HCl

IT 856681-05-5P 893407-21-1P  
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of polymorphic crystalline forms of  
(R)-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine hydrochloride and its sesquihydrate)  
RN 856681-05-5 CAPLUS  
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, hydrochloride, hydrate (2:2:1), (1R)- (CA INDEX NAME)

Absolute stereochemistry.

10/561,071



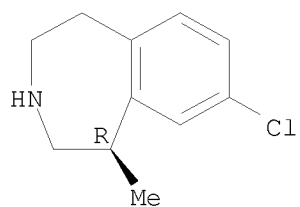
● HCl

● 1/2 H<sub>2</sub>O

RN 893407-21-1 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, hydrochloride, hydrate (2:2:3), (1R)- (CA INDEX NAME)

Absolute stereochemistry.



● HCl

● 3/2 H<sub>2</sub>O

L19 ANSWER 10 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:409483 CAPLUS

DOCUMENT NUMBER: 142:463622

TITLE: Preparation of benzazepine derivatives and methods of prophylaxis or treatment of 5-HT<sub>2C</sub> receptor associated diseases like obesity

INVENTOR(S): Smith, Brian; Gilson, Charles, III; Schultz, Jeffrey; Estrada, Scott

PATENT ASSIGNEE(S): Arena Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 80 pp.

CODEN: PIXXD2

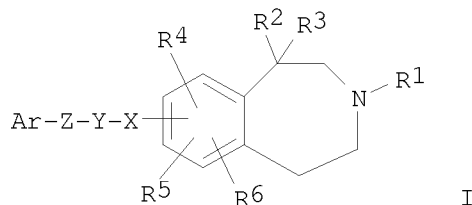
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2005042491	A1	20050512	WO 2004-US34917	20041021
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20080009478	A1	20080110	US 2007-576849	20070409
PRIORITY APPLN. INFO.:			US 2003-513865P	P 20031022
			WO 2004-US34917	W 20041021
OTHER SOURCE(S):		CASREACT 142:463622; MARPAT 142:463622		
GI				



AB The present invention relates to substituted-2,3,4,5-tetrahydro-3-benzazepine derivs. (shown as I; variables defined below; e.g. (S)-7-benzyl-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride (II) and 8-benzyl-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride (III)) that are modulators of the 5-HT<sub>2C</sub> receptor. Accordingly, compds. of the present invention are useful for the prophylaxis or treatment of 5-HT<sub>2C</sub> receptor associated diseases, conditions or disorders, such as, obesity and related disorders. For I: X



is O, S, SO, SO<sub>2</sub>, CO, COO, NR<sub>7</sub>, CONR<sub>7</sub>, SONR<sub>7</sub>, SO<sub>2</sub>NR<sub>7</sub>, NR<sub>7</sub>CONR<sub>7</sub> or is absent; Y is C<sub>1</sub>-C<sub>10</sub> alkenyl or is absent, wherein Y is (un)substituted by halo, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> haloalkyl, C<sub>1</sub>-C<sub>4</sub> haloalkoxy, hydroxy, carboxy, amino, alkylamino, or dialkylamino; Z is O, S, SO, SO<sub>2</sub> or absent; R<sub>1</sub> is H, C<sub>1</sub>-C<sub>8</sub> alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, or C<sub>1</sub>-C<sub>8</sub> haloalkyl; R<sub>2</sub> is C<sub>1</sub>-C<sub>8</sub> alkyl or C<sub>1</sub>-C<sub>8</sub> haloalkyl; R<sub>3</sub> is H, C<sub>1</sub>-C<sub>8</sub> alkyl, or C<sub>1</sub>-C<sub>8</sub> haloalkyl; or R<sub>2</sub> and R<sub>3</sub> together with the C atom to which they are attached form a C<sub>3</sub>-C<sub>7</sub> cycloalkyl. R<sub>4</sub>, R<sub>5</sub>, and R<sub>6</sub> = H, halo, C<sub>1</sub>-C<sub>8</sub> alkyl, C<sub>1</sub>-C<sub>8</sub> haloalkyl, C<sub>2</sub>-C<sub>8</sub> alkenyl, C<sub>2</sub>-C<sub>8</sub> alkynyl, aryl, heteroaryl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, heterocycloalkyl, hydroxy, mercapto, C<sub>1</sub>-C<sub>8</sub> alkoxy, C<sub>1</sub>-C<sub>8</sub> thioalkoxy, C<sub>1</sub>-C<sub>8</sub> haloalkoxy, aryloxy, cycloalkyloxy, heteroaryloxy, heterocycloalkyloxy, cyano, nitro, NR<sub>8</sub>R<sub>9</sub>, NR<sub>8</sub>COR<sub>10</sub>, COR<sub>10</sub>, COOR<sub>11</sub>, or CONR<sub>8</sub>R<sub>9</sub>; R<sub>7</sub> is H, C<sub>1</sub>-C<sub>4</sub> alkyl, or C<sub>1</sub>-C<sub>4</sub> haloalkyl; R<sub>8</sub> and R<sub>9</sub> = H, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> haloalkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, cycloalkylalkyl, aryl, or arylalkyl; or R<sub>8</sub> and R<sub>9</sub> together with the N atom to which they are attached form a 5- or 6-membered heterocycloalkyl. R<sub>10</sub> is H, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, or heterocycloalkyl; R<sub>11</sub> is H, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, or heterocycloalkyl. Ar is aryl or heteroaryl, each (un)substituted by ≥1 halo, cyano, nitro, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>2</sub>-C<sub>6</sub> alkynyl, aryl, heteroaryl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, heterocycloalkyl, hydroxy, C<sub>1</sub>-C<sub>6</sub> alkoxy, C<sub>1</sub>-C<sub>6</sub> haloalkoxy, C<sub>3</sub>-C<sub>7</sub> cycloalkyloxy, aryloxy, heteroaryloxy, heterocycloalkyloxy, mercapto, C<sub>1</sub>-C<sub>6</sub> thioalkoxy, C<sub>3</sub>-C<sub>7</sub> thiocycloalkyloxy, thioaryloxy, thioheteroaryloxy, C<sub>1</sub>-C<sub>4</sub> alkylsulfinyl, C<sub>1</sub>-C<sub>4</sub> alkylsulfonyl, C<sub>1</sub>-C<sub>4</sub> haloalkylsulfinyl, C<sub>1</sub>-C<sub>4</sub> haloalkylsulfonyl, COR<sub>12</sub>, COOR<sub>13</sub>, NR<sub>14</sub>R<sub>15</sub>, NR<sub>14</sub>COR<sub>12</sub>, NR<sub>14</sub>CONR<sub>14</sub>R<sub>15</sub>, or CONR<sub>14</sub>R<sub>15</sub>. Or Ar together with Y and Z form a benzo-fused cycloalkyl or benzo-fused heterocycloalkyl group, each (un)substituted by ≥1 halo, cyano, nitro, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>2</sub>-C<sub>6</sub> alkynyl, aryl, heteroaryl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, heterocycloalkyl, hydroxy, C<sub>1</sub>-C<sub>6</sub> alkoxy, C<sub>1</sub>-C<sub>6</sub> haloalkoxy, C<sub>3</sub>-C<sub>7</sub>, cycloalkyloxy, aryloxy, heteroaryloxy, heterocycloalkyloxy, mercapto, C<sub>1</sub>-C<sub>6</sub> thioalkoxy, C<sub>3</sub>-C<sub>7</sub> thiocycloalkyloxy, thioaryloxy, thioheteroaryloxy, C<sub>1</sub>-C<sub>4</sub> alkylsulfinyl, C<sub>1</sub>-C<sub>4</sub> alkylsulfonyl, C<sub>1</sub>-C<sub>4</sub> haloalkylsulfinyl, C<sub>1</sub>-C<sub>4</sub> haloalkylsulfonyl, COR<sub>12</sub>, COOR<sub>13</sub>, NR<sub>14</sub>R<sub>15</sub>, NR<sub>14</sub>COR<sub>12</sub>, NR<sub>14</sub>CONR<sub>14</sub>R<sub>15</sub>, or CONR<sub>14</sub>R<sub>15</sub>. R<sub>12</sub> is H, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, or heterocycloalkyl; R<sub>3</sub> is H, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, or heterocycloalkyl; and R<sub>14</sub> and R<sub>15</sub> = H, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> haloalkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, cycloalkylalkyl, aryl, or arylalkyl; or R<sub>14</sub> and R<sub>15</sub> together with the N atom to which they are attached form a 5- or 6-membered heterocycloalkyl group; provisos are given in the claims. Although the methods of preparation are not claimed, 39 example preps. are included. For example, II was prepared in 3 steps starting from (S)-N-(trifluoroacetyl)-8-chloro-1-methyl-1,2,4,5-tetrahydrobenzo[d]azepine and involving intermediates (S)-N-(Trifluoroacetyl)-8-chloro-7-iodo-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine and (S)-N-(Trifluoroacetyl)-7-benzyl-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine. 5-HT<sub>2C</sub> IC<sub>50</sub> values are reported for II and III as 30 and 7 nM, resp., from an intracellular IP<sub>3</sub> accumulation assay.

IT 616202-51-8P, N-(Trifluoroacetyl)-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine

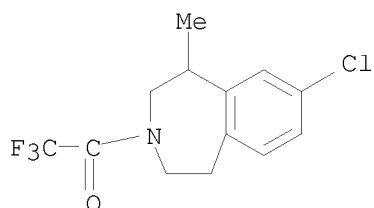
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)

(chromatog. resolution; preparation of benzazepine derivs. and methods of

prophylaxis or treatment of 5-HT<sub>2C</sub> receptor associated diseases like obesity)

RN 616202-51-8 CAPLUS

CN Ethanone, 1-(8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



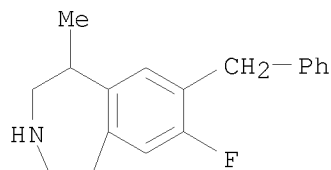
IT 851478-31-4P, 8-Benzyl-7-fluoro-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of benzazepine derivs. and methods of prophylaxis or treatment of 5-HT<sub>2C</sub> receptor associated diseases like obesity)

RN 851478-31-4 CAPLUS

CN 1H-3-Benzazepine, 7-fluoro-2,3,4,5-tetrahydro-1-methyl-8-(phenylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

IT 851477-53-7P, (2-Fluorobenzyl)[(S)-5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl]amine monohydrochloride 851477-55-9P, (3-Fluorobenzyl)[(S)-5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl]amine monohydrochloride 851477-56-0P, (4-Fluorobenzyl)[(S)-5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl]amine monohydrochloride 851477-57-1P, (Indan-1-yl)[(S)-5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl]amine monohydrochloride 851477-58-2P, (Biphenyl-4-ylmethyl)[(S)-5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl]amine monohydrochloride 851477-59-3P, [2-(3,4-Dimethoxyphenyl)ethyl][(S)-5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl]amine monohydrochloride 851477-60-6P, (S)-5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine-7-carboxylic acid benzylamide hydrochloride 851477-63-9P, (S)-5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine-7-carboxylic acid phenylamide hydrochloride 851477-64-0P, (S)-5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine-7-carboxylic acid

phenethylamide hydrochloride 851477-65-1P, (S)-5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine-7-carboxylic acid N-(phenpropyl)amide hydrochloride 851477-66-2P, (S)-5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine-7-carboxylic acid 4-phenylbenzylamide hydrochloride 851477-67-3P, (S)-8-Benzyl-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851477-70-8P, (S)-7-Benzyl-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851477-73-1P, 8-Benzyl-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851477-74-2P, 6-Benzyl-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-ol hydrochloride 851477-79-7P, (S)-8-(3-Methoxybenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine trifluoroacetate 851477-81-1P, (R)-8-Benzyl-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine trifluoroacetate 851477-84-4P, 8-Benzyl-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-ol hydrochloride 851477-87-7P, (S)-1-Methyl-8-phenethyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851477-90-2P, (S)-8-(2-Fluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine trifluoroacetate 851477-92-4P, (S)-8-(3-Fluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine trifluoroacetate 851477-96-8P, (S)-8-(4-Fluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine trifluoroacetate 851477-99-1P, (S)-1-Methyl-8-(3-trifluoromethylbenzyl)-2,3,4,5-tetrahydro-1H-benzo[d]azepine trifluoroacetate 851478-02-9P, (S)-8-(2,6-Difluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine trifluoroacetate 851478-05-2P, (S)-8-(2,4-Difluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine trifluoroacetate 851478-07-4P, (S)-8-(2,5-Difluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine trifluoroacetate 851478-09-6P, (S)-8-(3,5-Difluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine trifluoroacetate 851478-12-1P, (S)-8-(3,4-Difluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine trifluoroacetate 851478-14-3P, (S)-8-(2-Methoxybenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine trifluoroacetate 851478-16-5P, (S)-8-(4-Methoxybenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine trifluoroacetate 851478-18-7P, (S)-1-Methyl-8-(1-phenylethyl)-2,3,4,5-tetrahydro-1H-benzo[d]azepine trifluoroacetate 851478-19-8P, (8-Methoxy-5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl)phenylmethanone hydrochloride 851478-22-3P, (S)-(5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl)phenylmethanone hydrochloride 851478-24-5P, (S)-8-Benzyl-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-ol hydrochloride 851478-29-0P, (S)-6-Benzyl-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-ol hydrochloride 851478-32-5P, (S)-8-(3-Fluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-ol hydrochloride 851478-36-9P, 7-(3-Fluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-38-1P, 1-Methyl-8-(2-phenoxyethoxy)-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-39-2P, (4-Fluorobenzyl)(5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl)amine 851478-40-5P, (Biphenyl-4-ylmethyl)(5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl)amine 851478-41-6P, 5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine-7-carboxylic acid phenylamide 851478-42-7P, 5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine-7-carboxylic acid benzylamide 851478-43-8P, 5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine-7-carboxylic acid phenethylamide 851478-44-9P, 5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine-7-carboxylic acid N-(phenpropyl)amide 851478-45-0P

851478-46-1P, [2-(3,4-Dimethoxyphenyl)ethyl](5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl)amine 851478-47-2P, 8-Benzyl-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-48-3P, (Indan-1-yl)(5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl)amine 851478-49-4P, 7-Benzyl-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-50-7P, 8-Benzyl-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-51-8P, 6-Benzyl-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-ol 851478-52-9P, 8-(3-Methoxybenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-53-0P, 8-Benzyl-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-ol 851478-54-1P, 1-Methyl-8-phenethyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-55-2P, 8-(2-Fluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-56-3P, 8-(3-Fluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-57-4P, 8-(4-Fluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-58-5P, 1-Methyl-8-(3-trifluoromethylbenzyl)-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-59-6P, 8-(2,6-Difluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-60-9P, 8-(2,4-Difluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-61-0P, 8-(2,5-Difluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-62-1P, 8-(3,5-Difluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-63-2P, 8-(3,4-Difluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-64-3P, 8-(2-Methoxybenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-65-4P, 8-(4-Methoxybenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-66-5P, 1-Methyl-8-(1-phenylethyl)-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-67-6P, (8-Methoxy-5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl)phenylmethanone 851478-68-7P, (5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl)phenylmethanone 851478-69-8P, 8-Benzyl-7-fluoro-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-70-1P, 8-(3-Fluorobenzyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-ol  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

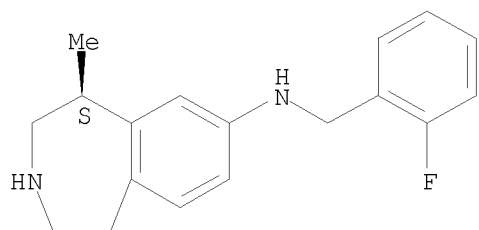
(drug candidate; preparation of benzazepine derivs. and methods of prophylaxis or treatment of 5-HT<sub>2C</sub> receptor associated diseases like obesity)

RN 851477-53-7 CAPLUS

CN 1H-3-Benzazepin-7-amine, N-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-5-methyl-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

Absolute stereochemistry.

10/561,071

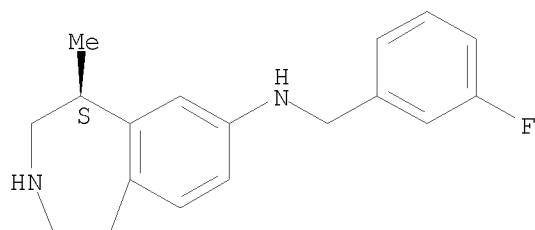


● HCl

RN 851477-55-9 CAPLUS

CN 1H-3-Benzazepin-7-amine, N-[(3-fluorophenyl)methyl]-2,3,4,5-tetrahydro-5-methyl-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

Absolute stereochemistry.

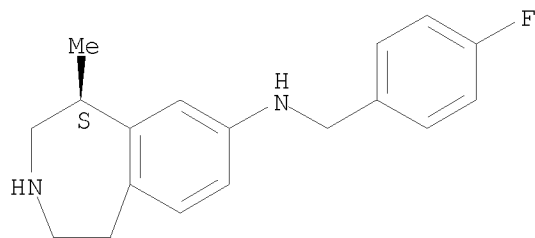


● HCl

RN 851477-56-0 CAPLUS

CN 1H-3-Benzazepin-7-amine, N-[(4-fluorophenyl)methyl]-2,3,4,5-tetrahydro-5-methyl-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

Absolute stereochemistry.



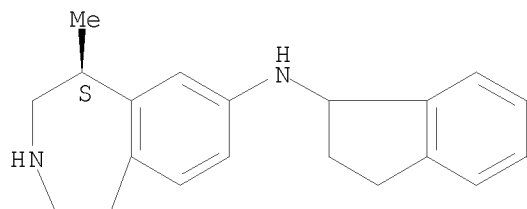
● HCl

10/561,071

RN 851477-57-1 CAPLUS

CN 1H-3-Benzazepin-7-amine, N-(2,3-dihydro-1H-inden-1-yl)-2,3,4,5-tetrahydro-5-methyl-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

Absolute stereochemistry.

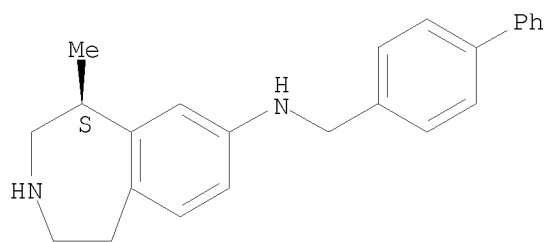


● HCl

RN 851477-58-2 CAPLUS

CN 1H-3-Benzazepin-7-amine, N-([1,1'-biphenyl]-4-ylmethyl)-2,3,4,5-tetrahydro-5-methyl-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

Absolute stereochemistry.



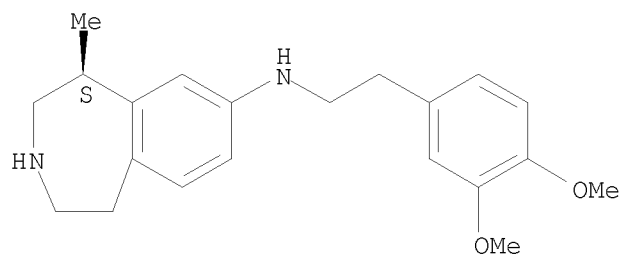
● HCl

RN 851477-59-3 CAPLUS

CN 1H-3-Benzazepin-7-amine, N-[2-(3,4-dimethoxyphenyl)ethyl]-2,3,4,5-tetrahydro-5-methyl-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

Absolute stereochemistry.

10/561,071

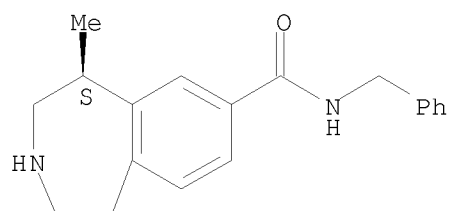


● HCl

RN 851477-60-6 CAPLUS

CN 1H-3-Benzazepine-7-carboxamide, 2,3,4,5-tetrahydro-5-methyl-N-(phenylmethyl)-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

Absolute stereochemistry.

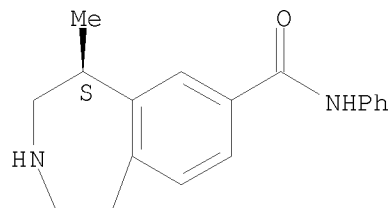


● HCl

RN 851477-63-9 CAPLUS

CN 1H-3-Benzazepine-7-carboxamide, 2,3,4,5-tetrahydro-5-methyl-N-phenyl-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

Absolute stereochemistry.



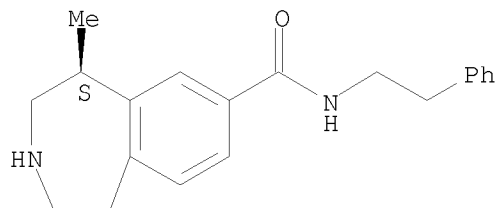
● HCl

RN 851477-64-0 CAPLUS

10/561,071

CN 1H-3-Benzazepine-7-carboxamide, 2,3,4,5-tetrahydro-5-methyl-N-(2-phenylethyl)-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

Absolute stereochemistry.

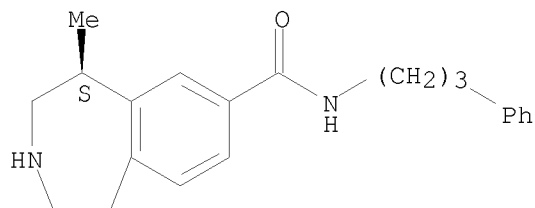


● HCl

RN 851477-65-1 CAPLUS

CN 1H-3-Benzazepine-7-carboxamide, 2,3,4,5-tetrahydro-5-methyl-N-(3-phenylpropyl)-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

Absolute stereochemistry.



● HCl

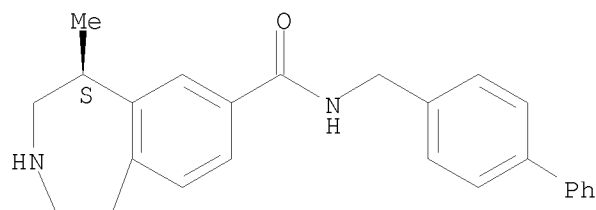
RN 851477-66-2 CAPLUS

CN 1H-3-Benzazepine-7-carboxamide, N-([1,1'-biphenyl]-4-ylmethyl)-2,3,4,5-tetrahydro-5-methyl-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

Absolute stereochemistry.



10/561,071

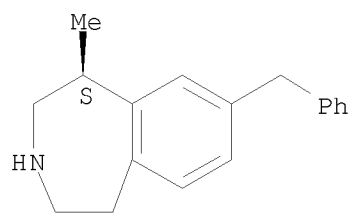


● HCl

RN 851477-67-3 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(phenylmethyl)-, hydrochloride (1:1), (1S)- (CA INDEX NAME)

Absolute stereochemistry.

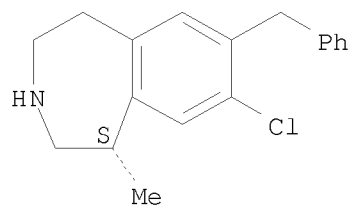


● HCl

RN 851477-70-8 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-7-(phenylmethyl)-, hydrochloride (1:1), (1S)- (CA INDEX NAME)

Absolute stereochemistry.

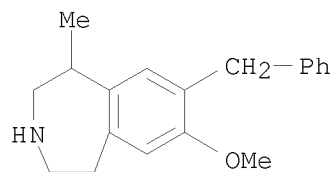


● HCl

RN 851477-73-1 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-methoxy-1-methyl-8-(phenylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)

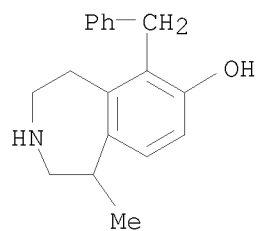
10/561,071



● HCl

RN 851477-74-2 CAPLUS

CN 1H-3-Benzazepin-7-ol, 2,3,4,5-tetrahydro-1-methyl-6-(phenylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 851477-79-7 CAPLUS

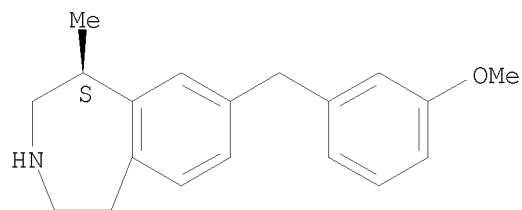
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-[(3-methoxyphenyl)methyl]-1-methyl-, (1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851477-78-6

CMF C19 H23 N O

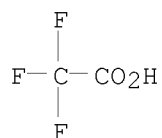
Absolute stereochemistry.



CM 2

10/561,071

CRN 76-05-1  
CMF C2 H F3 O2

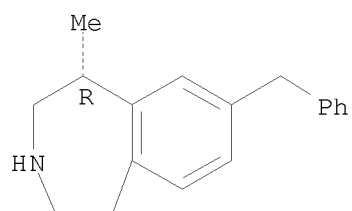


RN 851477-81-1 CAPLUS  
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(phenylmethyl)-, (1R)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

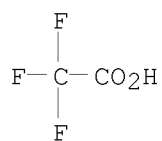
CRN 851477-80-0  
CMF C18 H21 N

Absolute stereochemistry.



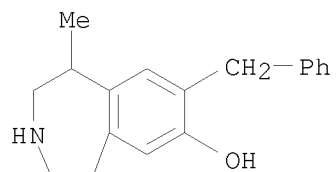
CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 851477-84-4 CAPLUS  
CN 1H-3-Benzazepin-7-ol, 2,3,4,5-tetrahydro-1-methyl-8-(phenylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)

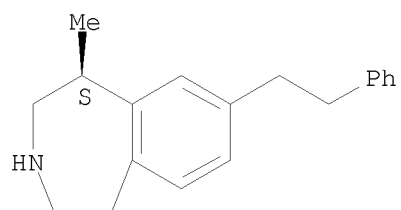
10/561,071



● HCl

RN 851477-87-7 CAPLUS  
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(2-phenylethyl)-,  
hydrochloride (1:1), (1S)- (CA INDEX NAME)

Absolute stereochemistry.



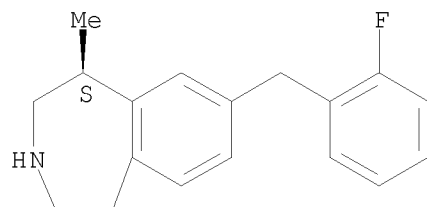
● HCl

RN 851477-90-2 CAPLUS  
CN 1H-3-Benzazepine, 8-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl-,  
(1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851477-89-9  
CMF C18 H20 F N

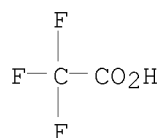
Absolute stereochemistry.



CM 2

10/561,071

CRN 76-05-1  
CMF C2 H F3 O2

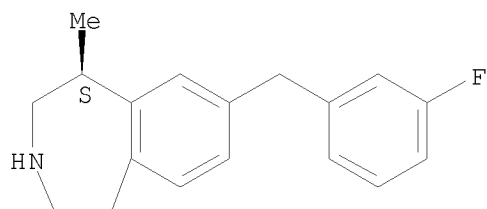


RN 851477-92-4 CAPLUS  
CN 1H-3-Benzazepine, 8-[(3-fluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl-,  
(1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

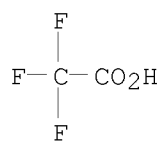
CRN 851477-91-3  
CMF C18 H20 F N

Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



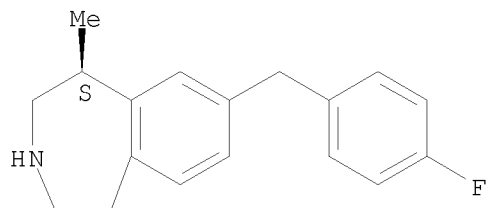
RN 851477-96-8 CAPLUS  
CN 1H-3-Benzazepine, 8-[(4-fluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl-,  
(1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851477-95-7  
CMF C18 H20 F N

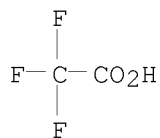
Absolute stereochemistry.

10/561,071



CM 2

CRN 76-05-1  
CMF C2 H F3 O2

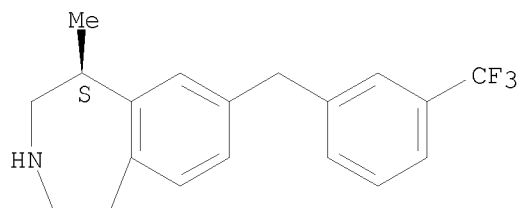


RN 851477-99-1 CAPLUS  
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-[[3-(trifluoromethyl)phenyl]methyl]-, (1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851477-98-0  
CMF C19 H20 F3 N

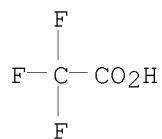
Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2

10/561,071



RN 851478-02-9 CAPLUS

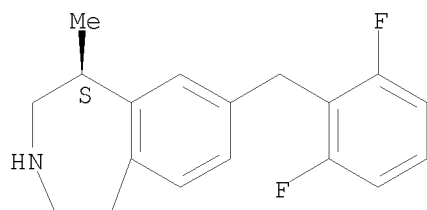
CN 1H-3-Benzazepine, 8-[(2,6-difluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl-, (1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851478-01-8

CMF C18 H19 F2 N

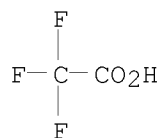
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 851478-05-2 CAPLUS

CN 1H-3-Benzazepine, 8-[(2,4-difluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl-, (1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

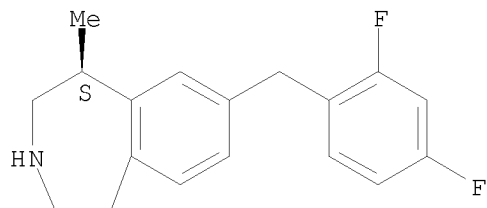
CM 1

CRN 851478-04-1

CMF C18 H19 F2 N

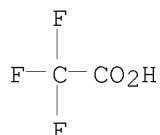
Absolute stereochemistry.

10/561,071



CM 2

CRN 76-05-1  
CMF C2 H F3 O2

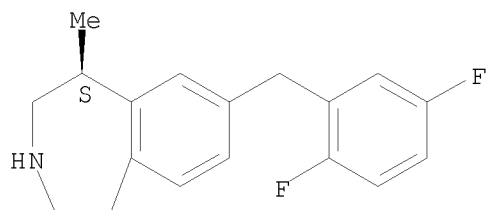


RN 851478-07-4 CAPLUS  
CN 1H-3-Benzazepine, 8-[(2,5-difluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl-, (1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

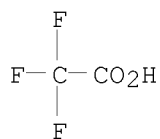
CRN 851478-06-3  
CMF C18 H19 F2 N

Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2





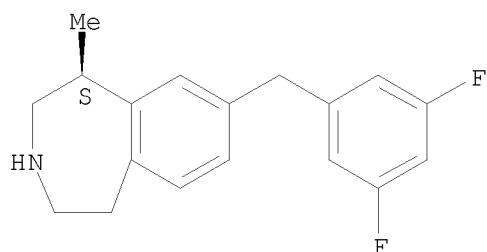
10/561,071

RN 851478-09-6 CAPLUS  
CN 1H-3-Benzazepine, 8-[(3,5-difluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl-, (1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

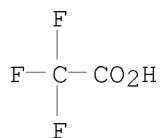
CRN 851478-08-5  
CMF C18 H19 F2 N

Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



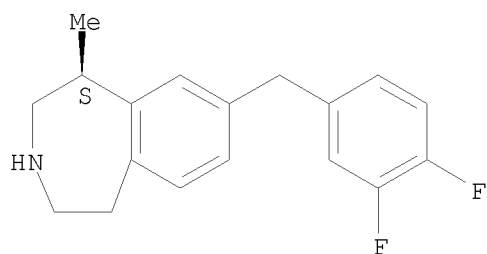
RN 851478-12-1 CAPLUS  
CN 1H-3-Benzazepine, 8-[(3,4-difluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl-, (1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851478-11-0  
CMF C18 H19 F2 N

Absolute stereochemistry.

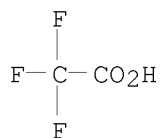
10/561,071



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 851478-14-3 CAPLUS

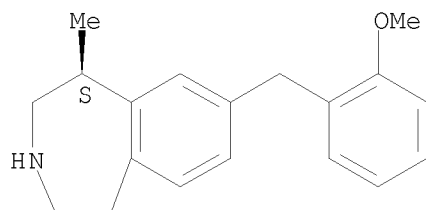
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-[(2-methoxyphenyl)methyl]-1-methyl-, (1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851478-13-2

CMF C19 H23 N O

Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2

10/561,071



RN 851478-16-5 CAPLUS

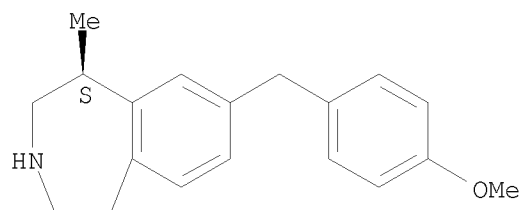
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-[(4-methoxyphenyl)methyl]-1-methyl-, (1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851478-15-4

CMF C19 H23 N O

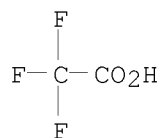
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 851478-18-7 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(1-phenylethyl)-, (1S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

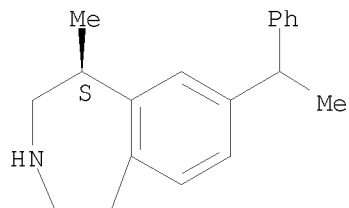
CM 1

CRN 851478-17-6

CMF C19 H23 N

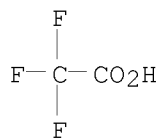
Absolute stereochemistry.

10/561,071

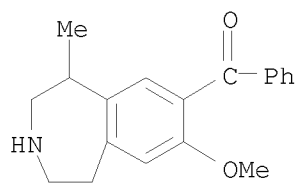


CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 851478-19-8 CAPLUS  
CN Methanone, phenyl(2,3,4,5-tetrahydro-8-methoxy-5-methyl-1H-3-benzazepin-7-yl)-, hydrochloride (1:1) (CA INDEX NAME)

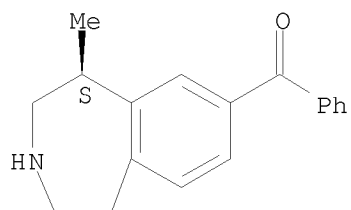


● HCl

RN 851478-22-3 CAPLUS  
CN Methanone, phenyl[(5S)-2,3,4,5-tetrahydro-5-methyl-1H-3-benzazepin-7-yl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

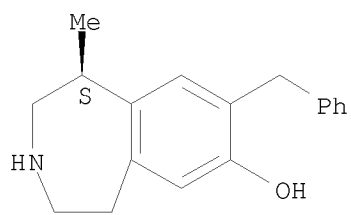
10/561,071



● HCl

RN 851478-24-5 CAPLUS  
CN 1H-3-Benzazepin-7-ol, 2,3,4,5-tetrahydro-1-methyl-8-(phenylmethyl)-,  
hydrochloride (1:1), (1S)- (CA INDEX NAME)

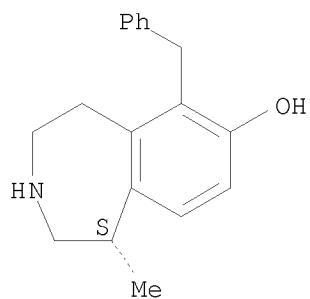
Absolute stereochemistry.



● HCl

RN 851478-29-0 CAPLUS  
CN 1H-3-Benzazepin-7-ol, 2,3,4,5-tetrahydro-1-methyl-6-(phenylmethyl)-,  
hydrochloride (1:1), (1S)- (CA INDEX NAME)

Absolute stereochemistry.



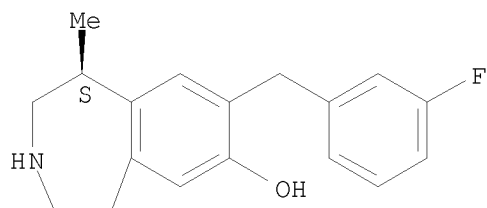
● HCl

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RN 851478-32-5 CAPLUS

CN 1H-3-Benzazepin-7-ol, 8-[(3-fluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl-, hydrochloride (1:1), (1S)- (CA INDEX NAME)

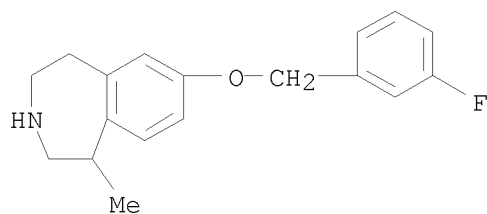
Absolute stereochemistry.



● HCl

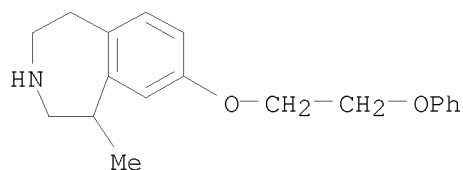
RN 851478-36-9 CAPLUS

CN 1H-3-Benzazepine, 7-[(3-fluorophenyl)methoxy]-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



RN 851478-38-1 CAPLUS

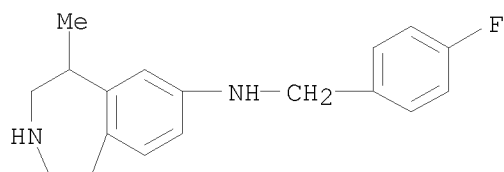
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(2-phenoxyethoxy)- (CA INDEX NAME)



RN 851478-39-2 CAPLUS

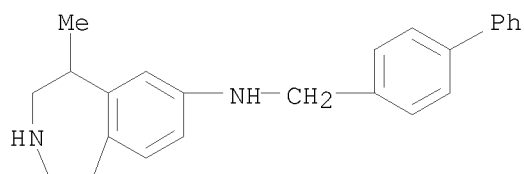
CN 1H-3-Benzazepin-7-amine, N-[(4-fluorophenyl)methyl]-2,3,4,5-tetrahydro-5-methyl- (CA INDEX NAME)

10/561,071



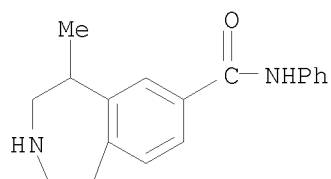
RN 851478-40-5 CAPLUS

CN 1H-3-Benzazepin-7-amine, N-([1,1'-biphenyl]-4-ylmethyl)-2,3,4,5-tetrahydro-5-methyl- (CA INDEX NAME)



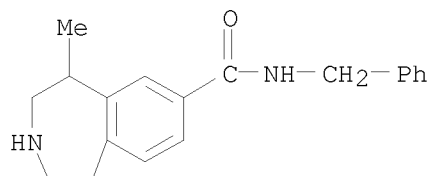
RN 851478-41-6 CAPLUS

CN 1H-3-Benzazepine-7-carboxamide, 2,3,4,5-tetrahydro-5-methyl-N-phenyl- (CA INDEX NAME)



RN 851478-42-7 CAPLUS

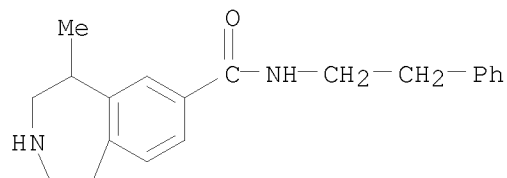
CN 1H-3-Benzazepine-7-carboxamide, 2,3,4,5-tetrahydro-5-methyl-N-(phenylmethyl)- (CA INDEX NAME)



RN 851478-43-8 CAPLUS

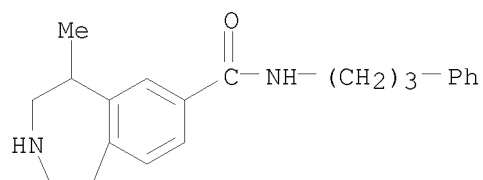
CN 1H-3-Benzazepine-7-carboxamide, 2,3,4,5-tetrahydro-5-methyl-N-(2-phenylethyl)- (CA INDEX NAME)

10/561,071



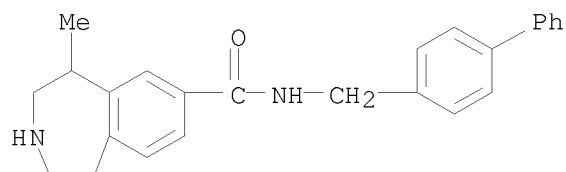
RN 851478-44-9 CAPLUS

CN 1H-3-Benzazepine-7-carboxamide, 2,3,4,5-tetrahydro-5-methyl-N-(3-phenylpropyl)- (CA INDEX NAME)



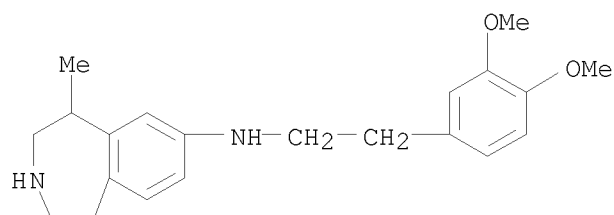
RN 851478-45-0 CAPLUS

CN 1H-3-Benzazepine-7-carboxamide, N-([1,1'-biphenyl]-4-ylmethyl)-2,3,4,5-tetrahydro-5-methyl- (CA INDEX NAME)



RN 851478-46-1 CAPLUS

CN 1H-3-Benzazepine-7-carboxamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-2,3,4,5-tetrahydro-5-methyl- (CA INDEX NAME)

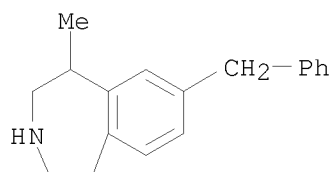


RN 851478-47-2 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(phenylmethyl)- (CA INDEX NAME)

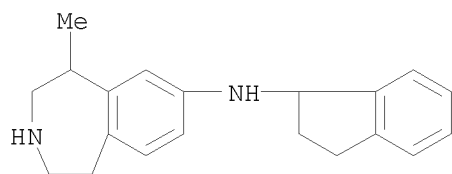


10/561,071



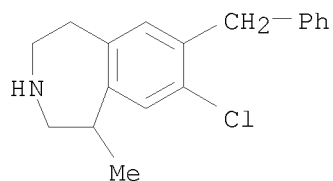
RN 851478-48-3 CAPLUS

CN 1H-3-Benzazepine, N-(2,3-dihydro-1H-inden-1-yl)-2,3,4,5-tetrahydro-5-methyl- (CA INDEX NAME)



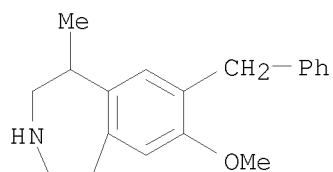
RN 851478-49-4 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-7-(phenylmethyl)- (CA INDEX NAME)



RN 851478-50-7 CAPLUS

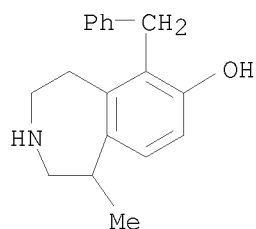
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-methoxy-1-methyl-8-(phenylmethyl)- (CA INDEX NAME)



RN 851478-51-8 CAPLUS

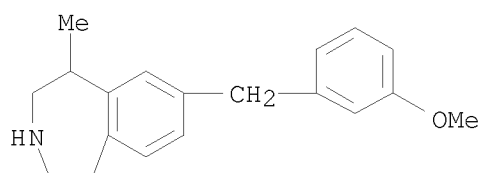
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-6-(phenylmethyl)- (CA INDEX NAME)

10/561,071



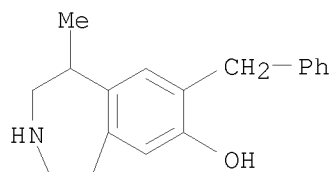
RN 851478-52-9 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-[(3-methoxyphenyl)methyl]-1-methyl-  
(CA INDEX NAME)



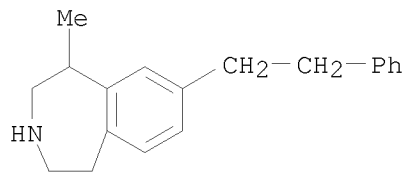
RN 851478-53-0 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(phenylmethyl)- (CA  
INDEX NAME)



RN 851478-54-1 CAPLUS

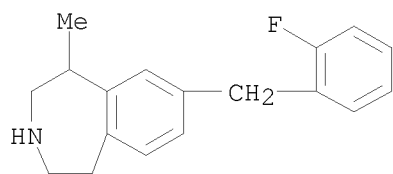
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(2-phenylethyl)- (CA  
INDEX NAME)



RN 851478-55-2 CAPLUS

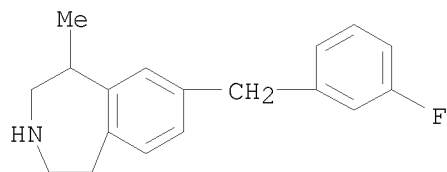
CN 1H-3-Benzazepine, 8-[(2-fluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl-  
(CA INDEX NAME)

10/561,071



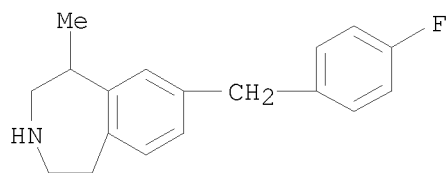
RN 851478-56-3 CAPLUS

CN 1H-3-Benzazepine, 8-[(3-fluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl-  
(CA INDEX NAME)



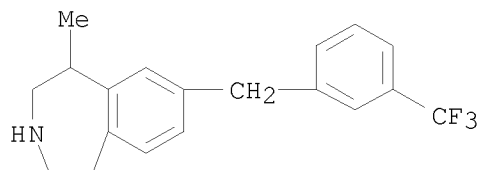
RN 851478-57-4 CAPLUS

CN 1H-3-Benzazepine, 8-[(4-fluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl-  
(CA INDEX NAME)



RN 851478-58-5 CAPLUS

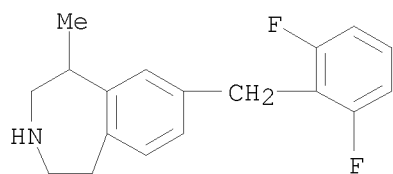
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-[[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)



RN 851478-59-6 CAPLUS

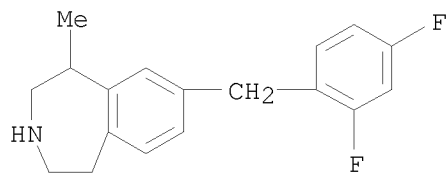
CN 1H-3-Benzazepine, 8-[(2,6-difluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

10/561,071



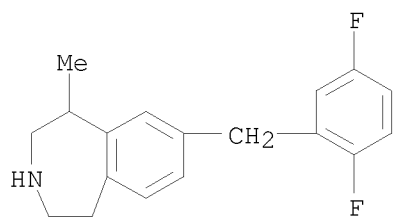
RN 851478-60-9 CAPLUS

CN 1H-3-Benzazepine, 8-[(2,4-difluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



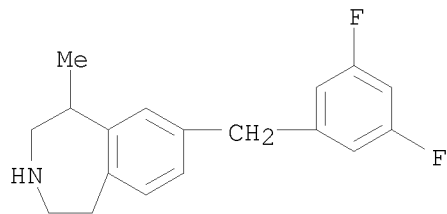
RN 851478-61-0 CAPLUS

CN 1H-3-Benzazepine, 8-[(2,5-difluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



RN 851478-62-1 CAPLUS

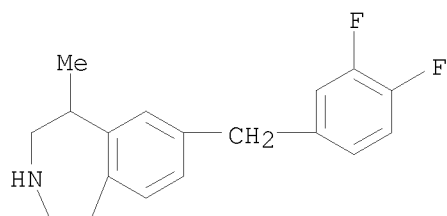
CN 1H-3-Benzazepine, 8-[(3,5-difluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



RN 851478-63-2 CAPLUS

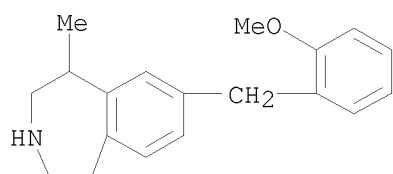
CN 1H-3-Benzazepine, 8-[(3,4-difluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

10/561,071



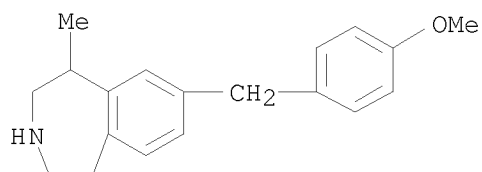
RN 851478-64-3 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-[(2-methoxyphenyl)methyl]-1-methyl-  
(CA INDEX NAME)



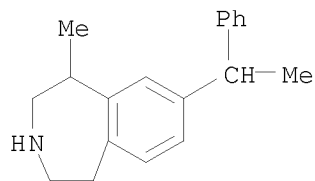
RN 851478-65-4 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-[(4-methoxyphenyl)methyl]-1-methyl-  
(CA INDEX NAME)



RN 851478-66-5 CAPLUS

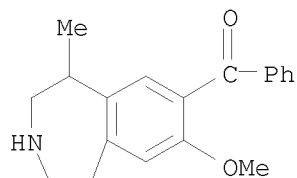
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(1-phenylethyl)- (CA  
INDEX NAME)



RN 851478-67-6 CAPLUS

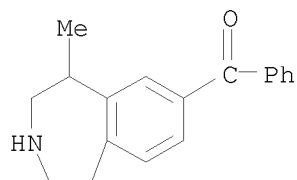
CN Methanone, phenyl(2,3,4,5-tetrahydro-8-methoxy-5-methyl-1H-3-benzazepin-7-  
yl)- (CA INDEX NAME)

10/561,071



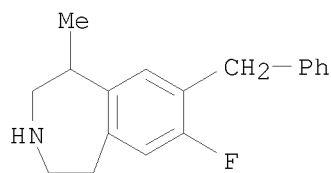
RN 851478-68-7 CAPLUS

CN Methanone, phenyl (2,3,4,5-tetrahydro-5-methyl-1H-3-benzazepin-7-yl)- (CA INDEX NAME)



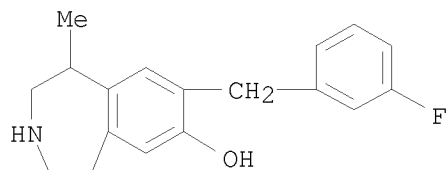
RN 851478-69-8 CAPLUS

CN 1H-3-Benzazepine, 7-fluoro-2,3,4,5-tetrahydro-1-methyl-8-(phenylmethyl)- (CA INDEX NAME)



RN 851478-70-1 CAPLUS

CN 1H-3-Benzazepin-7-ol, 8-[(3-fluorophenyl)methyl]-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



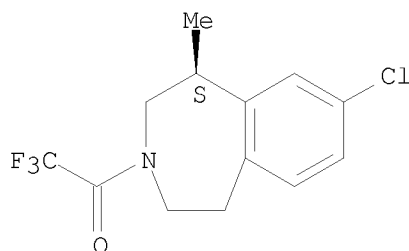
IT 616202-78-9P, (S)-N-(Trifluoroethanoyl)-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine

RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of benzazepine derivs. and methods of prophylaxis or treatment of 5-HT<sub>2C</sub> receptor associated diseases like obesity)

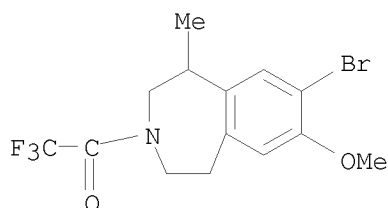
RN 616202-78-9 CAPLUS

CN Ethanone, 1-[(1S)-8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.



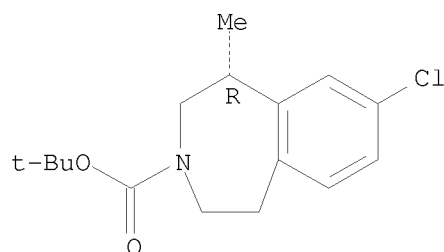
IT 616202-12-1, N-(Trifluoroacetyl)-8-bromo-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851477-82-2, (R)-N-tert-Butoxycarbonyl-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851477-86-6, N-(Trifluoroacetyl)-8-benzyl-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-23-4, N-Boc-8-benzoyl-1-methyl-1,2,4,5-tetrahydrobenzo[d]azepine 851478-26-7, (S)-N-(Trifluoroethanoyl)-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-30-3, (S)-7-Benzoyloxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-34-7, (S)-N-(Trifluoroethanoyl)-8-bromo-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of benzazepine derivs. and methods of prophylaxis or treatment of 5-HT<sub>2C</sub> receptor associated diseases like obesity)  
 RN 616202-12-1 CAPLUS  
 CN Ethanone, 1-(8-bromo-1,2,4,5-tetrahydro-7-methoxy-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



RN 851477-82-2 CAPLUS  
 CN 3H-3-Benzazepine-3-carboxylic acid, 8-chloro-1,2,4,5-tetrahydro-1-methyl-, 1,1-dimethylethyl ester, (1R)- (CA INDEX NAME)

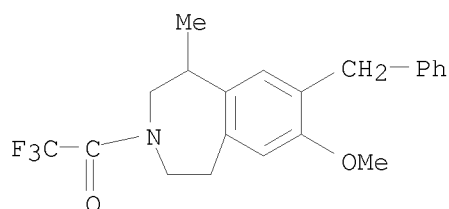
Absolute stereochemistry.

10/561,071



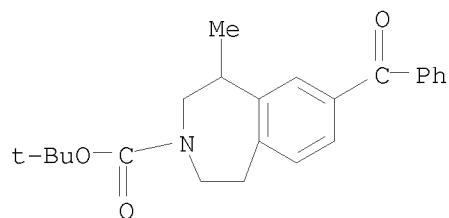
RN 851477-86-6 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7-methoxy-1-methyl-8-(phenylmethyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



RN 851478-23-4 CAPLUS

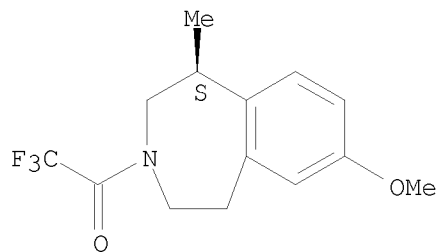
CN 3H-3-Benzazepine-3-carboxylic acid, 8-benzoyl-1,2,4,5-tetrahydro-1-methyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 851478-26-7 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[(1S)-1,2,4,5-tetrahydro-7-methoxy-1-methyl-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

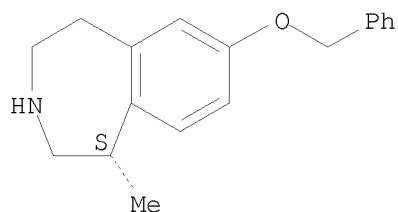




10/561,071

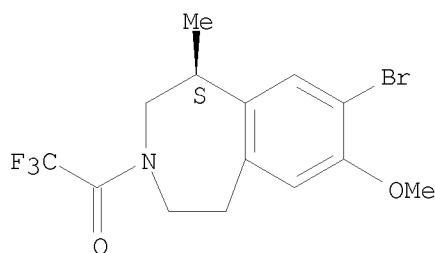
RN 851478-30-3 CAPLUS  
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(phenylmethoxy)-, (1S)-  
(CA INDEX NAME)

Absolute stereochemistry.



RN 851478-34-7 CAPLUS  
CN Ethanone, 1-[(1S)-8-bromo-1,2,4,5-tetrahydro-7-methoxy-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.



IT 616202-81-4P, (S)-8-Chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 851477-54-8P, (S)-N-tert-Butoxycarbonyl-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851477-61-7P, (S)-8-(Furan-2-yl)-1-methyl-1,2,4,5-tetrahydrobenzo[d]azepine-3-carboxylic acid tert-butyl ester 851477-62-8P, (S)-5-Methyl-1,2,4,5-tetrahydrobenzo[d]azepine-3,7-dicarboxylic acid 3-tert-butyl ester 851477-68-4P, (S)-8-(N-Methoxy-N-methylcarbamoyl)-1-methyl-1,2,4,5-tetrahydrobenzo[d]azepine-3-carboxylic acid tert-butyl ester 851477-69-5P, (S)-8-Benzoyl-1-methyl-1,2,4,5-tetrahydrobenzo[d]azepine-3-carboxylic acid tert-butyl ester 851477-71-9P, (S)-N-(Trifluoroethanoyl)-8-chloro-7-iodo-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851477-72-0P, (S)-N-(Trifluoroethanoyl)-7-benzyl-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851477-77-5P, 7-Benzyloxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851477-83-3P, N-(Trifluoroacetyl)-8-benzyl-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851477-85-5P, N-(Trifluoroacetyl)-8-benzyl-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-ol 851477-88-8P, (S)-N-tert-Butoxycarbonyl-1-methyl-8-styryl-1,2,4,5-tetrahydro-3H-benzo[d]azepine 851478-20-1P, N-(Trifluoroacetyl)-7-methoxy-1-methyl-8-(1-phenylvinyl)-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-21-2P, [N-(Trifluoroacetyl)-8-methoxy-5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl]phenylmethanone 851478-25-6P, (S)-N-(Trifluoroethanoyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-

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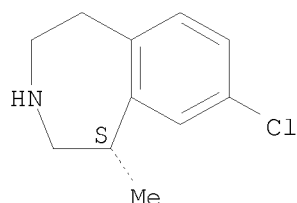
ol 851478-27-8P, (S)-N-(Trifluoroethanoyl)-7-benzyloxy-1-methyl-  
2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-28-9P,  
(S)-7-Benzyloxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine  
hydrochloride 851478-33-6P, (S)-N-(Trifluoroethanoyl)-8-(3-  
fluorobenzyl)-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine  
851478-35-8P, (S)-N-(Trifluoroethanoyl)-8-(3-fluorobenzyl)-1-  
methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-ol  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

(preparation of benzazepine derivs. and methods of prophylaxis or treatment  
of 5-HT<sub>2C</sub> receptor associated diseases like obesity)

RN 616202-81-4 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1S)- (CA INDEX  
NAME)

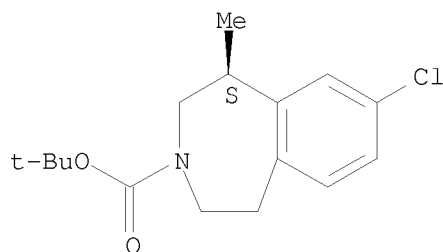
Absolute stereochemistry.



RN 851477-54-8 CAPLUS

CN 3H-3-Benzazepine-3-carboxylic acid, 8-chloro-1,2,4,5-tetrahydro-1-methyl-,  
1,1-dimethylethyl ester, (1S)- (CA INDEX NAME)

Absolute stereochemistry.

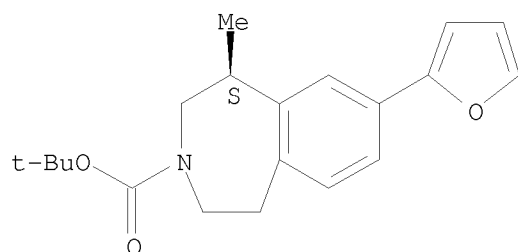


RN 851477-61-7 CAPLUS

CN 3H-3-Benzazepine-3-carboxylic acid, 8-(2-furanyl)-1,2,4,5-tetrahydro-1-  
methyl-, 1,1-dimethylethyl ester, (1S)- (CA INDEX NAME)

Absolute stereochemistry.

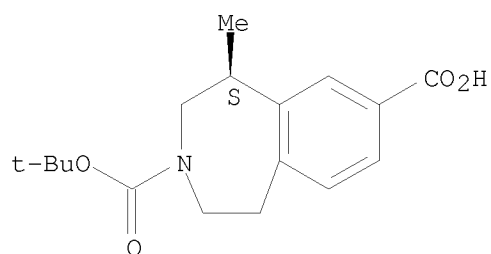
10/561,071



RN 851477-62-8 CAPLUS

CN 3H-3-Benzazepine-3,7-dicarboxylic acid, 1,2,4,5-tetrahydro-5-methyl-,  
3-(1,1-dimethylethyl) ester, (5S)- (CA INDEX NAME)

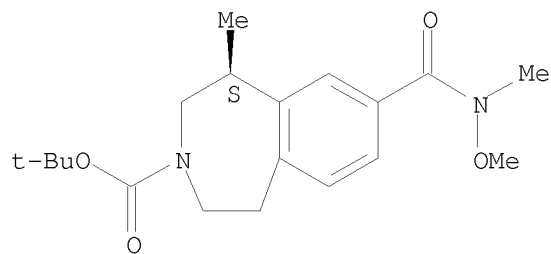
Absolute stereochemistry.



RN 851477-68-4 CAPLUS

CN 3H-3-Benzazepine-3-carboxylic acid, 1,2,4,5-tetrahydro-8-  
[(methoxymethylamino)carbonyl]-1-methyl-, 1,1-dimethylethyl ester, (1S)-  
(CA INDEX NAME)

Absolute stereochemistry.

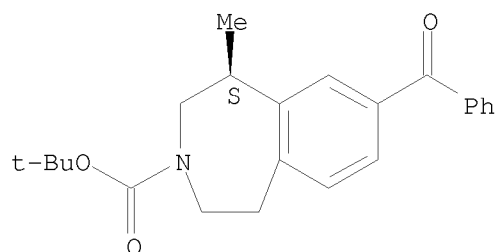


RN 851477-69-5 CAPLUS

CN 3H-3-Benzazepine-3-carboxylic acid, 8-benzoyl-1,2,4,5-tetrahydro-1-methyl-,  
1,1-dimethylethyl ester, (1S)- (CA INDEX NAME)

Absolute stereochemistry.

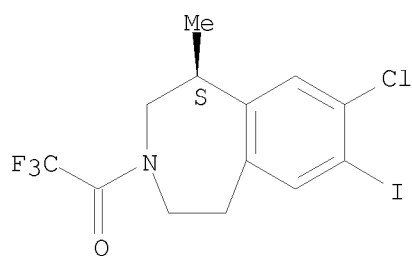
10/561,071



RN 851477-71-9 CAPLUS

CN Ethanone, 1-[(1S)-8-chloro-1,2,4,5-tetrahydro-7-iodo-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

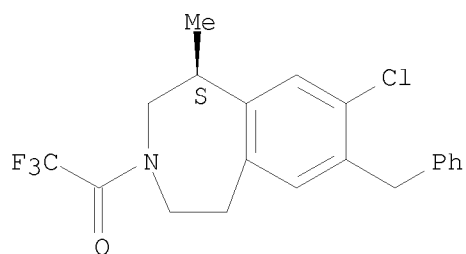
Absolute stereochemistry.



RN 851477-72-0 CAPLUS

CN Ethanone, 1-[(1S)-8-chloro-1,2,4,5-tetrahydro-1-methyl-7-(phenylmethyl)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

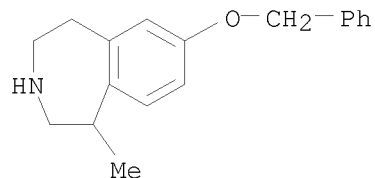
Absolute stereochemistry.



RN 851477-77-5 CAPLUS

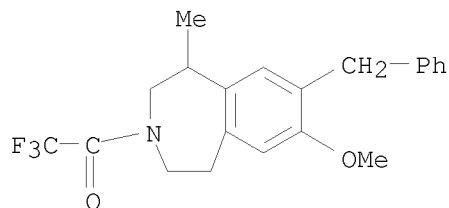
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(phenylmethoxy)-, hydrochloride (1:1) (CA INDEX NAME)

10/561,071



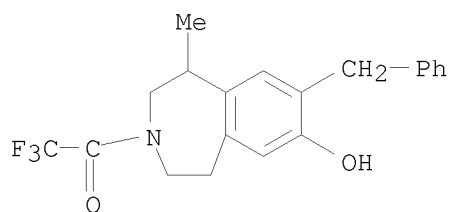
● HCl

RN 851477-83-3 CAPLUS  
CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7-methoxy-1-methyl-8-(phenylmethyl)-3H-3-benzazepin-3-yl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

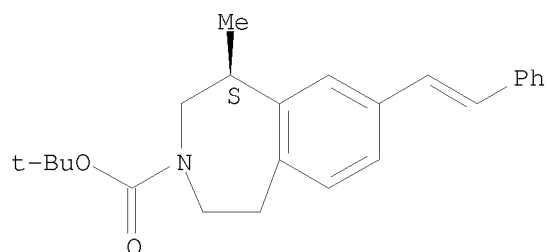
RN 851477-85-5 CAPLUS  
CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7-hydroxy-1-methyl-8-(phenylmethyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



RN 851477-88-8 CAPLUS  
CN 3H-3-Benzazepine-3-carboxylic acid, 1,2,4,5-tetrahydro-1-methyl-8-(2-phenylethenyl)-, 1,1-dimethylethyl ester, (1S)- (CA INDEX NAME)

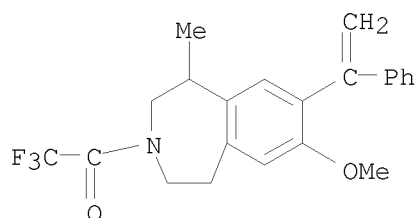
Absolute stereochemistry.  
Double bond geometry unknown.

10/561,071



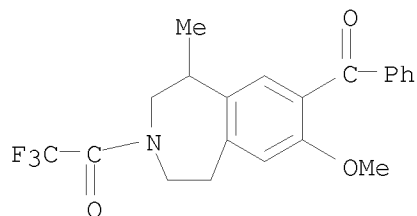
RN 851478-20-1 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7-methoxy-1-methyl-8-(1-phenylethenyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



RN 851478-21-2 CAPLUS

CN Ethanone, 1-(8-benzoyl-1,2,4,5-tetrahydro-7-methoxy-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)

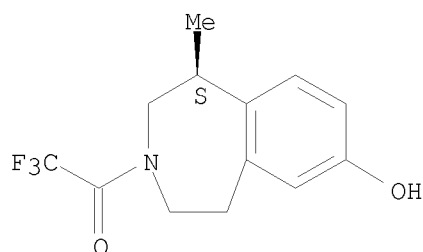


RN 851478-25-6 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[(1S)-1,2,4,5-tetrahydro-7-hydroxy-1-methyl-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

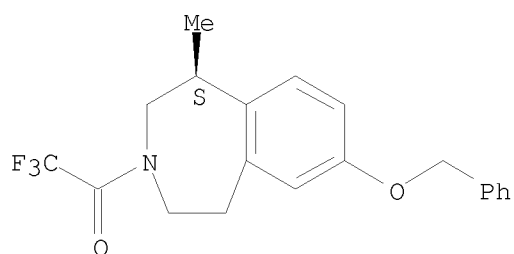
10/561,071



RN 851478-27-8 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[(1S)-1,2,4,5-tetrahydro-1-methyl-7-(phenylmethoxy)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

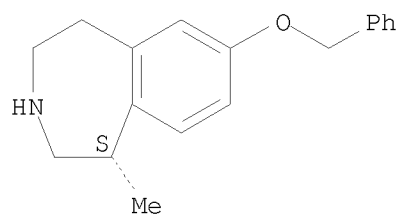
Absolute stereochemistry.



RN 851478-28-9 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(phenylmethoxy)-, hydrochloride (1:1), (1S)- (CA INDEX NAME)

Absolute stereochemistry.



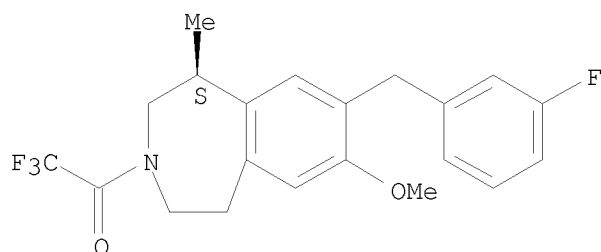
● HCl

RN 851478-33-6 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[(1S)-8-[(3-fluorophenyl)methyl]-1,2,4,5-tetrahydro-7-methoxy-1-methyl-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

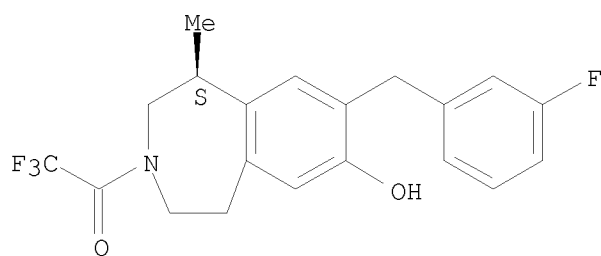
10/561,071



RN 851478-35-8 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[(1S)-8-[(3-fluorophenyl)methyl]-1,2,4,5-tetrahydro-7-hydroxy-1-methyl-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

7

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



L19 ANSWER 11 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:409482 CAPLUS

DOCUMENT NUMBER: 142:463621

TITLE: Benzazepine derivatives, their preparation and use for prophylaxis or treatment of 5HT2C receptor-associated diseases

INVENTOR(S): Smith, Brian; Schultz, Jeffrey; Gilson, Charles, III; Estrada, Scott

PATENT ASSIGNEE(S): Arena Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 59 pp.

CODEN: PIXXD2

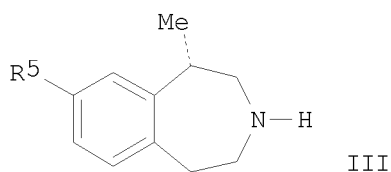
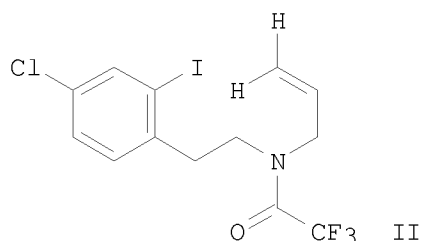
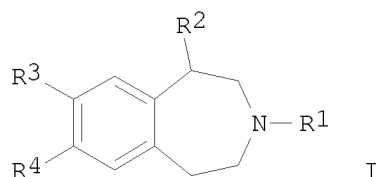
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005042490	A1	20050512	WO 2004-US34914	20041021
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20070275949	A1	20071129	US 2006-573196	20060420
PRIORITY APPLN. INFO.:			US 2003-513894P	P 20031022
			WO 2004-US34914	W 20041021
OTHER SOURCE(S):			CASREACT 142:463621; MARPAT 142:463621	
GI				



AB The invention relates to substituted 2,3,4,5-tetrahydro-3-benzazepine derivs. I, that are modulators of the 5HT2C receptor. In compds. I, R1 is H or C1-8 alkyl; R2 is C1-8 alkyl; R3 is H, aryl, arylalkyloxy, arylalkylamino, arylamino, or heteroaryl, where the N is optionally substituted and where the aryl is optionally substituted with up to two substituents selected from C1-8 alkyl, halo, perhaloalkyl, and alkoxy; R4 is H, arylalkyloxy, alkoxy, or aryloxy; provided that at least one of R3 and R4 is other than H, etc. The invention also relates to the preparation of I, pharmaceutical compns. containing I and a pharmaceutically acceptable carrier, as well as to the use of the compns. for the treatment of disorders involving 5HT2C receptors. N-Protection of 4-chlorophenethylamine as the trifluoroacetamide followed by iodination and N-allylation resulted in the formation of II. II underwent intramol. Heck reaction followed by hydrogenation, separation of enantiomers, and deprotection to give III [R5 = Cl; (S)-enantiomer shown], which, upon N-Boc-protection, substitution with benzylamine, and deprotection, produced III (R5 = NHCH2Ph) as the hydrochloride. Several compds. were tested for 5HT2C agonist activity, with 12 of those having IC50 values between 1 nM and 1.3  $\mu$ M and several others below 10  $\mu$ M. Some compds. of the invention have 3-10 times greater 5HT2C agonist activity than 5HT2B agonist activity.

IT 851478-28-9P, (S)-7-Benzyloxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-34-8P 851544-39-3P 851544-41-7P 851544-44-0P 851544-47-3P 851544-49-5P 851544-51-9P 851544-53-1P, 8-Benzyloxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-60-0P, (S)-1-Methyl-8-phenyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-64-4P, 1-Methyl-7-(1-phenylethoxy)-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-66-6P, 1-Methyl-7-phenethyloxy-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-67-7P, 1-Methyl-7-(3-phenylpropoxy)-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-68-8P, 8-Benzyloxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851544-73-5P, (R)-1-Methyl-8-phenyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-75-7P,

7-Methoxy-1-methyl-8-phenyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-77-9P, (S)-8-(2-Fluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-78-0P, (S)-8-(3-Fluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-79-1P, (S)-8-(4-Fluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-80-4P, (S)-8-(2,6-Difluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-81-5P, (R)-8-(3-Fluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-82-6P, (R)-8-(4-Fluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-83-7P, (R)-8-(2,3-Difluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-84-8P, (S)-8-(2,5-Difluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-85-9P, (R)-1-Methyl-8-pyridin-3-yl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-86-0P, 1-Methyl-8-pyridin-2-yl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride 851544-89-3P, 7-Benzyloxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851544-90-6P, 1-Methyl-7-(1-phenylethoxy)-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851544-91-7P, 1-Methyl-7-phenethyloxy-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851544-92-8P, 1-Methyl-7-(3-phenylpropoxy)-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851544-93-9P, Benzyl[5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl]amine 851544-94-0P, [5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl](1'-phenylethyl)amine 851544-95-1P, N-Benzyl-N-methyl[5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl]amine 851544-96-2P, N-[5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl]phenethylamine 851544-97-3P, N-[5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl](3-phenylpropyl)amine 851544-98-4P, N-[5-Methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-yl]phenylamine 851544-99-5P, 1-Methyl-8-phenyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851545-00-1P, 7-Methoxy-1-methyl-8-phenyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851545-01-2P, 8-(2-Fluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851545-02-3P, 8-(3-Fluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851545-03-4P, 8-(4-Fluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851545-04-5P, 8-(2,6-Difluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851545-05-6P, 8-(2,3-Difluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851545-06-7P, 8-(2,5-Difluorophenyl)-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851545-07-8P, 1-Methyl-8-pyridin-3-yl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851545-08-9P, 1-Methyl-8-pyridin-2-yl-2,3,4,5-tetrahydro-1H-benzo[d]azepine

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

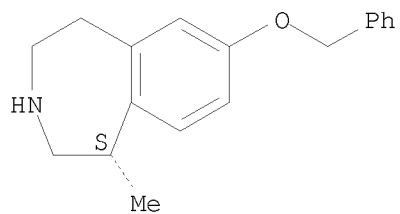
(drug candidate; preparation of benzazepine derivs. and use as 5HT2C receptor agonists)

RN 851478-28-9 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(phenylmethoxy)-, hydrochloride (1:1), (1S)- (CA INDEX NAME)

Absolute stereochemistry.

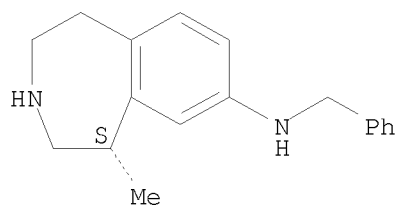
10/561,071



● HCl

RN 851544-34-8 CAPLUS  
CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-5-methyl-N-(phenylmethoxy)-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

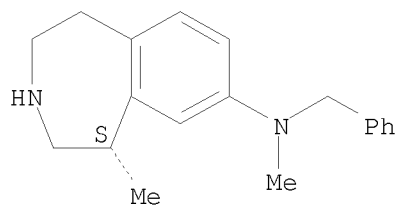
Absolute stereochemistry.



● HCl

RN 851544-39-3 CAPLUS  
CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-N,5-dimethyl-N-(phenylmethyl)-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

Absolute stereochemistry.

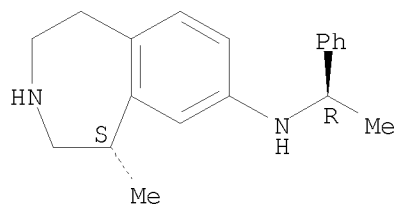


● HCl

RN 851544-41-7 CAPLUS  
CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-5-methyl-N-[(1R)-1-phenylethyl]-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

10/561,071

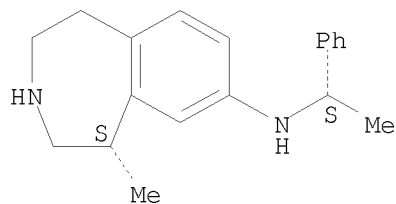
Absolute stereochemistry.



● HCl

RN 851544-44-0 CAPLUS  
CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-5-methyl-N-[(1S)-1-phenylethyl]-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

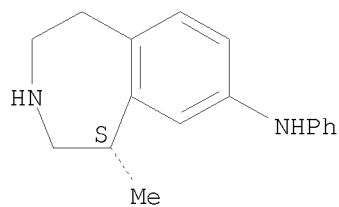
Absolute stereochemistry.



● HCl

RN 851544-47-3 CAPLUS  
CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-5-methyl-N-phenyl-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

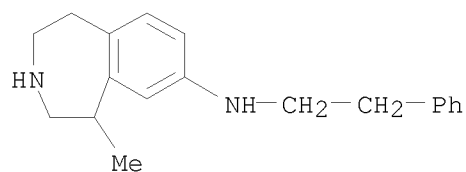
Absolute stereochemistry.



● HCl

RN 851544-49-5 CAPLUS  
CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-5-methyl-N-(2-phenylethyl)-, hydrochloride (1:1) (CA INDEX NAME)

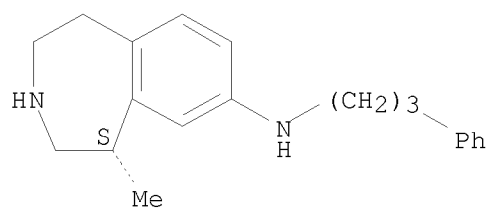
10/561,071



● HCl

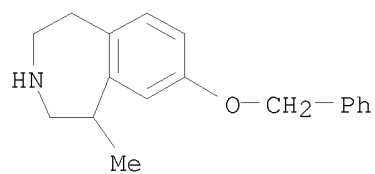
RN 851544-51-9 CAPLUS  
CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-5-methyl-N-(3-phenylpropyl)-, hydrochloride (1:1), (5S)- (CA INDEX NAME)

Absolute stereochemistry.



● HCl

RN 851544-53-1 CAPLUS  
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(phenylmethoxy)-, hydrochloride (1:1) (CA INDEX NAME)

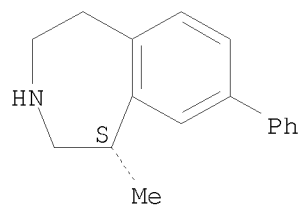


● HCl

RN 851544-60-0 CAPLUS  
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-phenyl-, hydrochloride (1:1), (1S)- (CA INDEX NAME)

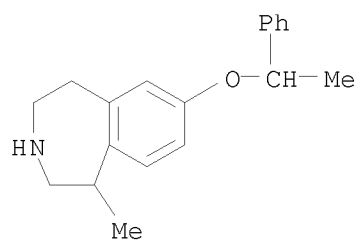
Absolute stereochemistry.

10/561,071



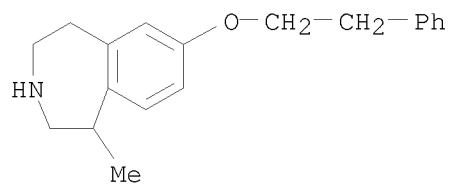
● HCl

RN 851544-64-4 CAPLUS  
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(1-phenylethoxy)-,  
hydrochloride (1:1) (CA INDEX NAME)



● HCl

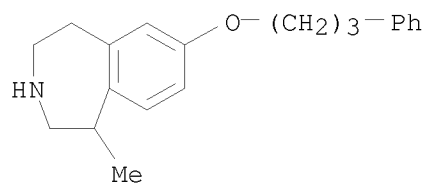
RN 851544-66-6 CAPLUS  
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(2-phenylethoxy)-,  
hydrochloride (1:1) (CA INDEX NAME)



● HCl

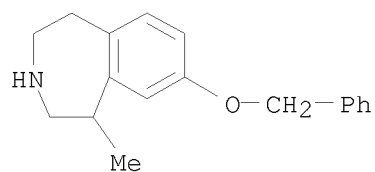
RN 851544-67-7 CAPLUS  
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(3-phenylpropoxy)-,  
hydrochloride (1:1) (CA INDEX NAME)

10/561,071



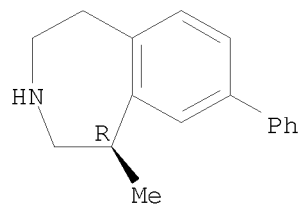
● HCl

RN 851544-68-8 CAPLUS  
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(phenylmethoxy)- (CA INDEX NAME)



RN 851544-73-5 CAPLUS  
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-phenyl-, hydrochloride (1:1), (1R)- (CA INDEX NAME)

Absolute stereochemistry.

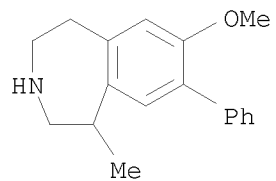


● HCl

RN 851544-75-7 CAPLUS  
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-methoxy-1-methyl-8-phenyl-, hydrochloride (1:1) (CA INDEX NAME)



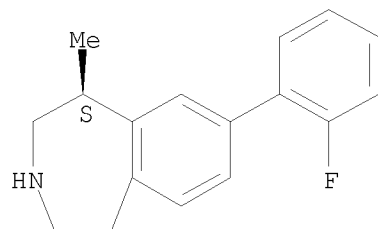
10/561,071



● HCl

RN 851544-77-9 CAPLUS  
CN 1H-3-Benzazepine, 8-(2-fluorophenyl)-2,3,4,5-tetrahydro-1-methyl-,  
hydrochloride (1:1), (1S)- (CA INDEX NAME)

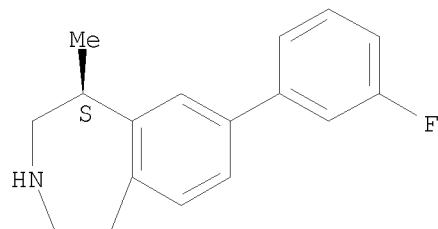
Absolute stereochemistry.



● HCl

RN 851544-78-0 CAPLUS  
CN 1H-3-Benzazepine, 8-(3-fluorophenyl)-2,3,4,5-tetrahydro-1-methyl-,  
hydrochloride (1:1), (1S)- (CA INDEX NAME)

Absolute stereochemistry.



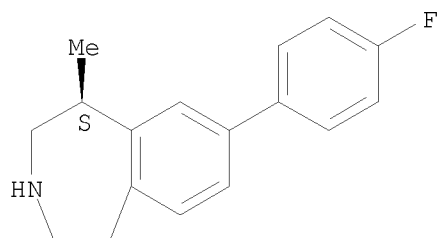
● HCl

RN 851544-79-1 CAPLUS  
CN 1H-3-Benzazepine, 8-(4-fluorophenyl)-2,3,4,5-tetrahydro-1-methyl-,

10/561,071

hydrochloride (1:1), (1S)- (CA INDEX NAME)

Absolute stereochemistry.

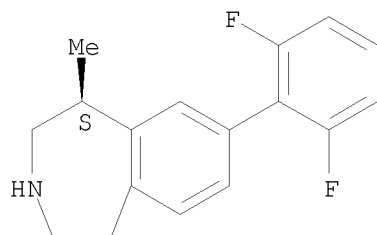


● HCl

RN 851544-80-4 CAPLUS

CN 1H-3-Benzazepine, 8-(2,6-difluorophenyl)-2,3,4,5-tetrahydro-1-methyl-, hydrochloride (1:1), (1S)- (CA INDEX NAME)

Absolute stereochemistry.



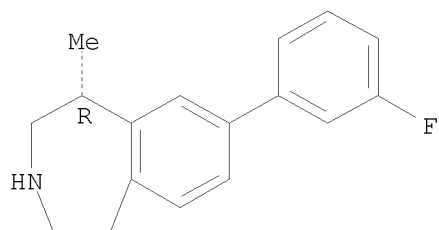
● HCl

RN 851544-81-5 CAPLUS

CN 1H-3-Benzazepine, 8-(3-fluorophenyl)-2,3,4,5-tetrahydro-1-methyl-, hydrochloride (1:1), (1R)- (CA INDEX NAME)

Absolute stereochemistry.

10/561,071

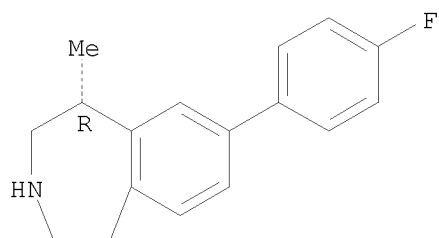


● HCl

RN 851544-82-6 CAPLUS

CN 1H-3-Benzazepine, 8-(4-fluorophenyl)-2,3,4,5-tetrahydro-1-methyl-,  
hydrochloride (1:1), (1R)- (CA INDEX NAME)

Absolute stereochemistry.

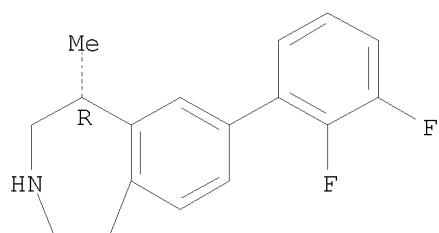


● HCl

RN 851544-83-7 CAPLUS

CN 1H-3-Benzazepine, 8-(2,3-difluorophenyl)-2,3,4,5-tetrahydro-1-methyl-,  
hydrochloride (1:1), (1R)- (CA INDEX NAME)

Absolute stereochemistry.



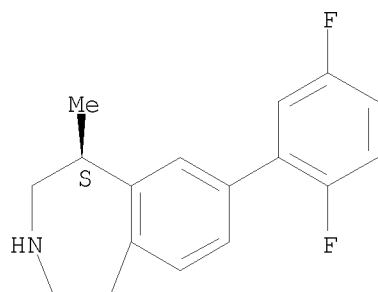
● HCl

10/561,071

RN 851544-84-8 CAPLUS

CN 1H-3-Benzazepine, 8-(2,5-difluorophenyl)-2,3,4,5-tetrahydro-1-methyl-,  
hydrochloride (1:1), (1S)- (CA INDEX NAME)

Absolute stereochemistry.

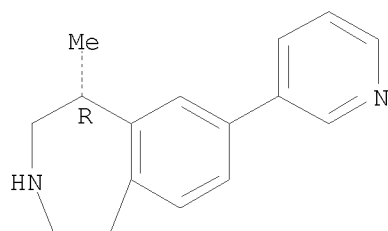


● HCl

RN 851544-85-9 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(3-pyridinyl)-,  
hydrochloride (1:?), (1R)- (CA INDEX NAME)

Absolute stereochemistry.

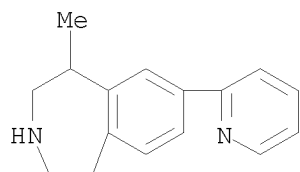


●x HCl

RN 851544-86-0 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(2-pyridinyl)-,  
hydrochloride (1:?) (CA INDEX NAME)

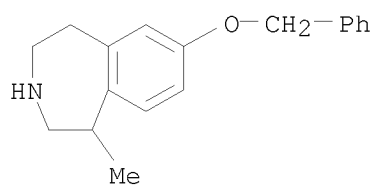
10/561,071



● x HCl

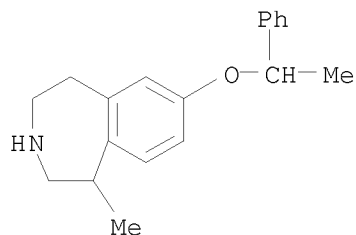
RN 851544-89-3 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(phenylmethoxy)- (CA  
INDEX NAME)



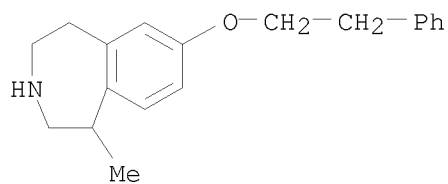
RN 851544-90-6 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(1-phenylethoxy)- (CA  
INDEX NAME)



RN 851544-91-7 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(2-phenylethoxy)- (CA  
INDEX NAME)

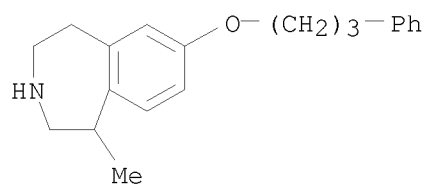


RN 851544-92-8 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(3-phenylpropoxy)- (CA

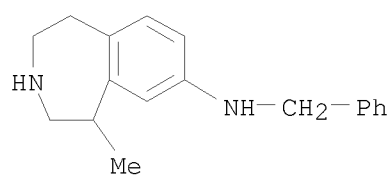
10/561,071

INDEX NAME)



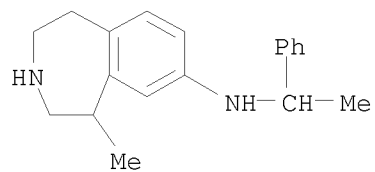
RN 851544-93-9 CAPLUS

CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-5-methyl-N-(phenylmethyl)-  
(CA INDEX NAME)



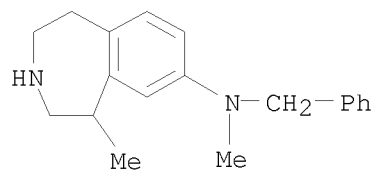
RN 851544-94-0 CAPLUS

CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-5-methyl-N-(1-phenylethyl)-  
(CA INDEX NAME)



RN 851544-95-1 CAPLUS

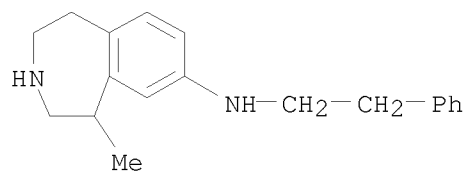
CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-N,5-dimethyl-N-(phenylmethyl)-  
(CA INDEX NAME)



RN 851544-96-2 CAPLUS

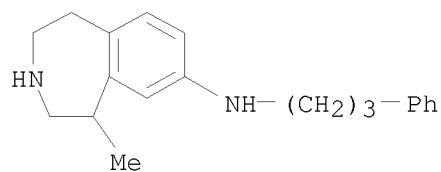
CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-5-methyl-N-(2-phenylethyl)-  
(CA INDEX NAME)

10/561,071



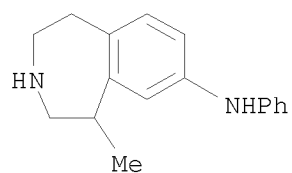
RN 851544-97-3 CAPLUS

CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-5-methyl-N-(3-phenylpropyl)-  
(CA INDEX NAME)



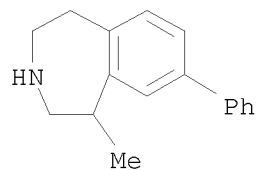
RN 851544-98-4 CAPLUS

CN 1H-3-Benzazepin-7-amine, 2,3,4,5-tetrahydro-5-methyl-N-phenyl- (CA INDEX  
NAME)



RN 851544-99-5 CAPLUS

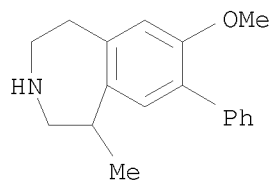
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-phenyl- (CA INDEX NAME)



RN 851545-00-1 CAPLUS

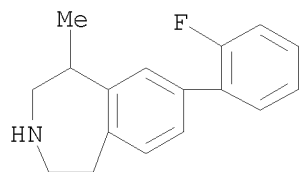
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-methoxy-1-methyl-8-phenyl- (CA  
INDEX NAME)

10/561,071



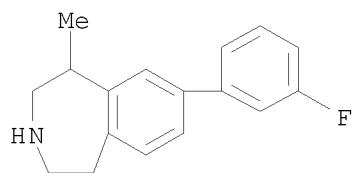
RN 851545-01-2 CAPLUS

CN 1H-3-Benzazepine, 8-(2-fluorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



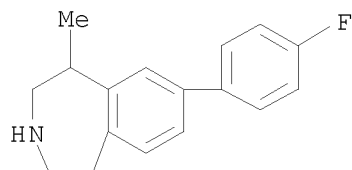
RN 851545-02-3 CAPLUS

CN 1H-3-Benzazepine, 8-(3-fluorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



RN 851545-03-4 CAPLUS

CN 1H-3-Benzazepine, 8-(4-fluorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

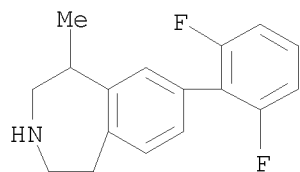


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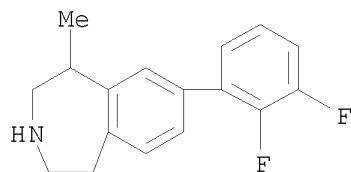


10/561,071



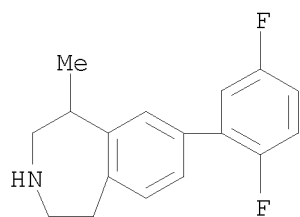
RN 851545-05-6 CAPLUS

CN 1H-3-Benzazepine, 8-(2,3-difluorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



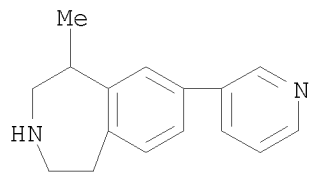
RN 851545-06-7 CAPLUS

CN 1H-3-Benzazepine, 8-(2,5-difluorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



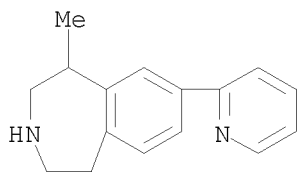
RN 851545-07-8 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(3-pyridinyl)- (CA INDEX NAME)

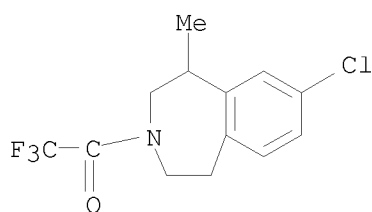


RN 851545-08-9 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(2-pyridinyl)- (CA INDEX NAME)



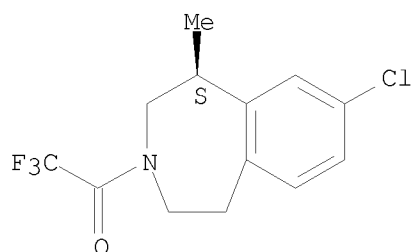
- IT 616202-51-8P, N-Trifluoroacetyl-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-78-9P, (S)-N-Trifluoroacetyl-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616202-81-4P, (S)-8-Chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 851477-54-8P, (S)-N-tert-Butoxycarbonyl-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-25-6P, (S)-N-Trifluoroacetyl-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-ol 851478-27-8P, (S)-N-Trifluoroacetyl-7-benzyloxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851544-37-1P 851544-62-2P 851544-71-3P, N-tert-Butoxycarbonyl-5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-7-ol 851544-72-4P, N-tert-Butoxycarbonyl-8-benzyloxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851544-74-6P, (R)-N-tert-Butoxycarbonyl-1-methyl-8-phenyl-1,2,4,5-tetrahydrobenzo[d]azepine 851544-76-8P, N-Trifluoroacetyl-7-Methoxy-1-methyl-8-phenyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851544-87-1P, Trifluoromethanesulfonic acid N-tert-butoxycarbonyl-5-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepin-8-yl ester 851544-88-2P, N-tert-Butoxycarbonyl-1-methyl-8-pyridin-2-yl-2,3,4,5-tetrahydro-1H-benzo[d]azepine  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (intermediate; preparation of benzazepine derivs. and use as 5HT2C receptor agonists)
- RN 616202-51-8 CAPLUS
- CN Ethanone, 1-(8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



- RN 616202-78-9 CAPLUS
- CN Ethanone, 1-[(1S)-8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

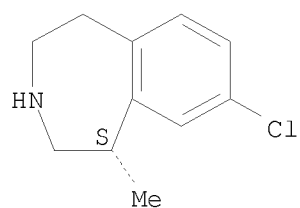
Absolute stereochemistry.

10/561,071



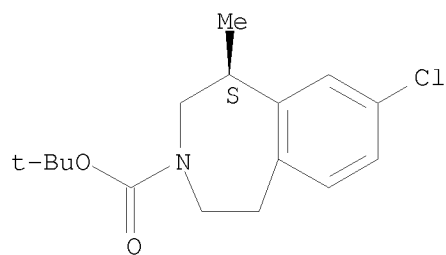
RN 616202-81-4 CAPLUS  
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 851477-54-8 CAPLUS  
CN 3H-3-Benzazepine-3-carboxylic acid, 8-chloro-1,2,4,5-tetrahydro-1-methyl-, 1,1-dimethylethyl ester, (1S)- (CA INDEX NAME)

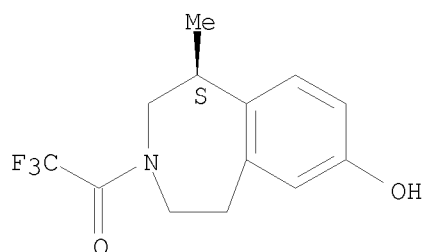
Absolute stereochemistry.



RN 851478-25-6 CAPLUS  
CN Ethanone, 2,2,2-trifluoro-1-[(1S)-1,2,4,5-tetrahydro-7-hydroxy-1-methyl-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

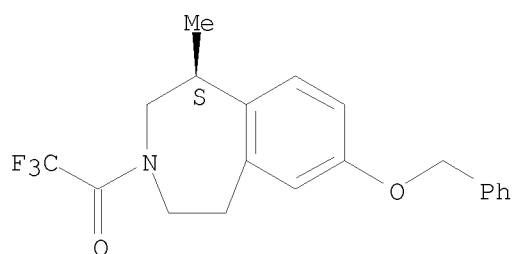
10/561,071



RN 851478-27-8 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[(1S)-1,2,4,5-tetrahydro-1-methyl-7-(phenylmethoxy)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

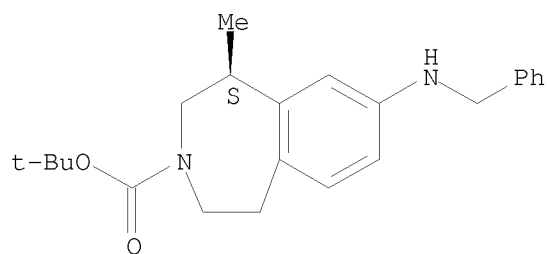
Absolute stereochemistry.



RN 851544-37-1 CAPLUS

CN 3H-3-Benzazepine-3-carboxylic acid, 1,2,4,5-tetrahydro-1-methyl-8-[(phenylmethyl)amino]-, 1,1-dimethylethyl ester, (1S)- (CA INDEX NAME)

Absolute stereochemistry.

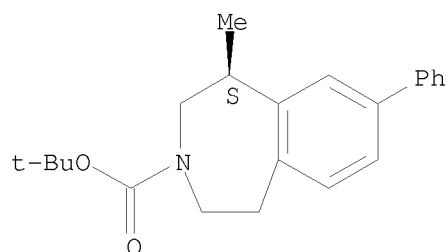


RN 851544-62-2 CAPLUS

CN 3H-3-Benzazepine-3-carboxylic acid, 1,2,4,5-tetrahydro-1-methyl-8-phenyl-, 1,1-dimethylethyl ester, (1S)- (CA INDEX NAME)

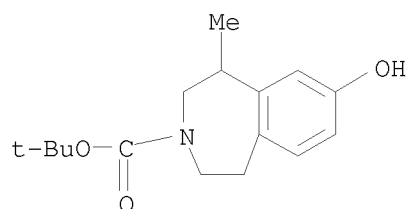
Absolute stereochemistry.

10/561,071



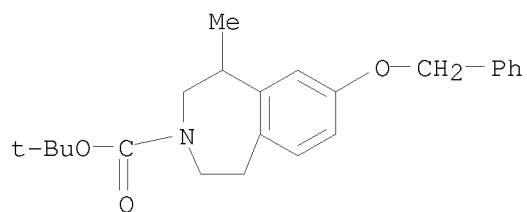
RN 851544-71-3 CAPLUS

CN 3H-3-Benzazepine-3-carboxylic acid, 1,2,4,5-tetrahydro-8-hydroxy-1-methyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 851544-72-4 CAPLUS

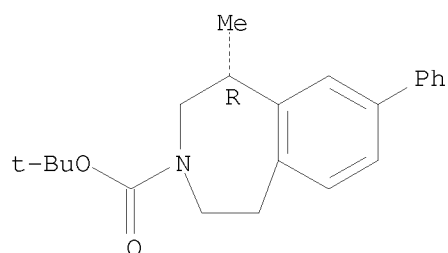
CN 3H-3-Benzazepine-3-carboxylic acid, 1,2,4,5-tetrahydro-1-methyl-8-(phenylmethoxy)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 851544-74-6 CAPLUS

CN 3H-3-Benzazepine-3-carboxylic acid, 1,2,4,5-tetrahydro-1-methyl-8-phenyl-, 1,1-dimethylethyl ester, (1R)- (CA INDEX NAME)

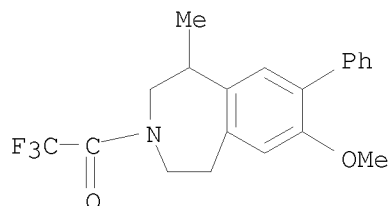
Absolute stereochemistry.



10/561,071

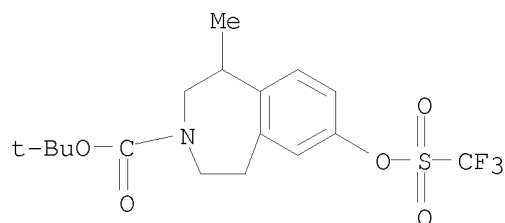
RN 851544-76-8 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-(1,2,4,5-tetrahydro-7-methoxy-1-methyl-8-phenyl-3H-3-benzazepin-3-yl)- (CA INDEX NAME)



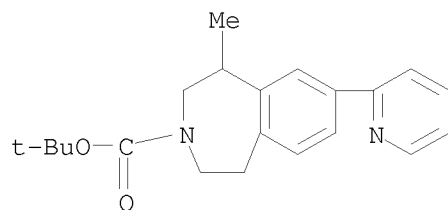
RN 851544-87-1 CAPLUS

CN 3H-3-Benzazepine-3-carboxylic acid, 1,2,4,5-tetrahydro-1-methyl-7-[[ (trifluoromethyl)sulfonyl]oxy]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 851544-88-2 CAPLUS

CN 3H-3-Benzazepine-3-carboxylic acid, 1,2,4,5-tetrahydro-1-methyl-8-(2-pyridinyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



IT 616202-92-7 1019636-37-3

RL: RCT (Reactant); RACT (Reactant or reagent)

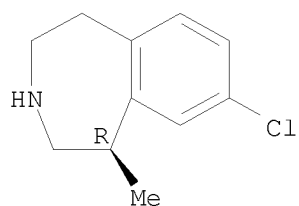
(preparation of benzazepine derivs. and use as 5HT<sub>2C</sub> receptor agonists)

RN 616202-92-7 CAPLUS

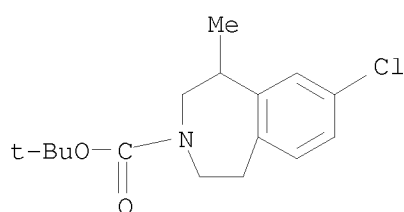
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)- (CA INDEX NAME)

Absolute stereochemistry.

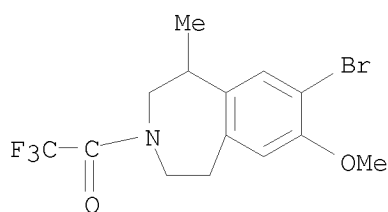
10/561,071



RN 1019636-37-3 CAPLUS  
CN 3H-3-Benzazepine-3-carboxylic acid, 8-chloro-1,2,4,5-tetrahydro-1-methyl-,  
1,1-dimethylethyl ester (CA INDEX NAME)



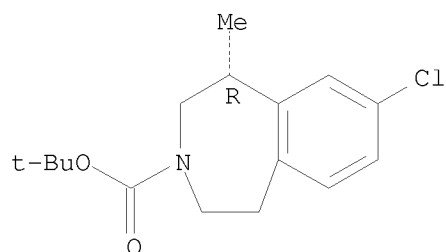
IT 616202-12-1, N-Trifluoroacetyl-8-bromo-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851477-82-2, (R)-N-tert-Butoxycarbonyl-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine 851478-26-7, (S)-N-Trifluoroacetyl-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(starting material; preparation of benzazepine derivs. and use as 5HT2C receptor agonists)  
RN 616202-12-1 CAPLUS  
CN Ethanone, 1-(8-bromo-1,2,4,5-tetrahydro-7-methoxy-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



RN 851477-82-2 CAPLUS  
CN 3H-3-Benzazepine-3-carboxylic acid, 8-chloro-1,2,4,5-tetrahydro-1-methyl-,  
1,1-dimethylethyl ester, (1R)- (CA INDEX NAME)

Absolute stereochemistry.

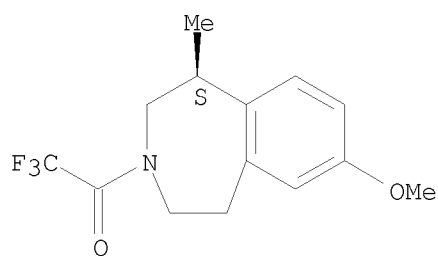
10/561,071



RN 851478-26-7 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[(1S)-1,2,4,5-tetrahydro-7-methoxy-1-methyl-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



L19 ANSWER 12 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:182631 CAPLUS

DOCUMENT NUMBER: 142:280072

TITLE: Processes for preparing 3-benzazepines

INVENTOR(S): Burbaum, Beverly W.; Gilson, Charles A., III; Aytes, Shelley; Estrada, Scott A.; Sengupta, Dipanjan; Smith, Brian; Rey, Max; Weigl, Ulrich

PATENT ASSIGNEE(S): Arena Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 143 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

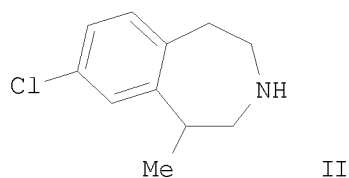
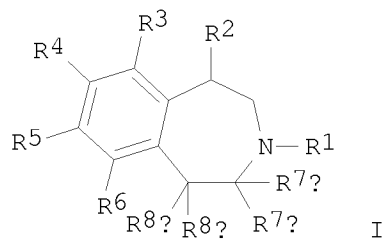
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

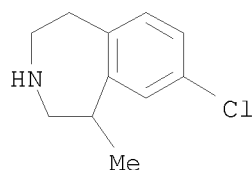
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005019179	A2	20050303	WO 2004-US19279	20040616
WO 2005019179	A3	20050804		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004267016	A1	20050303	AU 2004-267016	20040616
CA 2529401	A1	20050303	CA 2004-2529401	20040616
EP 1636191	A2	20060322	EP 2004-801895	20040616
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1805939	A	20060719	CN 2004-80016780	20040616
BR 2004011613	A	20060808	BR 2004-11613	20040616
JP 2007521269	T	20070802	JP 2006-517336	20040616
MX 2005PA13364	A	20060317	MX 2005-PA13364	20051208
IN 2006KN00112	A	20070316	IN 2006-KN112	20060113
US 20080045502	A1	20080221	US 2007-560953	20070426
PRIORITY APPLN. INFO.:			US 2003-479280P	P 20030617
			US 2003-512967P	P 20031021
			WO 2004-US19279	W 20040616

OTHER SOURCE(S): CASREACT 142:280072; MARPAT 142:280072

GI

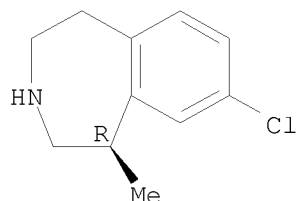


- AB A process for the preparation of 3-benzazepines I [R1 = H; R2 = alkyl, alkoxy, carboxy, etc.; R3-6 = H, halo, alk(en/yn)yl, etc.; R7a-7b = H, halo, alk(en/yn)yl, etc.; R8a-8b = H, halo, alk(en/yn)yl, etc.] is disclosed. For instance, 2-(4-chlorophenyl)ethylamine is acylated with 2-chloropropionyl chloride (CH3CN, Et3N). The resulting amide is cyclized in the presence of a metal hydride, e.g., AlCl3 to the corresponding benzazepin-2-one. Reduction of this amide is accomplished with BH3 in THF to give II. Alternative, but similar procedures are provided and there are examples of resolution of the final product by formation of the L-tartaric acid salts. I are useful as serotonin (5-HT) receptor agonists [no data] for the treatment of, e.g., central nervous system disorders such as obesity.
- IT 616201-80-0P, 8-Chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine  
 RL: IMF (Industrial manufacture); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (processes for preparing 3-benzazepines as 5-HT receptor agonists)
- RN 616201-80-0 CAPLUS
- CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



- IT 846589-98-8P, (R)-8-Chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine hydrochloride  
 RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (processes for preparing 3-benzazepines as 5-HT receptor agonists)
- RN 846589-98-8 CAPLUS
- CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, hydrochloride (1:1), (1R)- (CA INDEX NAME)

Absolute stereochemistry.



● HCl

10/561,071

IT 847063-12-1P  
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(processes for preparing 3-benzazepines as 5-HT receptor agonists)

RN 847063-12-1 CAPLUS

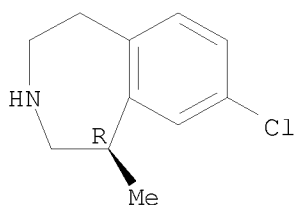
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)-,  
(2R,3R)-2,3-dihydroxybutanedioate (2:1) (CA INDEX NAME)

CM 1

CRN 616202-92-7

CMF C11 H14 Cl N

Absolute stereochemistry.

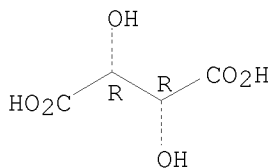


CM 2

CRN 87-69-4

CMF C4 H6 O6

Absolute stereochemistry.



IT 616202-92-7, (R)-8-Chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine

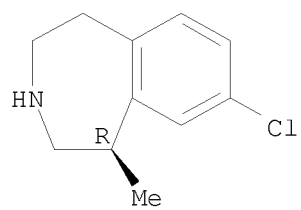
RL: RCT (Reactant); RACT (Reactant or reagent)  
(processes for preparing 3-benzazepines as 5-HT receptor agonists)

RN 616202-92-7 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)- (CA INDEX NAME)

Absolute stereochemistry.

10/561,071



L19 ANSWER 13 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:29313 CAPLUS

DOCUMENT NUMBER: 142:134482

TITLE: A preparation of benzazepine derivatives, useful as  
5HT<sub>2C</sub> receptor modulatorsINVENTOR(S): Smith, Brian; Gilson, Charles, III; Schultz, Jeffrey;  
Smith, Jeffrey

PATENT ASSIGNEE(S): Arena Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 71 pp.

CODEN: PIXXD2

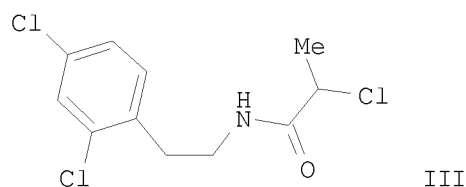
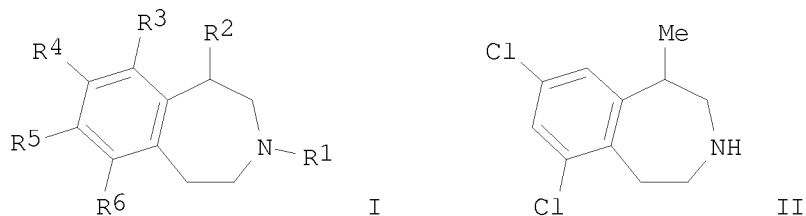
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

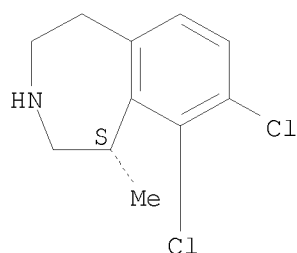
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005003096	A1	20050113	WO 2004-US19670	20040616
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CA 2529320	A1	20050113	CA 2004-2529320	20040616
EP 1633720	A1	20060315	EP 2004-776811	20040616
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
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US 20070142357	A1	20070621	US 2005-561071	20051216
IN 2006KN00117	A	20070622	IN 2006-KN117	20060113
PRIORITY APPLN. INFO.:			US 2003-479280P	P 20030617
			WO 2004-US19670	W 20040616
OTHER SOURCE(S):	MARPAT 142:134482			
GI				



- AB The invention relates to a preparation of benzazepine derivs. of formula I [wherein: R1 is H or alkyl; R2 is alkyl, CH2O-alkyl, haloalkyl, or CH2OH; R3, R4, R5, and R6 are independently selected from H, alkyl, amino, CN, or nitro, etc.], useful as 5HT2C receptor modulators. For instance, benzazepine derivative II (5HT2C, IP accumulation assay, IC50 = 11.7 nM) was prepared via heterocyclization of 2-chloropropionamide derivative III and subsequent reduction
- IT 824430-72-0P 824430-76-4P 824430-80-0P  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (preparation of benzazepine derivs. useful as 5HT2C receptor modulators)
- RN 824430-72-0 CAPLUS
- CN 1H-3-Benzazepine, 8,9-dichloro-2,3,4,5-tetrahydro-1-methyl-, (1S)- (CA INDEX NAME)

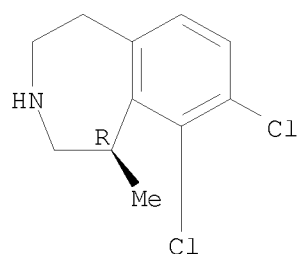
Absolute stereochemistry.



- RN 824430-76-4 CAPLUS
- CN 1H-3-Benzazepine, 8,9-dichloro-2,3,4,5-tetrahydro-1-methyl-, (1R)- (CA INDEX NAME)

Absolute stereochemistry.

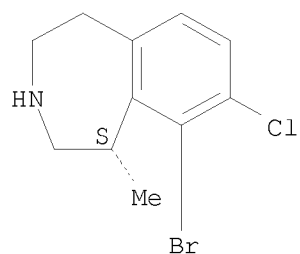
10/561,071



RN 824430-80-0 CAPLUS

CN 1H-3-Benzazepine, 9-bromo-8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1S)-  
(CA INDEX NAME)

Absolute stereochemistry.



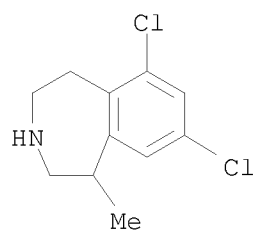
IT 824430-66-2P 824430-68-4P 824430-69-5P  
824430-71-9P 824430-74-2P 824430-82-2P  
824430-83-3P 824430-84-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(preparation of benzazepine derivs. useful as 5HT<sub>2C</sub> receptor modulators)

RN 824430-66-2 CAPLUS

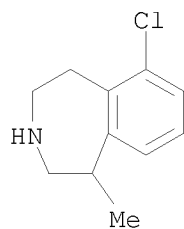
CN 1H-3-Benzazepine, 6,8-dichloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX  
NAME)



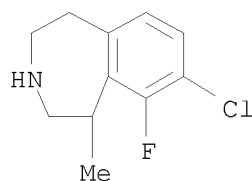
RN 824430-68-4 CAPLUS

CN 1H-3-Benzazepine, 6-chloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

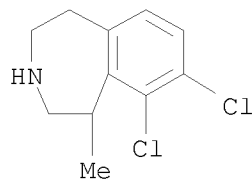
10/561,071



RN 824430-69-5 CAPLUS  
CN 1H-3-Benzazepine, 8-chloro-9-fluoro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

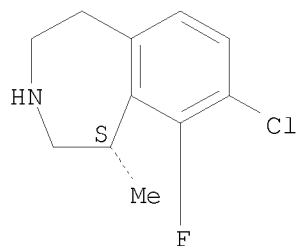


RN 824430-71-9 CAPLUS  
CN 1H-3-Benzazepine, 8,9-dichloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



RN 824430-74-2 CAPLUS  
CN 1H-3-Benzazepine, 8-chloro-9-fluoro-2,3,4,5-tetrahydro-1-methyl-, (1S)- (CA INDEX NAME)

Absolute stereochemistry.

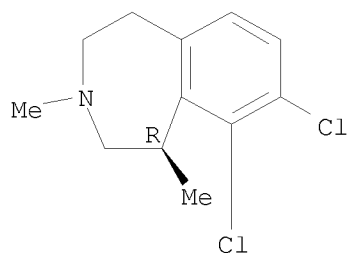


RN 824430-82-2 CAPLUS  
CN 1H-3-Benzazepine, 8,9-dichloro-2,3,4,5-tetrahydro-1,3-dimethyl-, (1R)- (CA INDEX NAME)



10/561,071

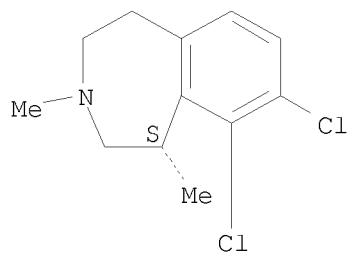
Absolute stereochemistry.



RN 824430-83-3 CAPLUS

CN 1H-3-Benzazepine, 8,9-dichloro-2,3,4,5-tetrahydro-1,3-dimethyl-, (1S)-  
(CA INDEX NAME)

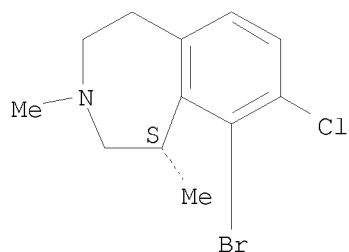
Absolute stereochemistry.



RN 824430-84-4 CAPLUS

CN 1H-3-Benzazepine, 9-bromo-8-chloro-2,3,4,5-tetrahydro-1,3-dimethyl-, (1S)-  
(CA INDEX NAME)

Absolute stereochemistry.



IT 616202-92-7P

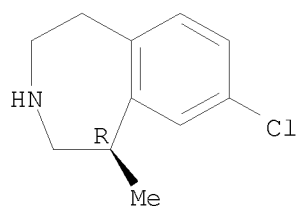
RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of benzazepine derivs. useful as 5HT2C receptor modulators)

RN 616202-92-7 CAPLUS

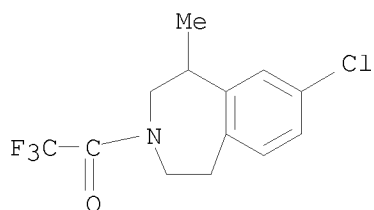
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)- (CA INDEX NAME)

Absolute stereochemistry.

10/561,071

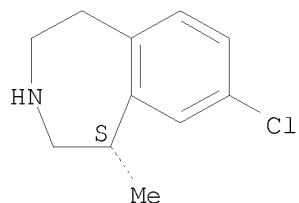


IT 616202-51-8 616202-81-4  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of benzazepine derivs. useful as 5HT2C receptor modulators)  
RN 616202-51-8 CAPLUS  
CN Ethanone, 1-(8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl)-  
2,2,2-trifluoro- (CA INDEX NAME)



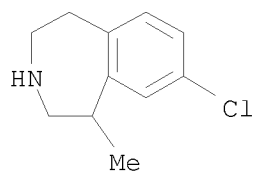
RN 616202-81-4 CAPLUS  
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1S)- (CA INDEX  
NAME)

Absolute stereochemistry.



IT 616201-80-0P 616202-78-9P 616202-89-2P  
824430-70-8P 824430-73-1P 824430-75-3P  
824430-78-6P 824430-81-1P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation of benzazepine derivs. useful as 5HT2C receptor modulators)  
RN 616201-80-0 CAPLUS  
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

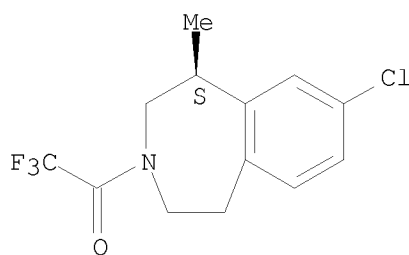
10/561,071



RN 616202-78-9 CAPLUS

CN Ethanone, 1-[(1S)-8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

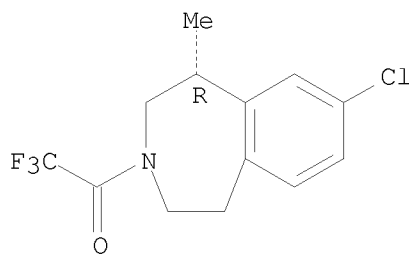
Absolute stereochemistry.



RN 616202-89-2 CAPLUS

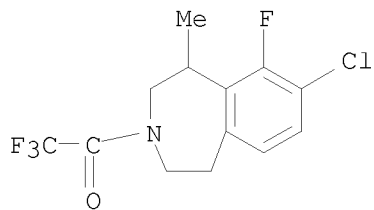
CN Ethanone, 1-[(1R)-8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.



RN 824430-70-8 CAPLUS

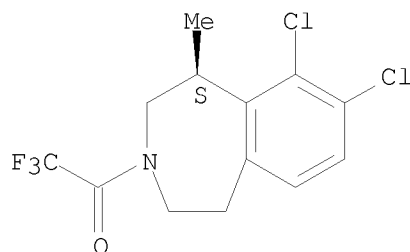
CN Ethanone, 1-(8-chloro-9-fluoro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



10/561,071

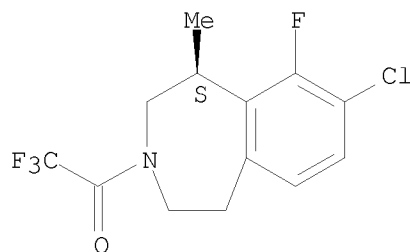
RN 824430-73-1 CAPLUS  
CN Ethanone, 1-[(1S)-8,9-dichloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.



RN 824430-75-3 CAPLUS  
CN Ethanone, 1-[(1S)-8-chloro-9-fluoro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.

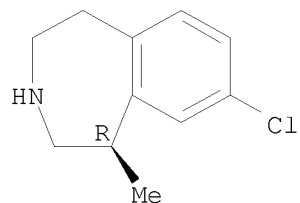


RN 824430-78-6 CAPLUS  
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 616202-92-7  
CMF C11 H14 Cl N

Absolute stereochemistry.

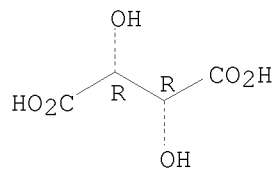


CM 2

10/561,071

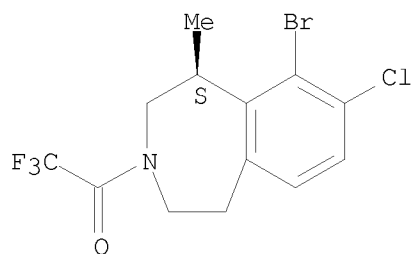
CRN 87-69-4  
CMF C4 H6 O6

Absolute stereochemistry.



RN 824430-81-1 CAPLUS  
CN Ethanone, 1-[(1S)-9-bromo-8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.

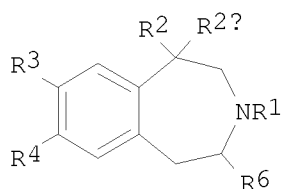


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 14 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2003:836783 CAPLUS  
 DOCUMENT NUMBER: 139:337897  
 TITLE: Preparation of benzazepines as 5HT2C receptor  
 modulators  
 INVENTOR(S): Smith, Jeffrey; Smith, Brian  
 PATENT ASSIGNEE(S): Arena Pharmaceuticals, Inc., USA  
 SOURCE: PCT Int. Appl., 96 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003086306	A2	20031023	WO 2003-US11076	20030411
WO 2003086306	A3	20040219		
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AT 294781	T	20050515	AT 2003-718323	20030411
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EP 1557409	A1	20050727	EP 2005-2866	20030411
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RU 2317982	C2	20080227	RU 2004-133068	20030411
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US 20070060568	A1	20070315	US 2006-599050	20061114
IN 2007KN02412	A	20070824	IN 2007-KN2412	20070629
KR 2008009340	A	20080128	KR 2008-700551	20080109
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OTHER SOURCE(S):			MARPAT 139:337897	
GI				



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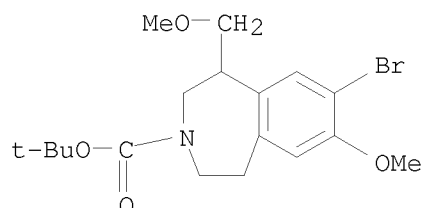
- AB The present invention relates to novel compds. I [R1 = H, C1-8-alkyl; R2 = C1-8-alkyl, CH2O-(C1-8-alkyl), C(:O)O-(C1-8-alkyl), C(:O)NH(C1-8-alkyl), OH, CH2OH; R2a = H; R2R2a = CH2CH2; R3, R4 = H, halo, perhaloalkyl, CN, OR5, SR5, NHR5, N(R5)2, OH, (un)substituted aryl (up to 2 substituents selected from C1-8-alkyl, halo, perhaloalkyl, alkoxy), (un)substituted heteroaryl (up to 2 substituents selected from C1-8-alkyl, halo); R3C:CR4 = 5- or 6-membered O-containing heterocycle; R5 = C1-8-alkyl, C1-8-alkyl, aryl, heteroaryl, arylalkyl, heteroa; rylalkyl, perhaloalkyl, allyl; R6 = H, C1-8-alkyl; provided that: (A) if R1 = R3 = H and R2 = Me, then R4 ≠ thiazole; (B) if R6 ≠ H, then R3, R4 ≠ H; (C) if R1 = R2 = Me and R4 = H, then R3 ≠ NHR5, N(R5)2; (D) if R1 = R2 = Me and R4 = H, R3 ≠ imidazole; (E) if R1 = Me and R3 = OH, then R2 ≠ cyclopentyl, CH2-cyclohexyl, cyclopropylmethyl, cyclohexyl], or their pharmaceutically acceptable salts, solvates or hydrates, which act as 5HT2C receptor modulators. Thus, I (R1 = R2a = R6 = H, R2 = Me, R3 = Br, R4 = OMe) was prepared from 3-MeOC6H4CH2CH2NH2, via N-trifluoroacetylation, regioselective iodination, N-allylation, palladium-catalyzed cyclization, hydrogenation, regioselective bromination and deacetylation. These compds. are useful in pharmaceutical compns. whose use includes the treatment of obesity. Intracellular IP3 accumulation assay (IC50 = 4.2 nM) and inhibition of food intake in food-deprived rats (see charts) were used to test the bioactivity of I (R1 = R2a = R6 = H, R2 = Me, R3 = Br, R4 = OMe).
- IT 616202-65-4P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

10/561,071

(preparation and N-deprotection of; preparation of benzazepines as 5HT2C receptor modulators)

RN 616202-65-4 CAPLUS

CN 3H-3-Benzazepine-3-carboxylic acid, 8-bromo-1,2,4,5-tetrahydro-7-methoxy-1-(methoxymethyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



IT 616202-78-9P 616202-89-2P

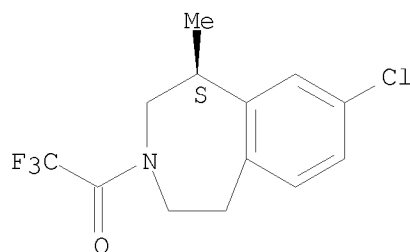
RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and N-methylation or deacetylation of; preparation of benzazepines as 5HT2C receptor modulators)

RN 616202-78-9 CAPLUS

CN Ethanone, 1-[(1S)-8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

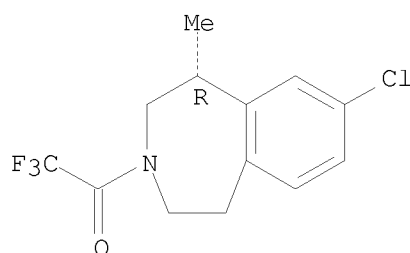
Absolute stereochemistry.



RN 616202-89-2 CAPLUS

CN Ethanone, 1-[(1R)-8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

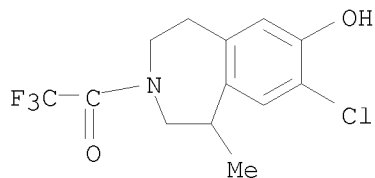
Absolute stereochemistry.



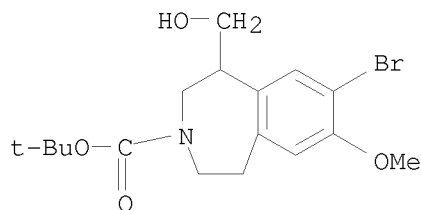


10/561,071

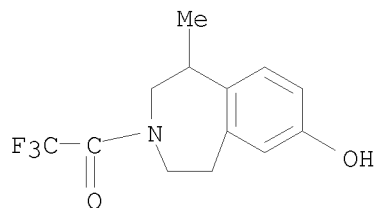
IT 616202-23-4P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and O-allylation of; preparation of benzazepines as 5HT2C  
receptor  
modulators)  
RN 616202-23-4 CAPLUS  
CN Ethanone, 1-(8-chloro-1,2,4,5-tetrahydro-7-hydroxy-1-methyl-3H-3-  
benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



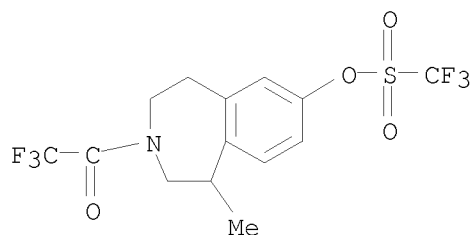
IT 616202-64-3P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and O-methylation of; preparation of benzazepines as 5HT2C  
receptor  
modulators)  
RN 616202-64-3 CAPLUS  
CN 3H-3-Benzazepine-3-carboxylic acid, 8-bromo-1,2,4,5-tetrahydro-1-  
(hydroxymethyl)-7-methoxy-, 1,1-dimethylethyl ester (CA INDEX NAME)



IT 616202-52-9P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and O-triflation of; preparation of benzazepines as 5HT2C  
receptor  
modulators)  
RN 616202-52-9 CAPLUS  
CN Ethanone, 2,2,2-trifluoro-1-(1,2,4,5-tetrahydro-7-hydroxy-1-methyl-3H-3-  
benzazepin-3-yl)- (CA INDEX NAME)

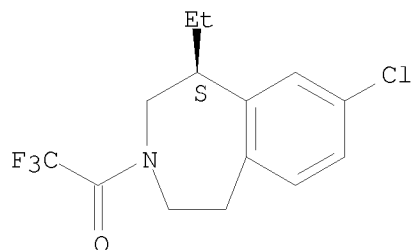


IT 616202-53-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and coupling reaction of; preparation of benzazepines as 5HT2C receptor modulators)  
 RN 616202-53-0 CAPLUS  
 CN Methanesulfonic acid, 1,1,1-trifluoro-, 2,3,4,5-tetrahydro-1-methyl-3-(2,2,2-trifluoroacetyl)-1H-3-benzazepin-7-yl ester (CA INDEX NAME)



IT 616202-80-3P 616202-91-6P 616202-94-9P  
 RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and deacetylation of; preparation of benzazepines as 5HT2C receptor modulators)  
 RN 616202-80-3 CAPLUS  
 CN Ethanone, 1-[(1S)-8-chloro-1-ethyl-1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

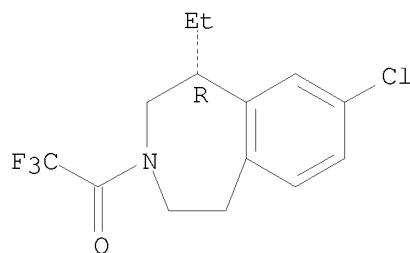
Absolute stereochemistry.



RN 616202-91-6 CAPLUS  
 CN Ethanone, 1-[(1R)-8-chloro-1-ethyl-1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

10/561,071

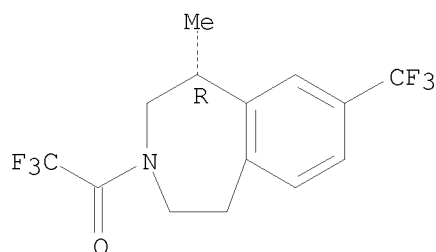
Absolute stereochemistry.



RN 616202-94-9 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[(1R)-1,2,4,5-tetrahydro-1-methyl-8-(trifluoromethyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.



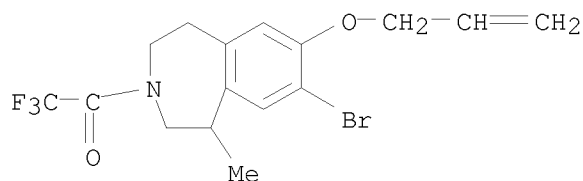
IT 616202-16-5P 616202-17-6P 616202-18-7P  
616202-19-8P 616202-24-5P 616202-25-6P  
616202-26-7P 616202-28-9P 616202-30-3P  
616202-34-7P 616202-35-8P 616202-41-6P  
616202-55-2P 616202-56-3P 616202-57-4P  
616202-61-0P 616202-62-1P 616202-63-2P  
616202-68-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and deacetylation of; preparation of benzazepines as 5HT<sub>2C</sub> receptor modulators)

RN 616202-16-5 CAPLUS

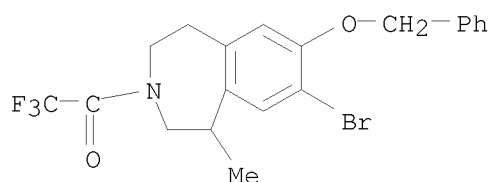
CN Ethanone, 1-[8-bromo-1,2,4,5-tetrahydro-1-methyl-7-(2-propen-1-yloxy)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



RN 616202-17-6 CAPLUS

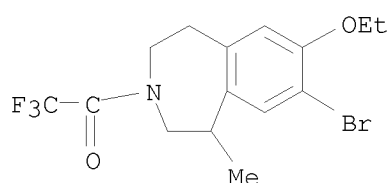
CN Ethanone, 1-[8-bromo-1,2,4,5-tetrahydro-1-methyl-7-(phenylmethoxy)-3H-3-

benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



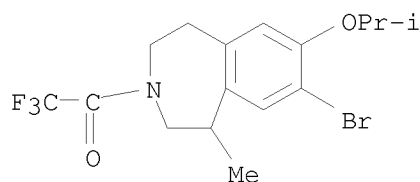
RN 616202-18-7 CAPLUS

CN Ethanone, 1-(8-bromo-7-ethoxy-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



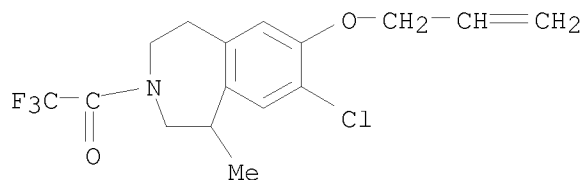
RN 616202-19-8 CAPLUS

CN Ethanone, 1-[8-bromo-1,2,4,5-tetrahydro-1-methyl-7-(1-methylethoxy)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



RN 616202-24-5 CAPLUS

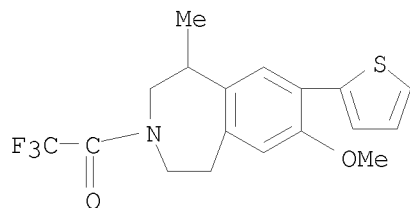
CN Ethanone, 1-[8-chloro-1,2,4,5-tetrahydro-1-methyl-7-(2-propen-1-yloxy)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



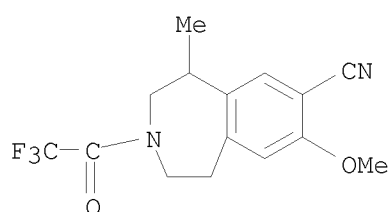
RN 616202-25-6 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7-methoxy-1-methyl-8-(2-thienyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

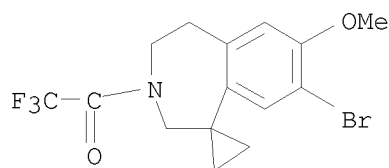
10/561,071



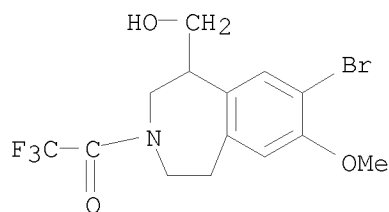
RN 616202-26-7 CAPLUS  
CN 1H-3-Benzazepine-7-carbonitrile, 2,3,4,5-tetrahydro-8-methoxy-5-methyl-3-(2,2,2-trifluoroacetyl)- (CA INDEX NAME)



RN 616202-28-9 CAPLUS  
CN Ethanone, 1-(8-bromo-2,3,4,5-tetrahydro-7-methoxyspiro[1H-3-benzazepine-1,1'-cyclopropan]-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)

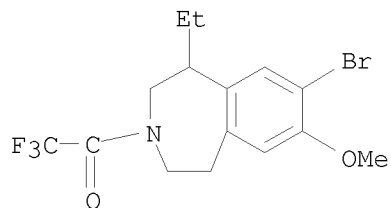


RN 616202-30-3 CAPLUS  
CN Ethanone, 1-[8-bromo-1,2,4,5-tetrahydro-1-(hydroxymethyl)-7-methoxy-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



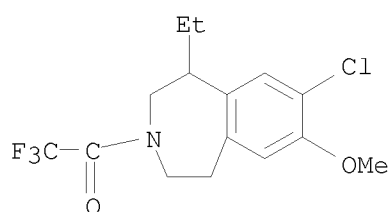
RN 616202-34-7 CAPLUS  
CN Ethanone, 1-(8-bromo-1-ethyl-1,2,4,5-tetrahydro-7-methoxy-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)

10/561,071



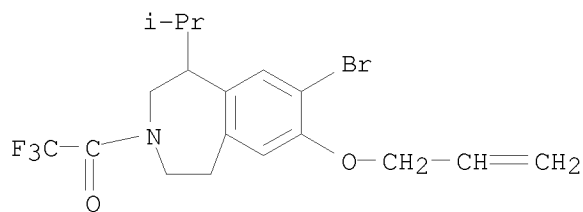
RN 616202-35-8 CAPLUS

CN Ethanone, 1-(8-chloro-1-ethyl-1,2,4,5-tetrahydro-7-methoxy-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



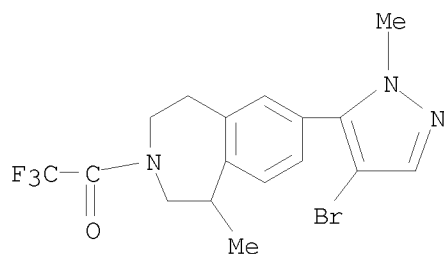
RN 616202-41-6 CAPLUS

CN Ethanone, 1-[8-bromo-1,2,4,5-tetrahydro-1-(1-methylethyl)-7-(2-propen-1-yloxy)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



RN 616202-55-2 CAPLUS

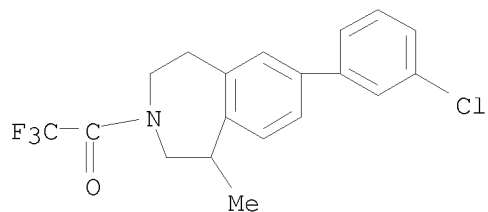
CN Ethanone, 1-[7-(4-bromo-1-methyl-1H-pyrazol-5-yl)-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



RN 616202-56-3 CAPLUS

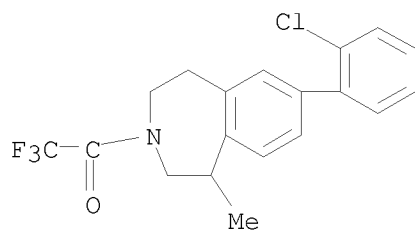
CN Ethanone, 1-[7-(3-chlorophenyl)-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

10/561,071



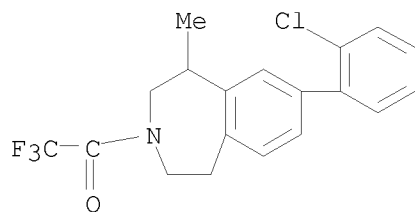
RN 616202-57-4 CAPLUS

CN Ethanone, 1-[7-(2-chlorophenyl)-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



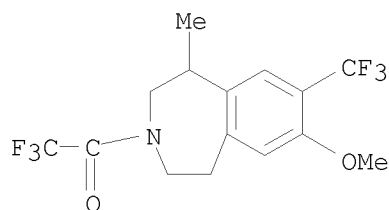
RN 616202-61-0 CAPLUS

CN Ethanone, 1-[8-(2-chlorophenyl)-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



RN 616202-62-1 CAPLUS

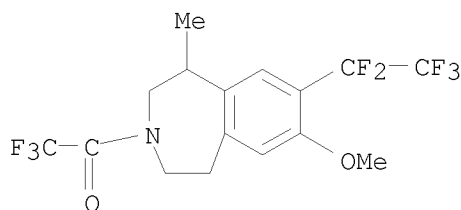
CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7-methoxy-1-methyl-8-(trifluoromethyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



RN 616202-63-2 CAPLUS

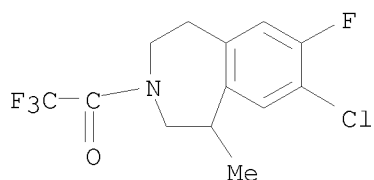
CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7-methoxy-1-methyl-8-

(1,1,2,2,2-pentafluoroethyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



RN 616202-68-7 CAPLUS

CN Ethanone, 1-(8-chloro-7-fluoro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



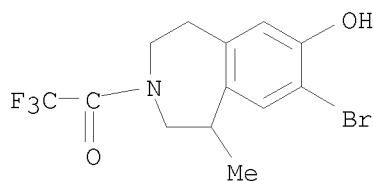
IT 616202-15-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and deacetylation or -O-alkylation of; preparation of benzazepines as 5HT2C receptor modulators)

RN 616202-15-4 CAPLUS

CN Ethanone, 1-(8-bromo-1,2,4,5-tetrahydro-7-hydroxy-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



IT 616202-40-5P

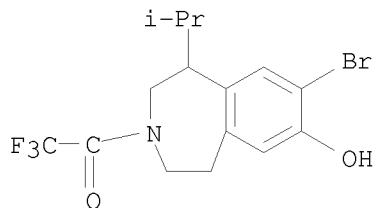
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and deacetylation or O-alkylation of; preparation of benzazepines as 5HT2C receptor modulators)

RN 616202-40-5 CAPLUS

CN Ethanone, 1-[8-bromo-1,2,4,5-tetrahydro-7-hydroxy-1-(1-methylethyl)-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



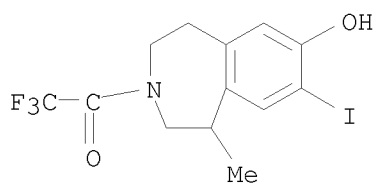


IT 616202-20-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)

(preparation and deacetylation or O-allylation of; preparation of  
 benzazepines as  
 5HT2C receptor modulators)

RN 616202-20-1 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-(1,2,4,5-tetrahydro-7-hydroxy-8-iodo-1-methyl-  
 3H-3-benzazepin-3-yl)- (CA INDEX NAME)

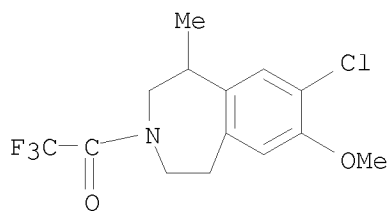


IT 616202-13-2P 616202-39-2P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)

(preparation and deacetylation or O-demethylation of; preparation of  
 benzazepines  
 as 5HT2C receptor modulators)

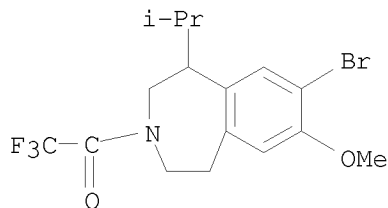
RN 616202-13-2 CAPLUS

CN Ethanone, 1-(8-chloro-1,2,4,5-tetrahydro-7-methoxy-1-methyl-3H-3-  
 benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



RN 616202-39-2 CAPLUS

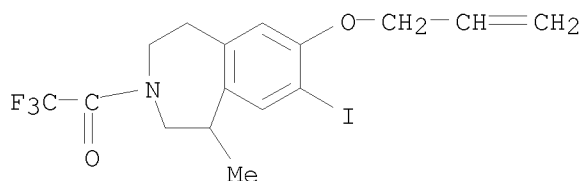
CN Ethanone, 1-[8-bromo-1,2,4,5-tetrahydro-7-methoxy-1-(1-methylethyl)-3H-3-  
 benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



IT 616202-21-2P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and deacetylation or palladium-catalyzed cyclization of; preparation of benzazepines as 5HT<sub>2C</sub> receptor modulators)

RN 616202-21-2 CAPLUS

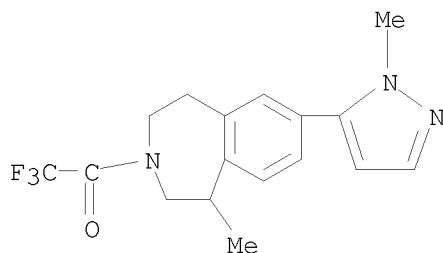
CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-8-iodo-1-methyl-7-(2-propen-1-yloxy)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



IT 616202-54-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and deacetylation or regioselective bromination of; preparation of benzazepines as 5HT<sub>2C</sub> receptor modulators)

RN 616202-54-1 CAPLUS

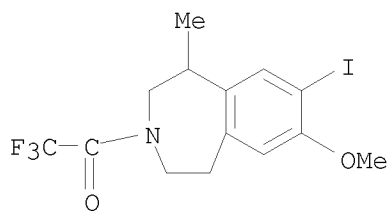
CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-1-methyl-7-(1-methyl-1H-pyrazol-5-yl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



IT 616202-14-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and deacetylation, O-demethylation or coupling reactions of; preparation of benzazepines as 5HT<sub>2C</sub> receptor modulators)

RN 616202-14-3 CAPLUS

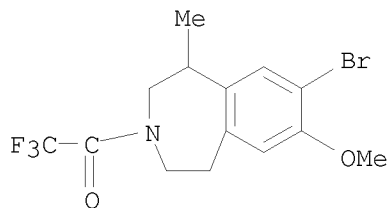
CN Ethanone, 2,2,2-trifluoro-1-(1,2,4,5-tetrahydro-8-iodo-7-methoxy-1-methyl-3H-3-benzazepin-3-yl)- (CA INDEX NAME)



IT 616202-12-1P, N-(Trifluoroacetyl)-8-bromo-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and deacetylation, O-demethylation, cyanation or coupling reaction of; preparation of benzazepines as 5HT<sub>2C</sub> receptor modulators)

RN 616202-12-1 CAPLUS

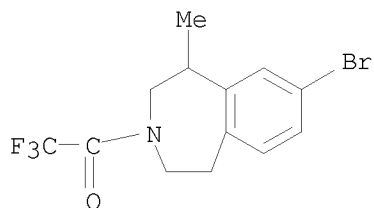
CN Ethanone, 1-(8-bromo-1,2,4,5-tetrahydro-7-methoxy-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



IT 616202-60-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and palladium-catalyzed coupling reactions of; preparation of benzazepines as 5HT<sub>2C</sub> receptor modulators)

RN 616202-60-9 CAPLUS

CN Ethanone, 1-(8-bromo-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



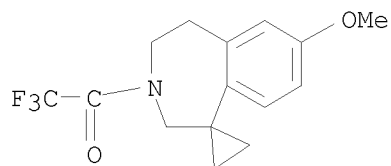
IT 616202-27-8P 616202-29-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and regioselective bromination of; preparation of benzazepines as

10/561,071

5HT2C receptor modulators)

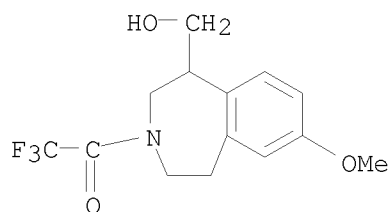
RN 616202-27-8 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-(2,3,4,5-tetrahydro-7-methoxyspiro[1H-3-benzazepine-1,1'-cyclopropan]-3-yl)- (CA INDEX NAME)



RN 616202-29-0 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-1-(hydroxymethyl)-7-methoxy-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



IT 616202-33-6P 616202-38-1P

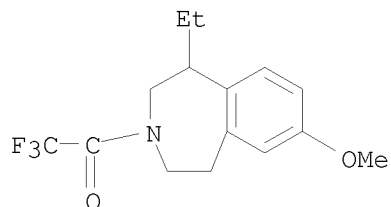
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and regioselective halogenation of; preparation of benzazepines as

5HT2C receptor modulators)

RN 616202-33-6 CAPLUS

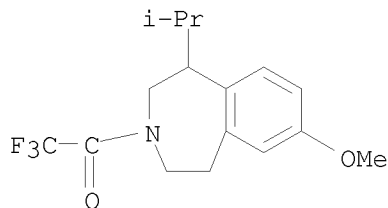
CN Ethanone, 1-(1-ethyl-1,2,4,5-tetrahydro-7-methoxy-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



RN 616202-38-1 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7-methoxy-1-(1-methylethyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

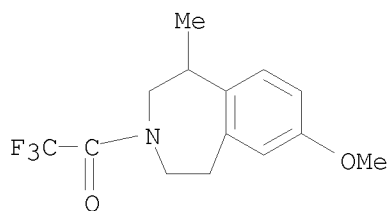
10/561,071



IT 616202-11-0P, N-(Trifluoroacetyl)-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and regioselective halogenation or O-demethylation of; preparation of benzazepines as 5HT<sub>2C</sub> receptor modulators)

RN 616202-11-0 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-(1,2,4,5-tetrahydro-7-methoxy-1-methyl-3H-3-benzazepin-3-yl)- (CA INDEX NAME)

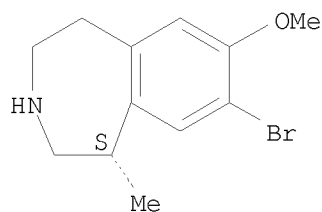


IT 616202-75-6P 616202-76-7P 616202-77-8P  
616202-79-0P 616202-86-9P 616202-87-0P  
616202-88-1P 616202-90-5P  
RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of benzazepines as 5HT<sub>2C</sub> receptor modulators)

RN 616202-75-6 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1-methyl-, (1S)- (CA INDEX NAME)

Absolute stereochemistry.

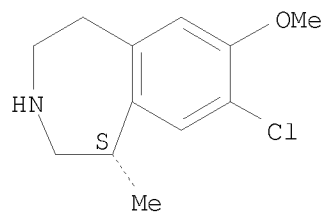


RN 616202-76-7 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-7-methoxy-1-methyl-, (1S)- (CA INDEX NAME)

10/561,071

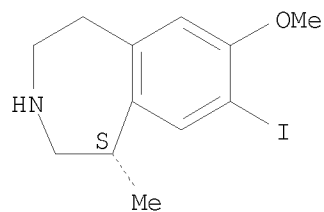
Absolute stereochemistry.



RN 616202-77-8 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-7-methoxy-1-methyl-, (1S)-  
(CA INDEX NAME)

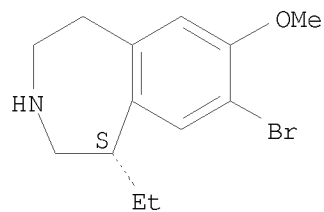
Absolute stereochemistry.



RN 616202-79-0 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-1-ethyl-2,3,4,5-tetrahydro-7-methoxy-, (1S)-  
(CA INDEX NAME)

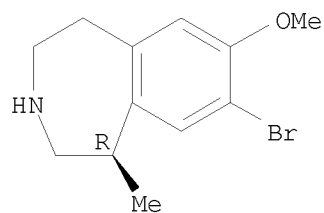
Absolute stereochemistry.



RN 616202-86-9 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1-methyl-, (1R)-  
(CA INDEX NAME)

Absolute stereochemistry.

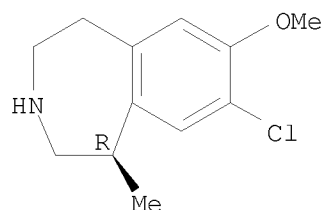


RN 616202-87-0 CAPLUS

10/561,071

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-7-methoxy-1-methyl-, (1R)-  
(CA INDEX NAME)

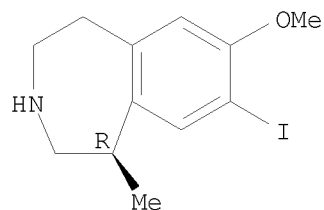
Absolute stereochemistry.



RN 616202-88-1 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-7-methoxy-1-methyl-, (1R)-  
(CA INDEX NAME)

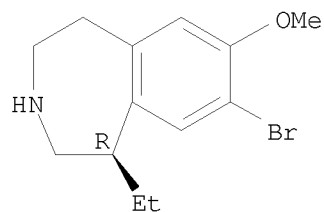
Absolute stereochemistry.



RN 616202-90-5 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-1-ethyl-2,3,4,5-tetrahydro-7-methoxy-, (1R)-  
(CA INDEX NAME)

Absolute stereochemistry.



IT 616201-58-2P 616201-59-3P 616201-60-6P  
616201-61-7P 616201-62-8P 616201-63-9P  
616201-64-0P 616201-65-1P 616201-66-2P  
616201-68-4P 616201-69-5P 616201-70-8P  
616201-71-9P 616201-74-2P 616201-75-3P  
616201-76-4P 616201-77-5P 616201-81-1P  
616201-82-2P 616201-83-3P 616201-84-4P  
616201-86-6P 616201-87-7P 616201-88-8P  
616201-89-9P 616201-90-2P 616201-91-3P  
616201-92-4P 616201-93-5P 616201-94-6P  
616201-95-7P 616201-96-8P 616201-97-9P  
616201-98-0P 616201-99-1P 616202-00-7P  
616202-01-8P 616202-02-9P 616202-03-0P

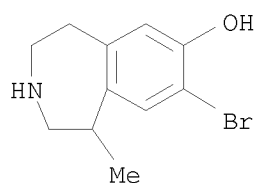
616202-04-1P 616202-05-2P 616202-06-3P  
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 616202-73-4P 616202-74-5P 616202-81-4P  
 616202-82-5P 616202-84-7P 616202-85-8P  
 616202-92-7P 616202-93-8P 616202-95-0P  
 616202-96-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(preparation of benzazepines as 5HT<sub>2C</sub> receptor modulators)

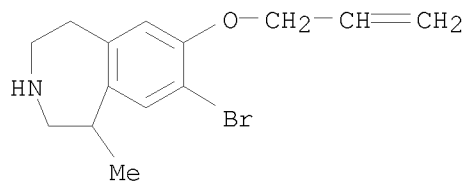
RN 616201-58-2 CAPLUS

CN 1H-3-Benzazepin-7-ol, 8-bromo-2,3,4,5-tetrahydro-1-methyl- (CA INDEX  
 NAME)



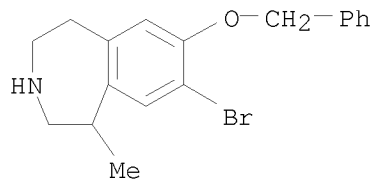
RN 616201-59-3 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-1-methyl-7-(2-propen-1-yloxy)-  
 (CA INDEX NAME)



RN 616201-60-6 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-1-methyl-7-(phenylmethoxy)-  
 (CA INDEX NAME)

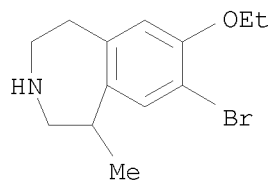


RN 616201-61-7 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-7-ethoxy-2,3,4,5-tetrahydro-1-methyl- (CA INDEX  
 NAME)

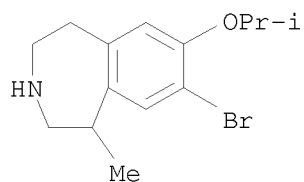


10/561,071



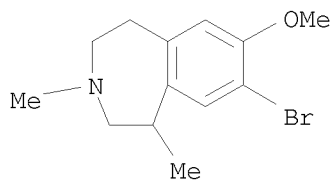
RN 616201-62-8 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-1-methyl-7-(1-methylethoxy)-  
(CA INDEX NAME)



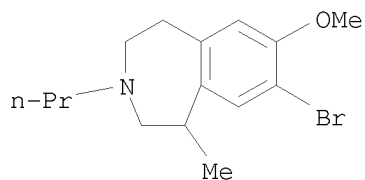
RN 616201-63-9 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1,3-dimethyl- (CA  
INDEX NAME)



RN 616201-64-0 CAPLUS

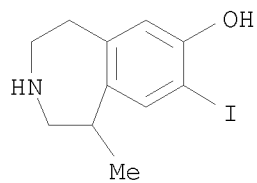
CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1-methyl-3-propyl-  
(CA INDEX NAME)



RN 616201-65-1 CAPLUS

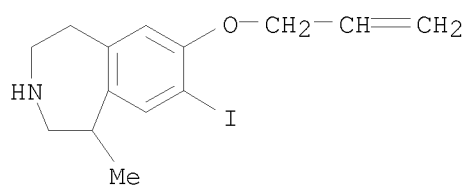
CN 1H-3-Benzazepin-7-ol, 2,3,4,5-tetrahydro-8-iodo-1-methyl- (CA INDEX NAME)

10/561,071



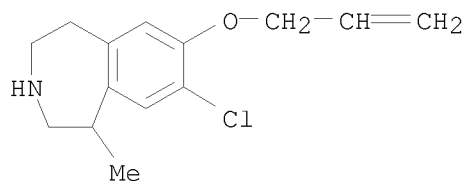
RN 616201-66-2 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-1-methyl-7-(2-propen-1-yloxy)-  
(CA INDEX NAME)



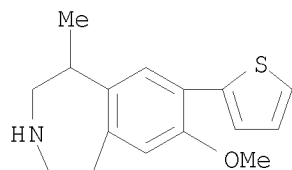
RN 616201-68-4 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-7-(2-propen-1-yloxy)-  
(CA INDEX NAME)



RN 616201-69-5 CAPLUS

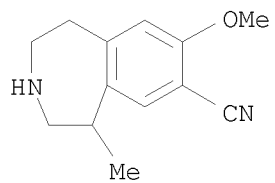
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-methoxy-1-methyl-8-(2-thienyl)-  
(CA INDEX NAME)



RN 616201-70-8 CAPLUS

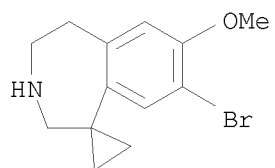
CN 1H-3-Benzazepine-7-carbonitrile, 2,3,4,5-tetrahydro-8-methoxy-5-methyl-  
(CA INDEX NAME)

10/561,071



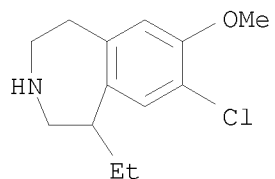
RN 616201-71-9 CAPLUS

CN Spiro[1H-3-benzazepine-1,1'-cyclopropane], 8-bromo-2,3,4,5-tetrahydro-7-methoxy- (CA INDEX NAME)



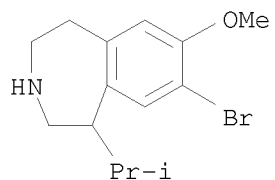
RN 616201-74-2 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-1-ethyl-2,3,4,5-tetrahydro-7-methoxy- (CA INDEX NAME)



RN 616201-75-3 CAPLUS

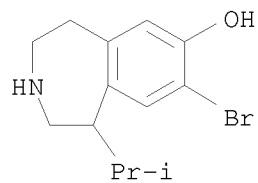
CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1-(1-methylethyl)- (CA INDEX NAME)



RN 616201-76-4 CAPLUS

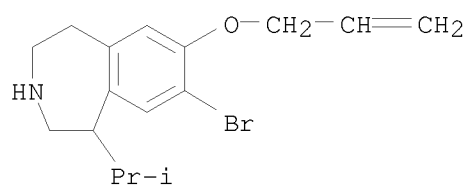
CN 1H-3-Benzazepin-7-ol, 8-bromo-2,3,4,5-tetrahydro-1-(1-methylethyl)- (CA INDEX NAME)

10/561,071



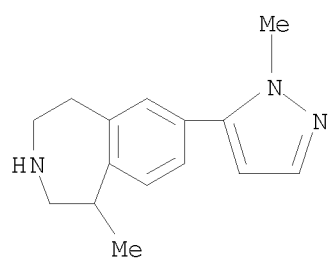
RN 616201-77-5 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-1-(1-methylethyl)-7-(2-propen-1-yloxy)- (CA INDEX NAME)



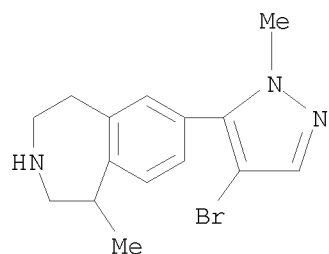
RN 616201-81-1 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(1-methyl-1H-pyrazol-5-yl)- (CA INDEX NAME)



RN 616201-82-2 CAPLUS

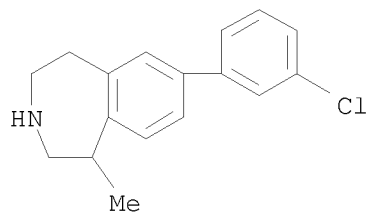
CN 1H-3-Benzazepine, 7-(4-bromo-1-methyl-1H-pyrazol-5-yl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



RN 616201-83-3 CAPLUS

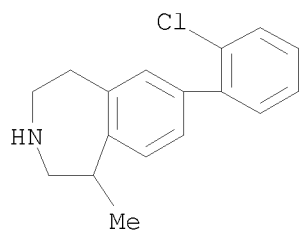
CN 1H-3-Benzazepine, 7-(3-chlorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

10/561,071



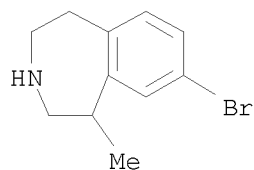
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CN 1H-3-Benzazepine, 7-(2-chlorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



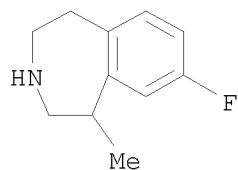
RN 616201-86-6 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



RN 616201-87-7 CAPLUS

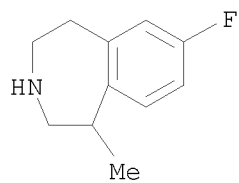
CN 1H-3-Benzazepine, 8-fluoro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



RN 616201-88-8 CAPLUS

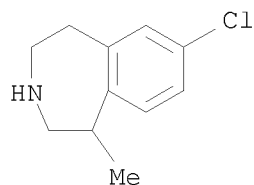
CN 1H-3-Benzazepine, 7-fluoro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

10/561,071



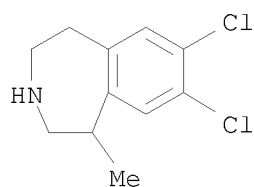
RN 616201-89-9 CAPLUS

CN 1H-3-Benzazepine, 7-chloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



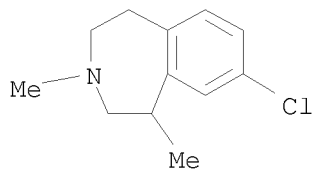
RN 616201-90-2 CAPLUS

CN 1H-3-Benzazepine, 7,8-dichloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



RN 616201-91-3 CAPLUS

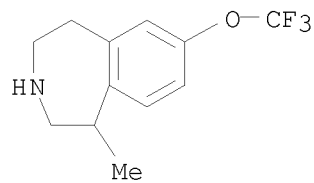
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1,3-dimethyl- (CA INDEX NAME)



RN 616201-92-4 CAPLUS

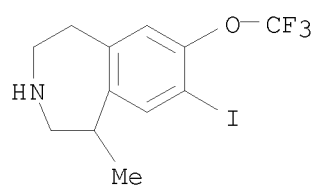
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-(trifluoromethoxy)- (CA INDEX NAME)

10/561,071



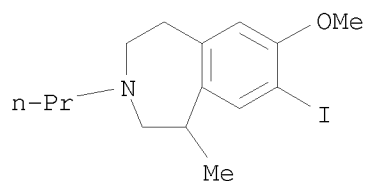
RN 616201-93-5 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-1-methyl-7-(trifluoromethoxy)-  
(CA INDEX NAME)



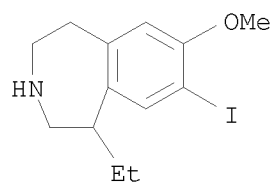
RN 616201-94-6 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-7-methoxy-1-methyl-3-propyl-  
(CA INDEX NAME)



RN 616201-95-7 CAPLUS

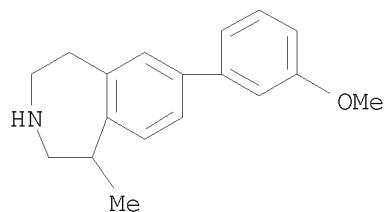
CN 1H-3-Benzazepine, 1-ethyl-2,3,4,5-tetrahydro-8-iodo-7-methoxy- (CA INDEX  
NAME)



RN 616201-96-8 CAPLUS

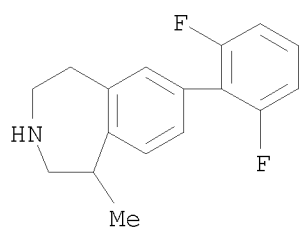
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-(3-methoxyphenyl)-1-methyl- (CA  
INDEX NAME)

10/561,071



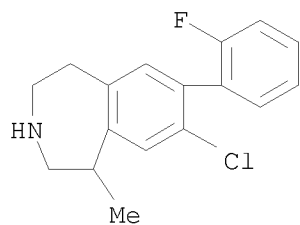
RN 616201-97-9 CAPLUS

CN 1H-3-Benzazepine, 7-(2,6-difluorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



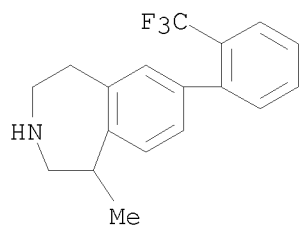
RN 616201-98-0 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-7-(2-fluorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



RN 616201-99-1 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)

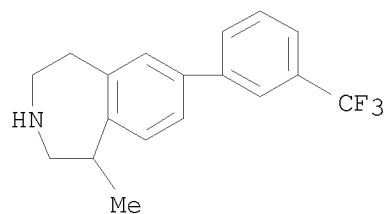


RN 616202-00-7 CAPLUS



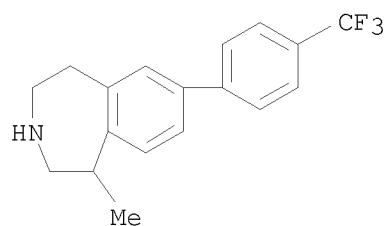
10/561,071

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



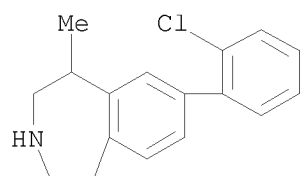
RN 616202-01-8 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-7-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



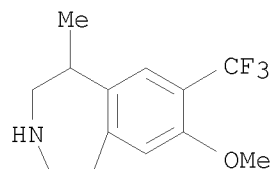
RN 616202-02-9 CAPLUS

CN 1H-3-Benzazepine, 8-(2-chlorophenyl)-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



RN 616202-03-0 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-methoxy-1-methyl-8-(trifluoromethyl)- (CA INDEX NAME)

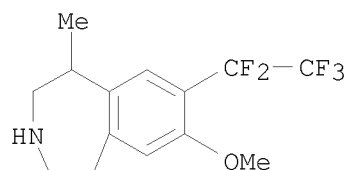


RN 616202-04-1 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-methoxy-1-methyl-8-(1,1,2,2,2-

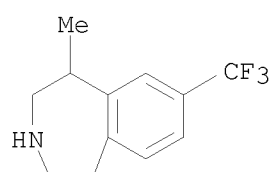
10/561,071

pentafluoroethyl)- (CA INDEX NAME)



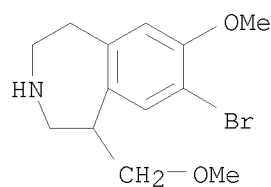
RN 616202-05-2 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(trifluoromethyl)- (CA INDEX NAME)



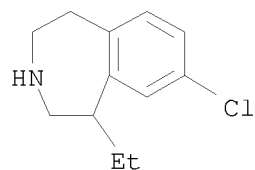
RN 616202-06-3 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1-(methoxymethyl)- (CA INDEX NAME)



RN 616202-07-4 CAPLUS

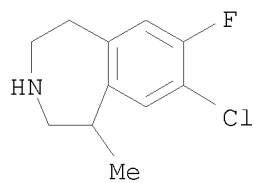
CN 1H-3-Benzazepine, 8-chloro-1-ethyl-2,3,4,5-tetrahydro- (CA INDEX NAME)



RN 616202-08-5 CAPLUS

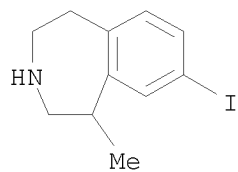
CN 1H-3-Benzazepine, 8-chloro-7-fluoro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)

10/561,071



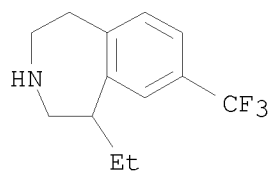
RN 616202-69-8 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-1-methyl- (CA INDEX NAME)



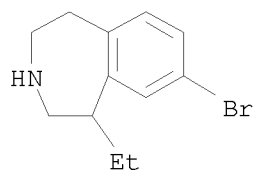
RN 616202-70-1 CAPLUS

CN 1H-3-Benzazepine, 1-ethyl-2,3,4,5-tetrahydro-8-(trifluoromethyl)- (CA INDEX NAME)



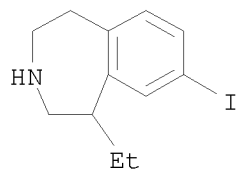
RN 616202-71-2 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-1-ethyl-2,3,4,5-tetrahydro- (CA INDEX NAME)



RN 616202-72-3 CAPLUS

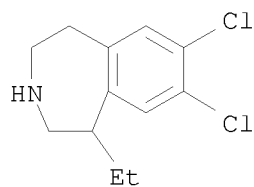
CN 1H-3-Benzazepine, 1-ethyl-2,3,4,5-tetrahydro-8-iodo- (CA INDEX NAME)



10/561,071

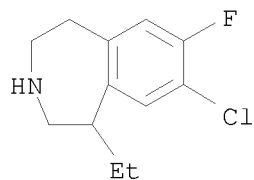
RN 616202-73-4 CAPLUS

CN 1H-3-Benzazepine, 7,8-dichloro-1-ethyl-2,3,4,5-tetrahydro- (CA INDEX NAME)



RN 616202-74-5 CAPLUS

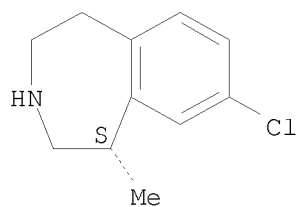
CN 1H-3-Benzazepine, 8-chloro-1-ethyl-7-fluoro-2,3,4,5-tetrahydro- (CA INDEX NAME)



RN 616202-81-4 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1S)- (CA INDEX NAME)

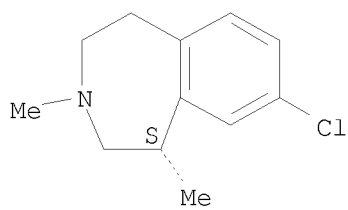
Absolute stereochemistry.



RN 616202-82-5 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1,3-dimethyl-, (1S)- (CA INDEX NAME)

Absolute stereochemistry.

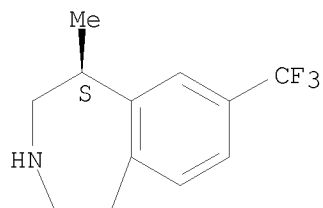


10/561,071

RN 616202-84-7 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(trifluoromethyl)-, (1S)-  
(CA INDEX NAME)

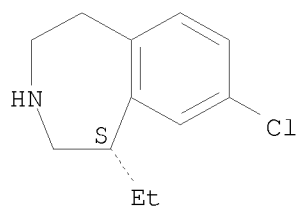
Absolute stereochemistry.



RN 616202-85-8 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-1-ethyl-2,3,4,5-tetrahydro-, (1S)- (CA INDEX  
NAME)

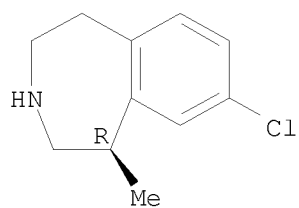
Absolute stereochemistry.



RN 616202-92-7 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl-, (1R)- (CA INDEX  
NAME)

Absolute stereochemistry.

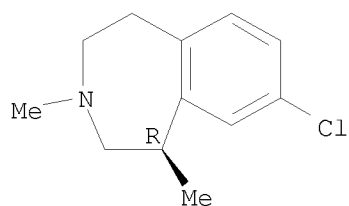


RN 616202-93-8 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1,3-dimethyl-, (1R)- (CA  
INDEX NAME)

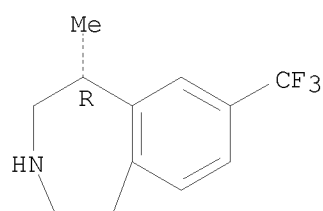
Absolute stereochemistry.

10/561,071



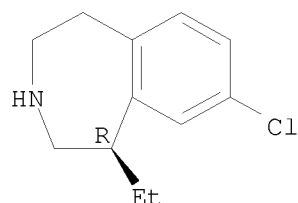
RN 616202-95-0 CAPLUS  
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-8-(trifluoromethyl)-, (1R)-  
(CA INDEX NAME)

Absolute stereochemistry.



RN 616202-96-1 CAPLUS  
CN 1H-3-Benzazepine, 8-chloro-1-ethyl-2,3,4,5-tetrahydro-, (1R)- (CA INDEX  
NAME)

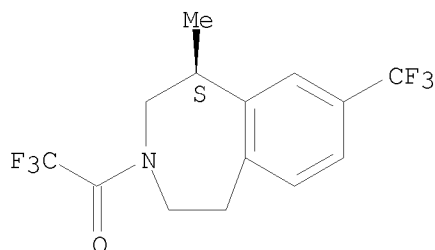
Absolute stereochemistry.



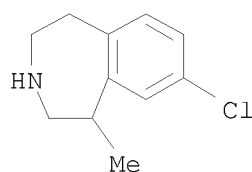
IT 616202-83-6P  
RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic  
preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of benzazepines as 5HT2C receptor modulators)  
RN 616202-83-6 CAPLUS  
CN Ethanone, 2,2,2-trifluoro-1-[(1S)-1,2,4,5-tetrahydro-1-methyl-8-  
(trifluoromethyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

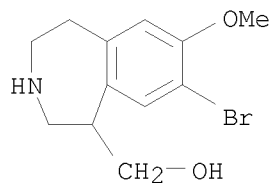
10/561,071



IT 616201-80-0P, (+)-8-Chloro-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation, N-alkylation and 5HT2C receptor modulating activity of; preparation of benzazepines as 5HT2C receptor modulators)  
RN 616201-80-0 CAPLUS  
CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



IT 616201-72-0P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation, N-protection and 5HT2C receptor modulating activity of; preparation of benzazepines as 5HT2C receptor modulators)  
RN 616201-72-0 CAPLUS  
CN 1H-3-Benzazepine-1-methanol, 8-bromo-2,3,4,5-tetrahydro-7-methoxy- (CA INDEX NAME)



IT 616201-56-0P, (+)-8-Chloro-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-73-1P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

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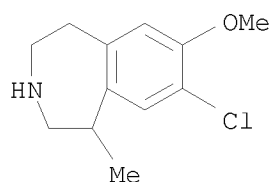
(Uses)

(preparation, enantiomer resolution and 5HT<sub>2C</sub> receptor modulating activity of;

preparation of benzazepines as 5HT<sub>2C</sub> receptor modulators)

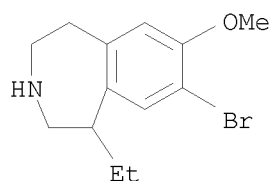
RN 616201-56-0 CAPLUS

CN 1H-3-Benzazepine, 8-chloro-2,3,4,5-tetrahydro-7-methoxy-1-methyl- (CA INDEX NAME)



RN 616201-73-1 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-1-ethyl-2,3,4,5-tetrahydro-7-methoxy- (CA INDEX NAME)



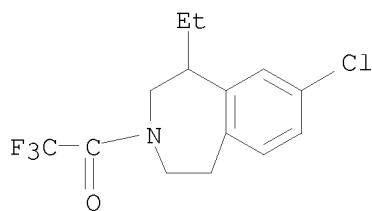
IT 616202-67-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation, enantiomer resolution and deacetylation of; preparation of benzazepines as 5HT<sub>2C</sub> receptor modulators)

RN 616202-67-6 CAPLUS

CN Ethanone, 1-(8-chloro-1-ethyl-1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



IT 616202-51-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

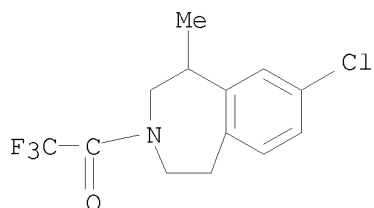
(preparation, enantiomer resolution and deacetylation or regioselective fluorination of; preparation of benzazepines as 5HT<sub>2C</sub> receptor modulators)

RN 616202-51-8 CAPLUS

CN Ethanone, 1-(8-chloro-1,2,4,5-tetrahydro-1-methyl-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



10/561,071

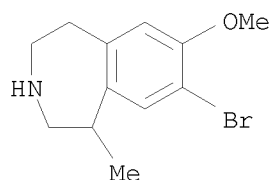


IT 616201-55-9P, (±)-8-Bromo-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine 616201-57-1P, (±)-8-Iodo-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation, reductive N-alkylation, enantiomer resolution and 5HT2C receptor

modulating activity of; preparation of benzazepines as 5HT2C receptor modulators)

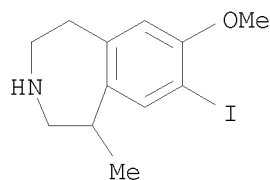
RN 616201-55-9 CAPLUS

CN 1H-3-Benzazepine, 8-bromo-2,3,4,5-tetrahydro-7-methoxy-1-methyl- (CA INDEX NAME)



RN 616201-57-1 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-8-iodo-7-methoxy-1-methyl- (CA INDEX NAME)



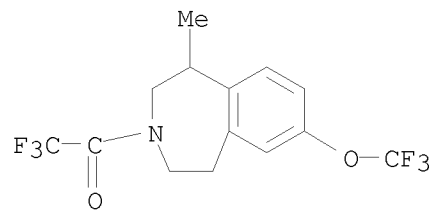
IT 616202-59-6

RL: RCT (Reactant); RACT (Reactant or reagent)  
(regioselective iodination and N-deacetylation of; preparation of benzazepines as 5HT2C receptor modulators)

RN 616202-59-6 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-1-methyl-7-(trifluoromethoxy)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

10/561,071



L19 ANSWER 15 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:247316 CAPLUS

DOCUMENT NUMBER: 134:280722

TITLE: Preparation of fused cycloheptane and fused  
azacycloheptane compounds for treating integrin  
receptors mediated diseasesINVENTOR(S): Tasker, Andrew; Rutledge, Melvin C.; Liu, Longbin;  
Han, Nianhe; Comingues, Celia; Grenazer-Laber, Ellen;  
Chen, Zhidon; Moreno, Ofir A.

PATENT ASSIGNEE(S): Amgen, Inc., USA

SOURCE: PCT Int. Appl., 262 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

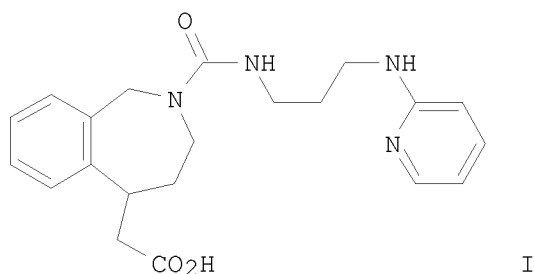
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

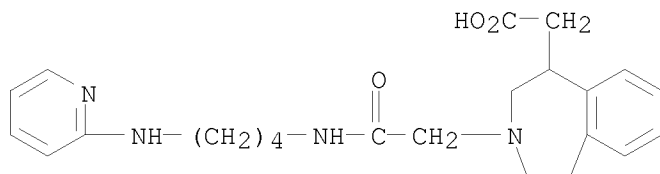
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001023357	A2	20010405	WO 2000-US26537	20000927
WO 2001023357	A3	20020124		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, BN, YU, ZA, AW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 6514964	B1	20030204	US 2000-671025	20000926
CA 2386799	A1	20010405	CA 2000-2386799	20000927
CA 2386799	C	20070417		
AU 2000077220	A	20010430	AU 2000-77220	20000927
AU 769228	B2	20040122		
EP 1216230	A2	20020626	EP 2000-966950	20000927
EP 1216230	B1	20080423		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
JP 2004502635	T	20040129	JP 2001-526511	20000927
AT 393147	T	20080515	AT 2000-966950	20000927
MX 2002PA03120	A	20020930	MX 2002-PA3120	20020325
PRIORITY APPLN. INFO.:			US 1999-156174P	P 19990927
			US 2000-671025	A 20000926
			WO 2000-US26537	W 20000927

OTHER SOURCE(S): MARPAT 134:280722

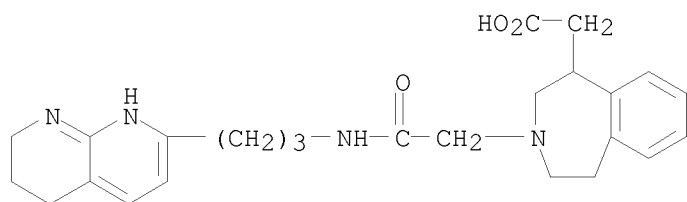
GI



- AB The title compds. EB(Alk)pQ(Alk)qAG [p, q = 0-1; Alk = alkyl; A, Q = a bond, S, O, etc.; B = a bond, O, aryl, etc.; E = H, alkyl, aryl, etc.; G = benzo[e]azepin-5-yl, benzo[d]imidazolo[1,2-a]azepin-5-yl, etc.] that are effective in the prophylaxis and treatment of diseases, such as integrin receptors mediated diseases, in particular, diseases or conditions mediated by integrin receptors, such as  $\alpha v\beta 3$ ,  $\alpha v\beta 5$ ,  $\alpha v\beta 6$  and the like, were prepared E.g., a multi-step synthesis of I which showed IC<sub>50</sub> of  $\leq 30 \mu\text{M}$  in the HUVEC proliferation assay and/or HUVEC adhesion assay was given.
- IT 332879-23-9P 332879-25-1P 332879-26-2P  
 332879-27-3P 332879-29-5P 332879-64-8P  
 332879-65-9P 332879-66-0P 332880-12-3P  
 332880-14-5P 332880-16-7P 332880-18-9P  
 332880-21-4P 332880-32-7P 332880-34-9P  
 332880-36-1P 332880-51-0P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of fused cycloheptane and fused azacycloheptane compds. for treating integrin receptors mediated diseases)
- RN 332879-23-9 CAPLUS
- CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[2-oxo-2-[[4-(2-pyridinylamino)butyl]amino]ethyl]- (CA INDEX NAME)

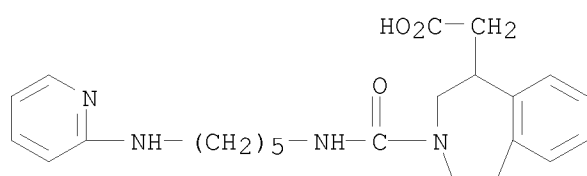


- RN 332879-25-1 CAPLUS
- CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[2-oxo-2-[[3-(5,6,7,8-tetrahydro-1,8-naphthyridin-2-yl)propyl]amino]ethyl]- (CA INDEX NAME)



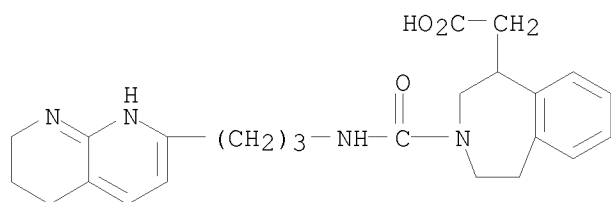
RN 332879-26-2 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[5-(2-pyridinylamino)pentyl]amino]carbonyl]- (CA INDEX NAME)



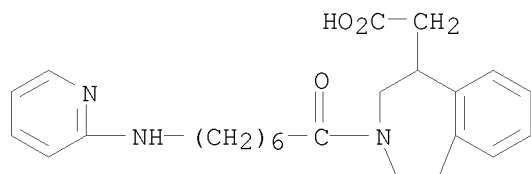
RN 332879-27-3 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[3-(5,6,7,8-tetrahydro-1,8-naphthyridin-2-yl)propyl]amino]carbonyl]- (CA INDEX NAME)



RN 332879-29-5 CAPLUS

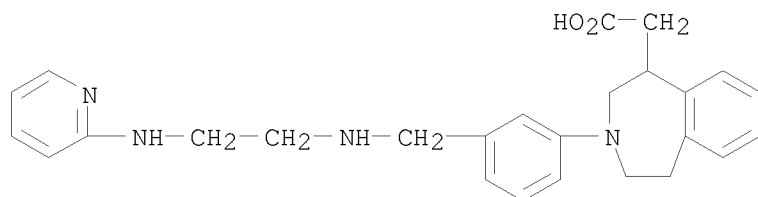
CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[1-oxo-7-(2-pyridinylamino)heptyl]- (CA INDEX NAME)



RN 332879-64-8 CAPLUS

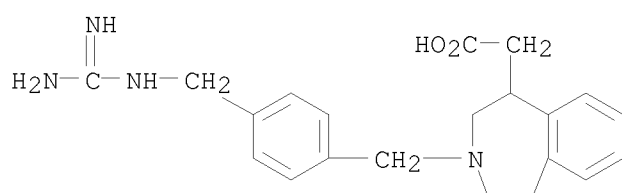
CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[3-[[[2-(2-pyridinylamino)ethyl]amino]methyl]phenyl]- (CA INDEX NAME)

10/561,071



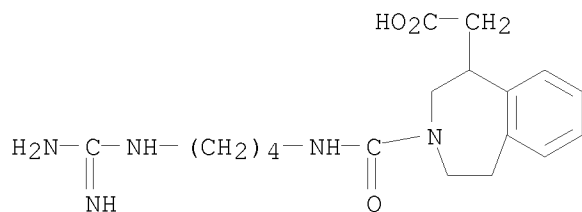
RN 332879-65-9 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[4-[[[(aminoiminomethyl)amino]methyl]phenyl]methyl]-2,3,4,5-tetrahydro- (CA INDEX NAME)



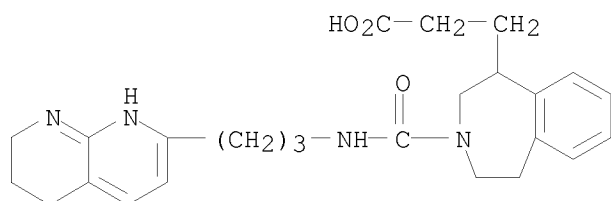
RN 332879-66-0 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[[4-[(aminoiminomethyl)amino]butyl]amino]carbonyl]-2,3,4,5-tetrahydro- (CA INDEX NAME)



RN 332880-12-3 CAPLUS

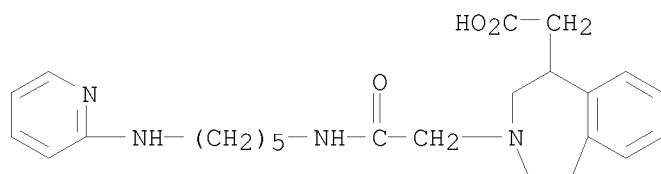
CN 1H-3-Benzazepine-1-propanoic acid, 2,3,4,5-tetrahydro-3-[[[3-(5,6,7,8-tetrahydro-1,8-naphthyridin-2-yl)propyl]amino]carbonyl]- (CA INDEX NAME)



RN 332880-14-5 CAPLUS

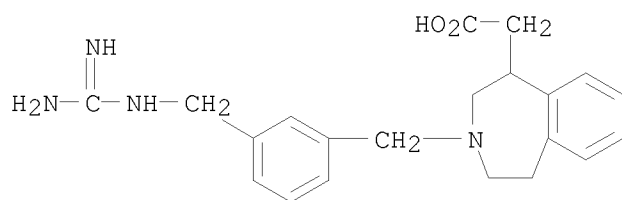
CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[2-oxo-2-[[5-(2-pyridinylamino)pentyl]amino]ethyl]- (CA INDEX NAME)

10/561,071



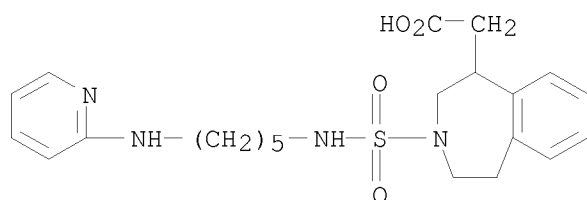
RN 332880-16-7 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[3-[[[(aminoiminomethyl)amino]methyl]phenyl]methyl]-2,3,4,5-tetrahydro- (CA INDEX NAME)



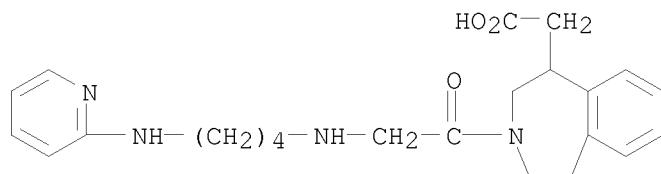
RN 332880-18-9 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[5-(2-pyridinylamino)pentyl]amino]sulfonyl]- (CA INDEX NAME)



RN 332880-21-4 CAPLUS

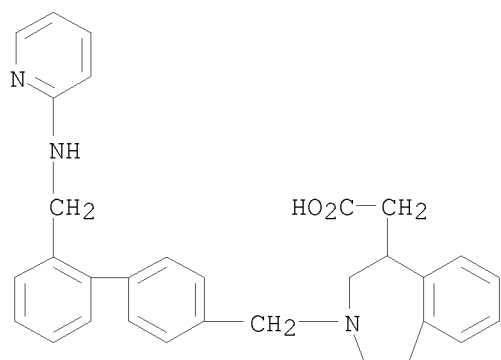
CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[2-[[4-(2-pyridinylamino)butyl]amino]acetyl]- (CA INDEX NAME)



RN 332880-32-7 CAPLUS

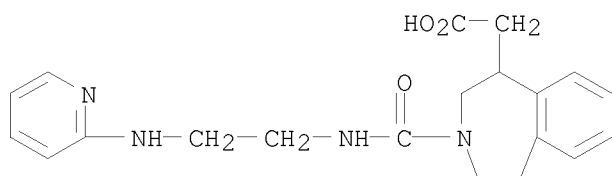
CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[2'-[(2-pyridinylamino)methyl][1,1'-biphenyl]-4-yl]methyl]- (CA INDEX NAME)

10/561,071



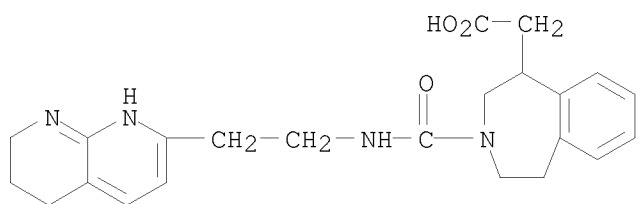
RN 332880-34-9 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[2-(2-pyridinylamino)ethyl]amino]carbonyl]- (CA INDEX NAME)



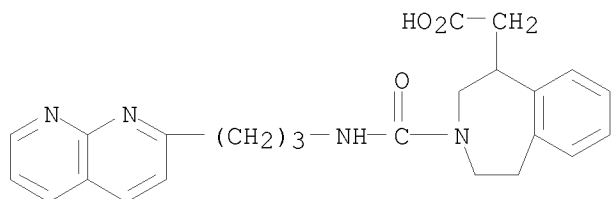
RN 332880-36-1 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[2-(5,6,7,8-tetrahydro-1,8-naphthyridin-2-yl)ethyl]amino]carbonyl]- (CA INDEX NAME)



RN 332880-51-0 CAPLUS

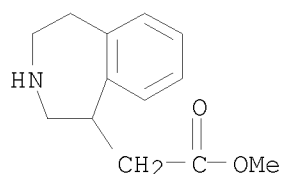
CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[[[3-(1,8-naphthyridin-2-yl)propyl]amino]carbonyl]- (CA INDEX NAME)



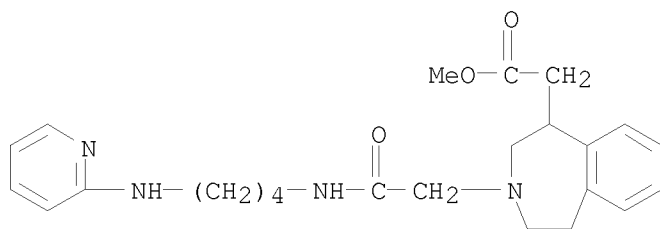


10/561,071

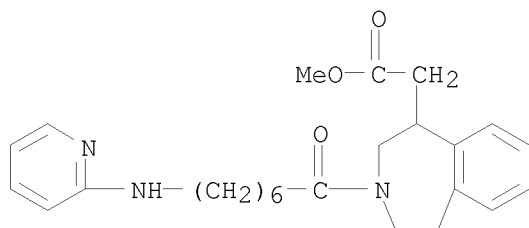
IT 332879-22-8P 332881-70-6P 332881-73-9P  
332882-76-5P 332882-78-7P 332882-80-1P  
332882-85-6P 332882-87-8P 332911-01-0P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation of fused cycloheptane and fused azacycloheptane compds. for  
treating integrin receptors mediated diseases)  
RN 332879-22-8 CAPLUS  
CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-, methyl ester (CA  
INDEX NAME)



RN 332881-70-6 CAPLUS  
CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[2-oxo-2-[[4-(2-  
pyridinylamino)butyl]amino]ethyl]-, methyl ester (CA INDEX NAME)



RN 332881-73-9 CAPLUS  
CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[1-oxo-7-(2-  
pyridinylamino)heptyl]-, methyl ester (CA INDEX NAME)

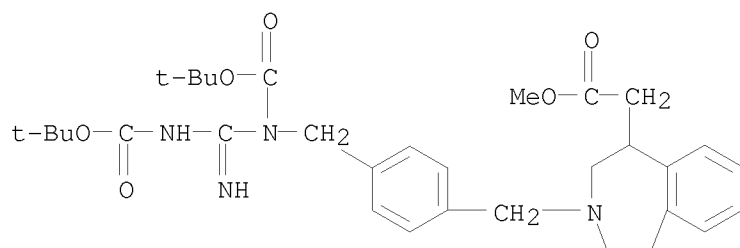


RN 332882-76-5 CAPLUS  
CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-3-[3-(  
hydroxymethyl)phenyl]-, methyl ester (CA INDEX NAME)

COC(=O)C1CCc2ccccc2N1Cc3ccc(CO)cc3COC(=O)C1CCN(C1Cc2ccccc2)c3ccc(C=O)cc3COC(=O)C1CN(C2=CC=CC=C2)CCN1CCN3C=CC=CC=N3

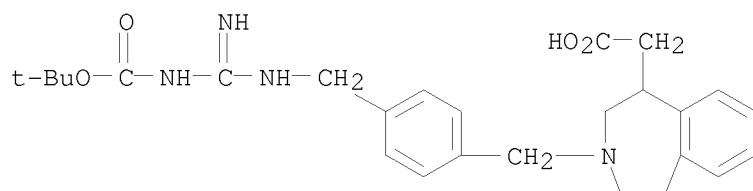
Page 186

10/561,071



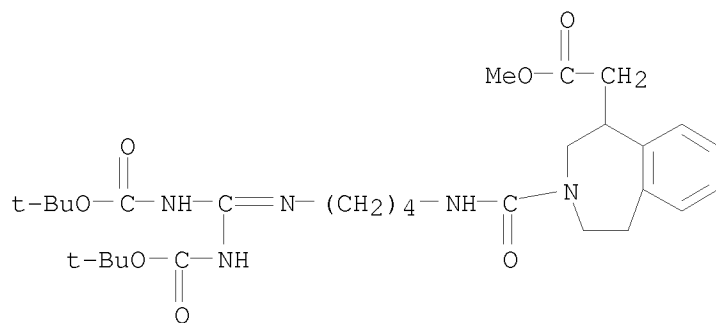
RN 332882-87-8 CAPLUS

CN 1H-3-Benzazepine-1-acetic acid, 3-[[4-[[[(1,1-dimethylethoxy)carbonyl]amino]iminomethyl]amino]methyl]phenyl]methyl]-2,3,4,5-tetrahydro- (CA INDEX NAME)



RN 332911-01-0 CAPLUS

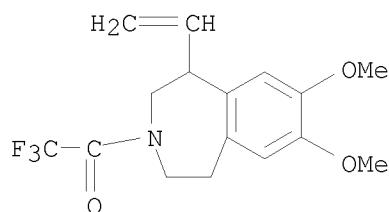
CN 1H-3-Benzazepine-1-acetic acid, 3-[[[4-[[bis[[[(1,1-dimethylethoxy)carbonyl]amino]methylene]amino]butyl]amino]carbonyl]-2,3,4,5-tetrahydro-, methyl ester (CA INDEX NAME)



L19 ANSWER 16 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2000:199548 CAPLUS  
 DOCUMENT NUMBER: 133:58698  
 TITLE: Enantioselective synthesis of tetrahydroisoquinolines  
 and benzazepines by silane terminated Heck reactions  
 with the chiral ligands (+)-TMBTP and (R)-BITIANP  
 AUTHOR(S): Tietze, Lutz F.; Thede, Kai; Schimpf, Ralph;  
 Sannicolo, Franco  
 CORPORATE SOURCE: Institut fur Organische Chemie der Universitat  
 Gottingen, Gottingen, D-37077, Germany  
 SOURCE: Chemical Communications (Cambridge) (2000), (7),  
 583-584  
 CODEN: CHCOFS; ISSN: 1359-7345  
 PUBLISHER: Royal Society of Chemistry  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 133:58698  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The intramol. Heck reaction of the iodoaryl compound I (R = MeO, n = 1) with  
 a (Z)-allylsilane moiety in the presence of the chiral ligand (+)-TMBTP  
 [(+)-II] leads to the benzazepine III (R = H) with 92% ee, whereas I (R =  
 MeO, n = 1) with an (E)-allylsilane moiety in the presence of the chiral  
 ligand (R)-BITIANP [(R)-IV] gives III (R = SiMe3) with 91% ee; in a  
 similar way, I (R = H, MeO; n = 0) were transformed in the presence of  
 (+)-II into the tetrahydroisoquinolines V (R = H, MeO) with 86 and 84% ee,  
 resp.  
 IT 154138-48-4P 157105-52-7P 157183-88-5P  
 278171-54-3P 278171-55-4P 278171-56-5P  
 278171-57-6P 278171-58-7P 278171-59-8P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (asym. synthesis of tetrahydroisoquinolines and -benzazepines by  
 silane-terminated Heck reactions with chiral ligands)  
 RN 154138-48-4 CAPLUS  
 CN Ethanone, 1-(1-ethenyl-1,2,4,5-tetrahydro-7,8-dimethoxy-3H-3-benzazepin-3-  
 yl)-2,2,2-trifluoro- (CA INDEX NAME)

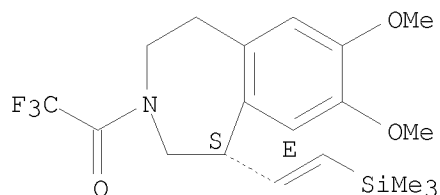


RN 157105-52-7 CAPLUS  
 CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7,8-dimethoxy-3-(trifluoroacetyl)-1-  
 [(1E)-2-(trimethylsilyl)ethenyl]-, (1S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/561,071

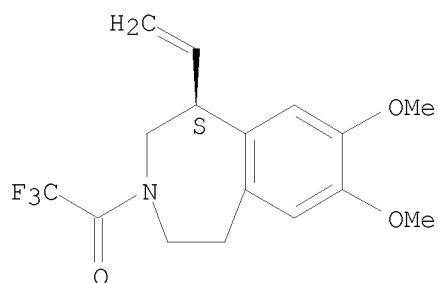
Double bond geometry as shown.



RN 157183-88-5 CAPLUS

CN 1H-3-Benzazepine, 1-ethenyl-2,3,4,5-tetrahydro-7,8-dimethoxy-3-(trifluoroacetyl)-, (1S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

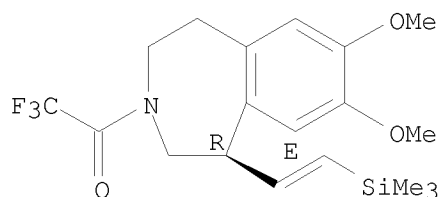


RN 278171-54-3 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[(1R)-1,2,4,5-tetrahydro-7,8-dimethoxy-1-[(1E)-2-(trimethylsilyl)ethenyl]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

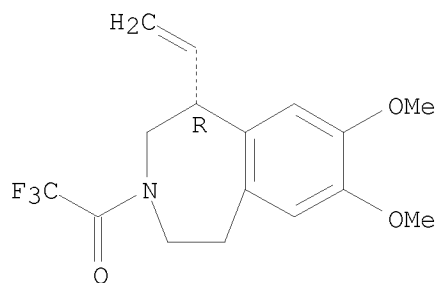


RN 278171-55-4 CAPLUS

CN Ethanone, 1-[(1R)-1-ethenyl-1,2,4,5-tetrahydro-7,8-dimethoxy-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.

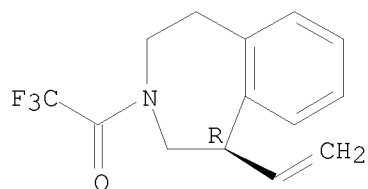
10/561,071



RN 278171-56-5 CAPLUS

CN Ethanone, 1-[(1R)-1-ethenyl-1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

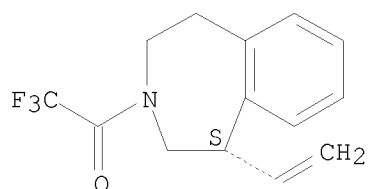
Absolute stereochemistry.



RN 278171-57-6 CAPLUS

CN Ethanone, 1-[(1S)-1-ethenyl-1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.



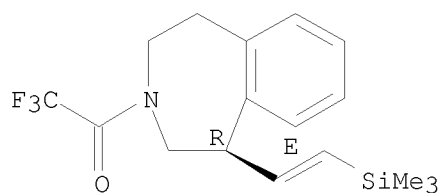
RN 278171-58-7 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[(1R)-1,2,4,5-tetrahydro-1-[(1E)-2-(trimethylsilyl)ethenyl]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

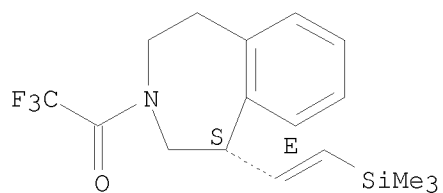
10/561,071



RN 278171-59-8 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[(1S)-1,2,4,5-tetrahydro-1-[(1E)-2-(trimethylsilyl)ethenyl]-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



REFERENCE COUNT:

19

THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 17 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:484676 CAPLUS

DOCUMENT NUMBER: 129:211234

ORIGINAL REFERENCE NO.: 129:42719a,42722a

TITLE: Interaction of the anxiogenic agent, RS-30199, with 5-HT1A receptors: modulation of sexual activity in the male rat

AUTHOR(S): Spedding, M.; Newman-Tancredi, A.; Millan, M. J.; Dacquet, C.; Michel, A. N.; Jacoby, E.; Vickery, B.; Tallentire, D.

CORPORATE SOURCE: Croissy Research Centre, Institut de Internationales, Servier, Paris, 78290, Fr.

SOURCE: Neuropharmacology (1998), 37(6), 769-780

CODEN: NEPHBW; ISSN: 0028-3908

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB RS-30199 has been shown previously to have atypical interactions at 5-HT1A receptors. RS-30199 and RS-64459, an analog of buspirone with a buspirone side chain, were compared with the classic, partial agonist at 5-HT1A receptors, 8-hydroxy-2 (di-n-propylamino) tetralin (8-OH-DPAT) and buspirone. At human (h) 5-HT1A receptors in CHO cells, RS-30199-193 (racemate) and its enantiomers (-197, -198) inhibited [3H]-8-OH-DPAT binding (RS-30199-198,  $k_i$ ,  $29.7 \pm 11.7$  nM; RS-30199-197,  $k_i$ ,  $74.1 \pm 11.7$  nM) as did RS-64459 ( $k_i$ ,  $24.9 \pm 6.0$  nM), but RS-30199-197 and -198 were almost full agonists in a [35S]-GTP $\gamma$ S binding assay, whereas RS-64459 was a partial agonist, resembling buspirone and 8-OH-DPAT. RS-64459 and the enantiomers of RS-30199 had weaker affinity for 5-HT2C and 5-HT7 receptors. These compds. did not induce the 5-HT behavioral syndrome in male rats. However, in a model where naive male rats were introduced to estrogen-progesterone primed, sexually receptive female rats, RS-30199-197 (0.1, 1, 10 mg/kg, s.c.) had a profound inhibitory effect on sexual behavior score. Neither buspirone nor 8-OH-DPAT reduced the sexual behavior score. Unlike 8-OH-DPAT, which shortens intromission latency, RS-30199 prolonged intromission latency. RS-30199 (10 mg/kg s.c.) fully inhibited the facilitation of sexual behavior caused by the  $\alpha_2$ -adrenoceptor antagonist, delequamine (0.1 mg/kg, p.o.). In contrast, RS-64459 (100, 250, 1000 and 4000  $\mu$ g/kg, s.c.) failed to modify the sexual behavior score and did not modify intromission latency. The differences between the effects of RS-30199 and RS-64459 in binding and functional expts. are supported by mol. models of the receptor-ligand interaction, where the compds. interact in different ways with the receptor; a model is proposed for the allosteric interaction of different agents with the receptor, resulting in different functional profiles. RS-30199 can be considered an atypical agonist at 5-HT1A receptors.

IT 123882-89-3, RS 64459

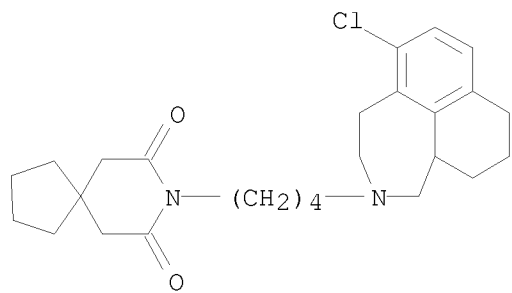
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)  
(mol. modeling of interaction of anxiogenic agent, RS-30199, and RS-64459 with 5-HT1A receptors)

RN 123882-89-3 CAPLUS

CN 8-Azaspiro[4.5]decane-7,9-dione, 8-[4-(5-chloro-3,4,8,9,10,10a-hexahydronaphth[1,8-cd]azepin-2(1H)-yl)butyl]-, monohydrochloride (9CI)  
(CA INDEX NAME)



10/561,071



● HCl

REFERENCE COUNT:

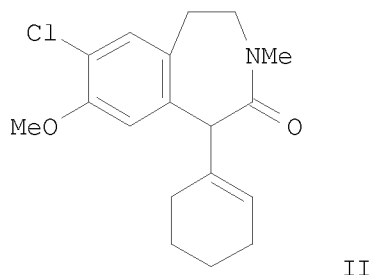
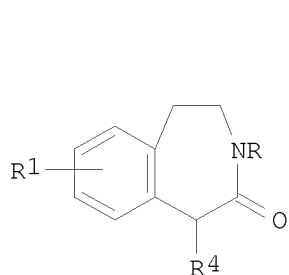
79

THERE ARE 79 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 18 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:447104 CAPLUS  
 DOCUMENT NUMBER: 125:142587  
 ORIGINAL REFERENCE NO.: 125:26689a,26692a  
 TITLE: Process for preparation of (alkenyl)benzazepinones  
 INVENTOR(S): Berger, Joel G.; Chang, Wei K.; Kozlowski, Joseph A.;  
 Zhou, Guowei  
 PATENT ASSIGNEE(S): Schering Corp., USA  
 SOURCE: U.S., 13 pp., Cont.-in-part of U.S. 5,241,065.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5530125	A	19960625	US 1994-290894	19940819
US 5241065	A	19930831	US 1992-841603	19920225
WO 9316997	A1	19930902	WO 1993-US1425	19930223
W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KR, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 1992-841603	A2 19920225
			WO 1993-US1425	W 19930223
OTHER SOURCE(S):		CASREACT 125:142587; MARPAT 125:142587		
GI				



AB A process for the preparation of  $\alpha$ -substituted arylethylamines I (R, R1 = substituent; R4 = alkenyl, cycloalkenyl; p = 0-3) comprises the treatment of an arylacetamide with a strong base in an inert aprotic organic solvent, followed by reaction with a zerovalent transition metal catalyst and then with a compound of the formula R X, (R4 = 1-alkenyl, 1-cycloalkenyl; X = leaving group). The  $\alpha$ -substituted arylacetamides are useful as intermediates in the preparation (by reduction) of  $\alpha$ -substituted arylethylamines, e.g., 1-substituted-2,3,4,5-tetrahydro-1H-3-benzazepines, having pharmacol. activity. Certain benzazepines wherein the 1-substituent R4 = 1-(1-cycloalkenyl) are new. For example, the alkenylation of 7-chloro-1,3,4,5-tetrahydro-8-methoxy-3-methyl-2H-3-benzazepin-2-one with cyclohexenyl triflate in the presence of tetrakis(triphenylphosphine)palladium gave 7-chloro-1-(1-cyclohexen-1-yl)-1,3,4,5-tetrahydro-8-methoxy-3-methyl-2H-3-benzazepin-2-one (II).

10/561,071

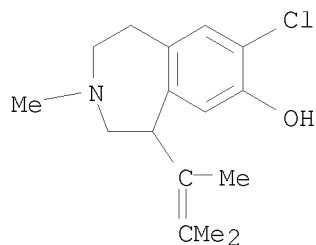
IT 179419-72-8

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(preparation of (alkenyl)benzazepinones via transition metal-catalyzed regioselective alkenylation of benzazepinones)

RN 179419-72-8 CAPLUS

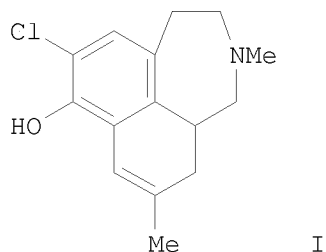
CN 1H-3-Benzazepin-7-ol, 8-chloro-5-(1,2-dimethyl-1-propen-1-yl)-2,3,4,5-tetrahydro-3-methyl- (CA INDEX NAME)



L19 ANSWER 19 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:305899 CAPLUS  
 DOCUMENT NUMBER: 122:213955  
 ORIGINAL REFERENCE NO.: 122:39111a,39114a  
 TITLE: Bridged benzazepines as selective D-1 receptor antagonists  
 INVENTOR(S): Berger, Joel G.; Chang, Wei K.; Clader, John W.  
 PATENT ASSIGNEE(S): Schering Corporation, USA  
 SOURCE: U.S., 19 pp. Cont.-in-part of U.S. Ser. No. 587,894, abandoned.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5374722	A	19941220	US 1993-27167	19930316
WO 9205157	A1	19920402	WO 1991-US6705	19910920
W: AU, BB, BG, BR, CA, CS, FI, HU, JP, KP, KR, LK, MC, MG, MW, NO, PL, RO, SD, SU, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, ML, MR, NL, SE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 1990-587894	B2 19900925
			WO 1991-US6705	W 19910920
OTHER SOURCE(S):		MARPAT 122:213955		
GI				



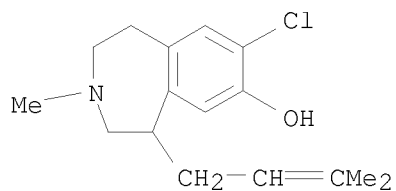
AB I is useful as an agent in the treatment of psychoses and drug dependence and for providing an analgesic effect. Minimal ED in rats in the conditioned avoidance response suppression test at 1 h. posttreatment after oral and 0.5 h. after s.c. administration by I derivs.: from 3 to >30 and 0.3 to >10, resp. Inhibition consts.  $K_i$  related to  $IC_{50}$  = concentration of test drug (I derivs.) necessary to displace 50% of specifically bound titrated compds. from D-1 and D-2 receptors were determined: from 1.1 to 2080 and from 147-42,800, resp. Thus, I derivs. bind strongly to the D-1 receptor site, and are not specifically bound to the D-2 site. Pharmaceutical formulations were given.

IT 118615-86-4P 143030-43-7P 143030-45-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (bridged benzazepines as selective D-1 receptor antagonists)

10/561,071

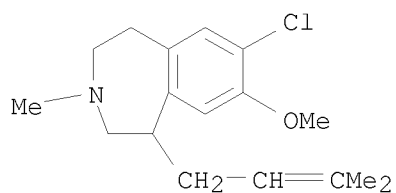
RN 118615-86-4 CAPLUS

CN 1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(3-methyl-2-butenyl)- (9CI) (CA INDEX NAME)



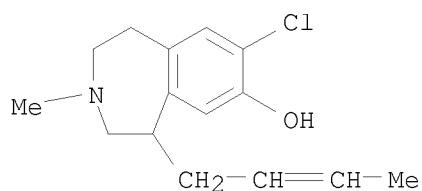
RN 143030-43-7 CAPLUS

CN 1H-3-Benzazepine, 7-chloro-2,3,4,5-tetrahydro-8-methoxy-3-methyl-1-(3-methyl-2-buten-1-yl)- (CA INDEX NAME)



RN 143030-45-9 CAPLUS

CN 1H-3-Benzazepin-7-ol, 5-(2-buten-1-yl)-8-chloro-2,3,4,5-tetrahydro-3-methyl- (CA INDEX NAME)



L19 ANSWER 20 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:288466 CAPLUS

DOCUMENT NUMBER: 122:68762

ORIGINAL REFERENCE NO.: 122:12899a,12902a

TITLE: (+)-N-Trichloroacetyl-7,8-dimethoxy-1-vinyl-2,3,4,5-tetrahydro-1H-3-benzazepine at 153 K

AUTHOR(S): Pohl, Ehmke; Herbst-Irmer, Regine; Schimpf, Ralph; tietze, Lutz F.

CORPORATE SOURCE: Inst. Anorg. Chem., Univ. Goettingen, Goettingen, 37077, Germany

SOURCE: Acta Crystallographica, Section C: Crystal Structure Communications (1994), C50(12), 1978-80  
CODEN: ACSCEE; ISSN: 0108-2701

PUBLISHER: Munksgaard

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The crystal structure anal. of the title compound, C<sub>16</sub>H<sub>18</sub>Cl<sub>3</sub>NO<sub>3</sub>, was carried out at low temperature to determine the absolute configuration of the compound  
Crystallog.

data and atomic coordinates are given.

IT 157105-53-8

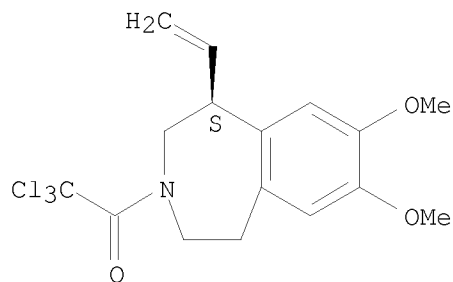
RL: PRP (Properties)

(crystal structure and absolute configuration at low temperature of)

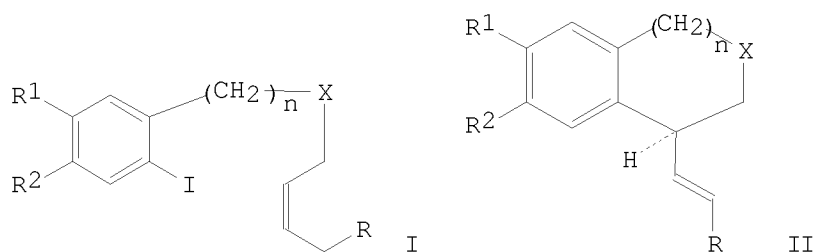
RN 157105-53-8 CAPLUS

CN 1H-3-Benzazepine, 1-ethenyl-2,3,4,5-tetrahydro-7,8-dimethoxy-3-(trichloroacetyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L19 ANSWER 21 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1994:533936 CAPLUS  
DOCUMENT NUMBER: 121:133936  
ORIGINAL REFERENCE NO.: 121:24212h,24213a  
TITLE: Regio- and enantioselective silicon-terminated  
intramolecular Heck reactions  
AUTHOR(S): Tietze, Lutz F.; Schimpf, Ralph  
CORPORATE SOURCE: Institut fuer Organische Chemie, Universitaet  
Goettingen, Goettingen, D-37077, Germany  
SOURCE: Angewandte Chemie (1994), 106(10), 1138-9 (See also  
Angew. Chem., Int. Ed. Engl., 1994, 33(10), 1089-91)  
CODEN: ANCEAD; ISSN: 0044-8249  
DOCUMENT TYPE: Journal  
LANGUAGE: German  
OTHER SOURCE(S): CASREACT 121:133936  
GI



AB Palladium complex-catalyzed Heck reactions of I (R = H, SiMe<sub>3</sub>; X = NCOCF<sub>3</sub>, n = 1, R<sub>1</sub> = R<sub>2</sub> = H, n = 2, R<sub>1</sub> = R<sub>2</sub> = MeO; X = CH<sub>2</sub>, R<sub>1</sub> = MeO, R<sub>2</sub> = H) were compared. Thus, I (R = SiMe<sub>3</sub>) afforded cyclic compds. II (R = H or SiMe<sub>3</sub>), the ratio depending on the substrate and catalyst.

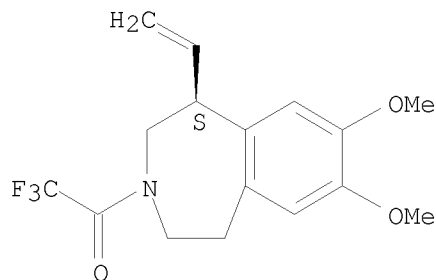
IT 157183-88-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and reduction of)

RN 157183-88-5 CAPLUS

CN 1H-3-Benzazepine, 1-ethenyl-2,3,4,5-tetrahydro-7,8-dimethoxy-3-(trifluoroacetyl)-, (1S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 157105-53-8P

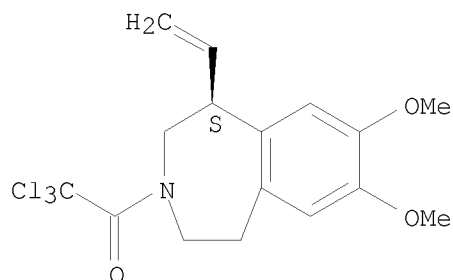
10/561,071

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and x-ray anal. of)

RN 157105-53-8 CAPLUS

CN 1H-3-Benzazepine, 1-ethenyl-2,3,4,5-tetrahydro-7,8-dimethoxy-3-(trichloroacetyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 157105-52-7P

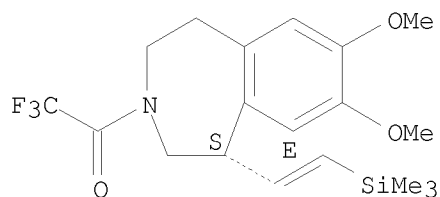
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 157105-52-7 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7,8-dimethoxy-3-(trifluoroacetyl)-1-[(1E)-2-(trimethylsilyl)ethenyl]-, (1S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

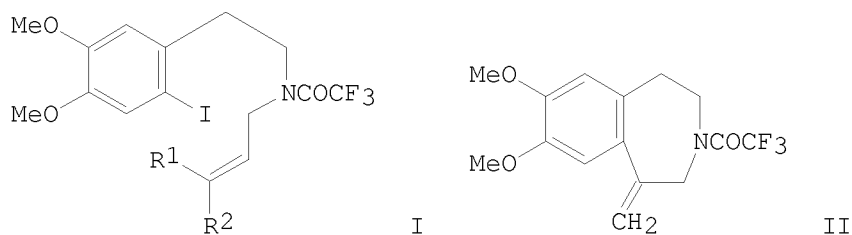
Double bond geometry as shown.





L19 ANSWER 22 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1994:244631 CAPLUS  
 DOCUMENT NUMBER: 120:244631  
 ORIGINAL REFERENCE NO.: 120:43353a, 43356a  
 TITLE: Efficient synthesis of 2,3,4,5-tetrahydro-1H-3-benzazepines by intramolecular Heck reaction  
 AUTHOR(S): Tietze, Lutz F.; Schimpf, Ralph  
 CORPORATE SOURCE: Inst. Org. Chem., Univ. Goettingen, Goettingen, D-3400, Germany  
 SOURCE: Synthesis (1993), (9), 876-80  
 CODEN: SYNTBF; ISSN: 0039-7881  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 120:244631  
 GI



AB A new facile method for the preparation of the pharmacol. interesting 3-benzazepine skeleton is described. N-[(iodophenyl)ethyl]-N-allylamine easily available from iodinated benzene derivs. are alkylated with allyl halides to afford compds. N-[2-(2-iodophenyl)ethyl]-N-allylamine I (R1, R2 = H, Me). Pd-catalyzed Heck-type cyclization of I leads to 3-benzazepines such as II; hydrogenation of II gives the corresponding racemic alkyl-substituted benzazepine.

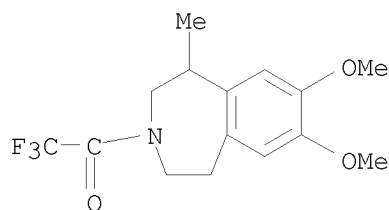
IT 154138-53-1P 154138-54-2P 154138-55-3P

154138-56-4P 154138-57-5P 154138-58-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 154138-53-1 CAPLUS

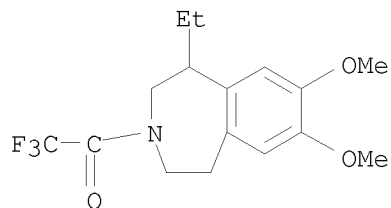
CN Ethanone, 2,2,2-trifluoro-1-(1,2,4,5-tetrahydro-7,8-dimethoxy-1-methyl-3H-3-benzazepin-3-yl)- (CA INDEX NAME)



RN 154138-54-2 CAPLUS

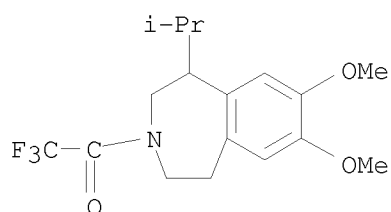
CN Ethanone, 1-(1-ethyl-1,2,4,5-tetrahydro-7,8-dimethoxy-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)

10/561,071



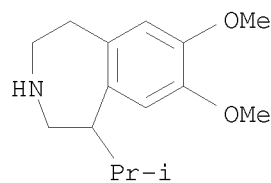
RN 154138-55-3 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7,8-dimethoxy-1-(1-methylethyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



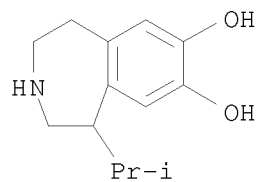
RN 154138-56-4 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7,8-dimethoxy-1-(1-methylethyl)- (CA INDEX NAME)



RN 154138-57-5 CAPLUS

CN 1H-3-Benzazepine-7,8-diol, 2,3,4,5-tetrahydro-1-(1-methylethyl)-, hydrobromide (1:1) (CA INDEX NAME)

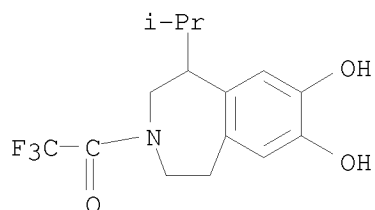


● HBr

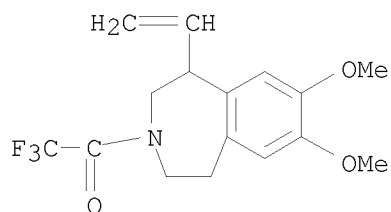
RN 154138-58-6 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7,8-dihydroxy-1-(1-methylethyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)

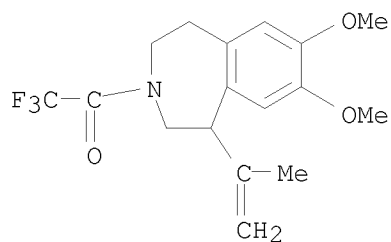
10/561,071



IT 154138-48-4P 154138-51-9P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation, Heck reaction of N-[(iodophenyl)ethyl]-N-allylamine)  
RN 154138-48-4 CAPLUS  
CN Ethanone, 1-(1-ethenyl-1,2,4,5-tetrahydro-7,8-dimethoxy-3H-3-benzazepin-3-yl)-2,2,2-trifluoro- (CA INDEX NAME)



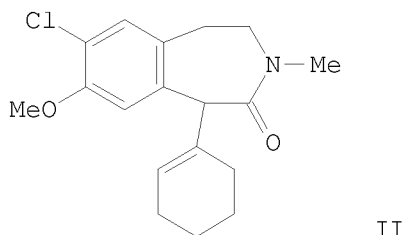
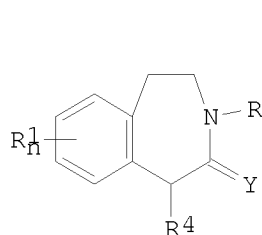
RN 154138-51-9 CAPLUS  
CN Ethanone, 2,2,2-trifluoro-1-[1,2,4,5-tetrahydro-7,8-dimethoxy-1-(1-methylethenyl)-3H-3-benzazepin-3-yl]- (CA INDEX NAME)



L19 ANSWER 23 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1994:134315 CAPLUS  
 DOCUMENT NUMBER: 120:134315  
 ORIGINAL REFERENCE NO.: 120:23651a, 23654a  
 TITLE: 2,3,4,5-Tetrahydro-1H-3-benzazepines having  
 antipsychotic activity  
 INVENTOR(S): Berger, Joel G.; Chang, Wei K.; Kozlowski, Joseph A.;  
 Zhou, Guowei  
 PATENT ASSIGNEE(S): Schering Corp., USA  
 SOURCE: U.S., 14 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5241065	A	19930831	US 1992-841603	19920225
ZA 9301261	A	19930823	ZA 1993-1261	19930223
CA 2130797	A1	19930902	CA 1993-2130797	19930223
CA 2130797	C	20060704		
WO 9316997	A1	19930902	WO 1993-US1425	19930223
W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KR, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
AU 9337221	A	19930913	AU 1993-37221	19930223
EP 628030	A1	19941214	EP 1993-906034	19930223
EP 628030	B1	20021211		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 07504196	T	19950511	JP 1993-514943	19930223
IL 104828	A	19990817	IL 1993-104828	19930223
AT 229510	T	20021215	AT 1993-906034	19930223
ES 2183810	T3	20030401	ES 1993-906034	19930223
US 5530125	A	19960625	US 1994-290894	19940819
PRIORITY APPLN. INFO.:			US 1992-841603	A 19920225
			WO 1993-US1425	W 19930223
OTHER SOURCE(S):			CASREACT 120:134315; MARPAT 120:134315	
GI				



AB The title compds. I (R = alkyl, alkenyl, aryl, aralkyl, cycloalkyl, cycloalkyl alkyl; R1 = alkenyl, alkoxy, HO, alkenyloxy, cycloalkyl, NO2,

halogen, Ph, PhO; R4 = 1-cycloalkenyl; Y = O, H2), useful as antipsychotic agents, are prepared from aryl acetamides in the presence of a strong base followed by reaction with zero-valent transition metal catalysts and then with cycloalkenyl group R4X (X = leaving group). Thus, 7-chloro-8-methoxy-3-methyl-1,3,4,5-tetrahydro-2H-3-benzazepin-2-one was reacted with Li diisopropylamide in the presence of Pd (PPH3)4 followed by addition of 1-cyclohexenyl triflate, producing II.

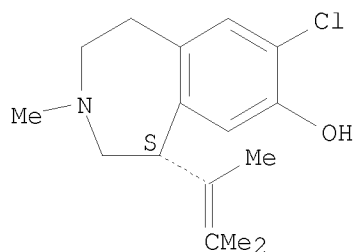
IT 152807-92-6

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
(antipsychotic activity of)

RN 152807-92-6 CAPLUS

CN 1H-3-Benzazepin-7-ol, 8-chloro-5-(1,2-dimethyl-1-propenyl)-2,3,4,5-tetrahydro-3-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L19 ANSWER 24 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1993:539050 CAPLUS

DOCUMENT NUMBER: 119:139050

ORIGINAL REFERENCE NO.: 119:24931a,24934a

TITLE: Dopamine receptor binding properties of some 2,3,4,5-tetrahydro-1H-3-benzazepin-7-ols with nonaromatic substituents in the 5-position

AUTHOR(S): Chang, Wei K.; Peters, Marjorie; Fevig, Vicki P.; Kozlowski, Joseph A.; Zhou, Gouwei; Lowe, Derek B.; Guzik, Henry; McQuade, Robert D.; Duffy, Ruth; et al.  
CORPORATE SOURCE: Schering-Plough Res. Inst., Bloomfield, NJ, 07003, USA  
SOURCE: Bioorganic & Medicinal Chemistry Letters (1992), 2(5), 399-402

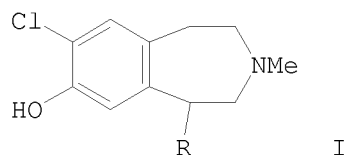
CODEN: BMCLE8; ISSN: 0960-894X

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 119:139050

GI



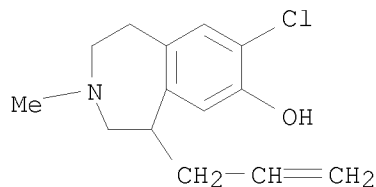
AB The title compds. I (R = H, Pr, EtS, cyclohexyl) related to the selective dopamine D-1 antagonist SCH 23390, but bearing non-aromatic substituents in the 5-position possess considerable affinity and selectivity for D-1 vs. D-2 receptors.

IT 118615-62-6P 118615-67-1P 118615-83-1P

149435-02-9P 149454-12-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and SAR of)

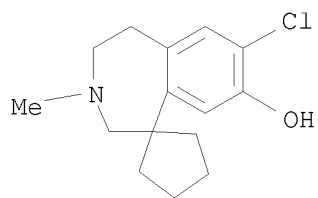
RN 118615-62-6 CAPLUS

CN 1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-propenyl)-  
(9CI) (CA INDEX NAME)

RN 118615-67-1 CAPLUS

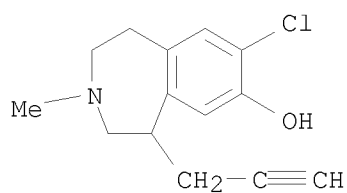
CN Spiro[1H-3-benzazepine-1,1'-cyclopentan]-8-ol, 7-chloro-2,3,4,5-tetrahydro-  
3-methyl- (CA INDEX NAME)

10/561,071



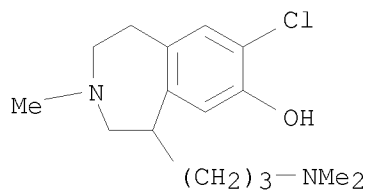
RN 118615-83-1 CAPLUS

CN 1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-propynyl)-  
(9CI) (CA INDEX NAME)



RN 149435-02-9 CAPLUS

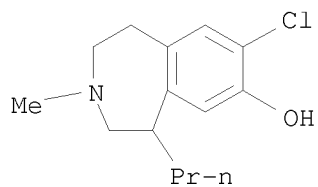
CN 1H-3-Benzazepin-7-ol, 8-chloro-5-[3-(dimethylamino)propyl]-2,3,4,5-  
tetrahydro-3-methyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 149454-12-6 CAPLUS

CN 1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-propyl- (CA  
INDEX NAME)



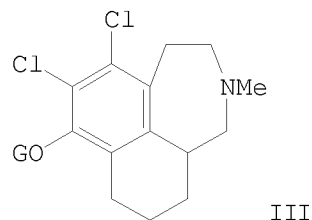
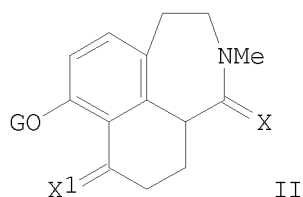
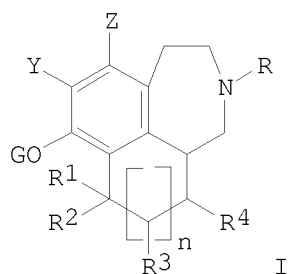
10/561,071



L19 ANSWER 25 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1992:571248 CAPLUS  
 DOCUMENT NUMBER: 117:171248  
 ORIGINAL REFERENCE NO.: 117:29605a, 29608a  
 TITLE: Peri-condensed benzazepines  
 INVENTOR(S): Berger, Joel G.; Chang, Wei K.; Clader, John W.  
 PATENT ASSIGNEE(S): Schering Corp., USA  
 SOURCE: PCT Int. Appl., 67 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

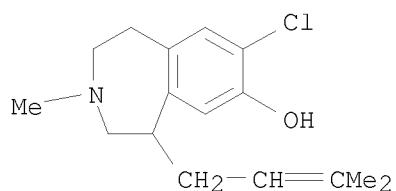
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9205157	A1	19920402	WO 1991-US6705	19910920
W: AU, BB, BG, BR, CA, CS, FI, HU, JP, KP, KR, LK, MC, MG, MW, NO, PL, RO, SD, SU, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, ML, MR, NL, SE, SN, TD, TG				
AU 9185365	A	19920415	AU 1991-85365	19910920
EP 551312	A1	19930721	EP 1991-916793	19910920
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 05506246	T	19930916	JP 1991-515385	19910920
JP 06015530	B	19940302		
ZA 9107573	A	19920624	ZA 1991-7573	19910923
US 5374722	A	19941220	US 1993-27167	19930316
PRIORITY APPLN. INFO.:			US 1990-587894	A2 19900925
			WO 1991-US6705	A 19910920
OTHER SOURCE(S):	MARPAT 117:171248			
GI				



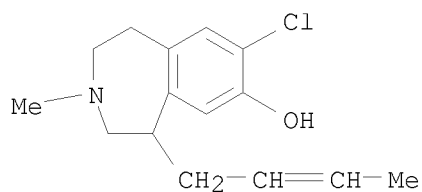
AB Compds. of formula I [R = H, alkyl, allyl, n = 0, 1; R1, R2 = H, OH, C1-4 alkyl or Ar; R3, R4 = H, C1-4 alkyl, G = H, R5R6NCO, ArNHCO (R5, R6 = H, C1-4 alkyl, aryl); Ar = Ph, substituted Ph; Y, Z = H, halo, C1-4 alkyl, C1-4 alkoxy, C1-4 haloalkyl] were prepared for use as antipsychotics, in treatment of drug dependency, and as analgesics. Thus, hydrogenation of naphthazepinedione II (X = X1 = O; G = Me) over Pd-C gave monoketones II (X1 = H2) which was reduced by BH3-THF to give methoxy derivative II (X = X1 = H2; G = Me) followed by chlorination with SO2Cl2 to give dichloro derivative III (G = Me). Cleavage of III by 48% HBr gave phenol III (G = H), the most preferred compound

10/561,071

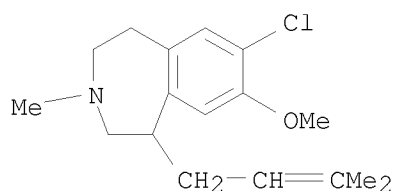
IT 118615-86-4P 143030-45-9P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and cyclization by methanesulfonic acid)  
RN 118615-86-4 CAPLUS  
CN 1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(3-methyl-2-butenyl)- (9CI) (CA INDEX NAME)



RN 143030-45-9 CAPLUS  
CN 1H-3-Benzazepin-7-ol, 5-(2-buten-1-yl)-8-chloro-2,3,4,5-tetrahydro-3-methyl- (CA INDEX NAME)



IT 143030-43-7P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and demethylation of)  
RN 143030-43-7 CAPLUS  
CN 1H-3-Benzazepine, 7-chloro-2,3,4,5-tetrahydro-8-methoxy-3-methyl-1-(3-methyl-2-buten-1-yl)- (CA INDEX NAME)



L19 ANSWER 26 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1992:52034 CAPLUS

DOCUMENT NUMBER: 116:52034

ORIGINAL REFERENCE NO.: 116:8851a,8854a

TITLE: The guinea pig ileum preparation as a model for 5-HT<sub>1A</sub> receptors: anomalous effects with RS-30199-193

AUTHOR(S): Small, Catherine; Brown, Christine M.; Redfern, William S.; Spedding, Michael

CORPORATE SOURCE: Syntex Res. Cent., Riccarton/Edinburgh, EH14 4AP, UK

SOURCE: British Journal of Pharmacology (1991), 104(2), 519-25  
CODEN: BJPCBM; ISSN: 0007-1188

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Agents that have high and selective affinity for the 5-HT<sub>1A</sub> site such as 8-hydroxy-2-(di-n-propylamino)tetralin (8-OH-DPAT) and N,N-dipropyl-5-carboxamidotryptamine (DP5CT) inhibited the responses to field stimulation in guinea pig ileum preps.; the inhibitory effects were antagonized by methiothepin and spiperone, consistent with effects at the 5-HT<sub>1A</sub> site. The inhibitory effects of DP5CT were pronounced in Tyrode solution containing low Ca<sup>2+</sup> (0.9 mM), but were much less apparent in Tyrode solution containing 1.8 or 5.4 mM Ca<sup>2+</sup>. Responses to DP5CT were abolished by pretreatment with phorbol dibutyrate (3  $\mu$ M), whereas the responses to UK 14304 were only slightly inhibited. Buspirone and ipsapirone (1  $\mu$ M) inhibited the responses to field stimulation, and the effects were resistant to idazoxan, but inhibited by 8-OH-DPAT or spiperone. RS 30199-193 (5-chloro-2-methyl-1,2,3,4,8,9,10,10a-octahydronaphth-[1,8-cd]-azepine-HCl) an azepine with high affinity for the 5-HT<sub>1A</sub> site in rat cerebral cortex in binding expts., augmented contractions but did not antagonize the responses to DP5CT or to 8-OH-DPAT. The hybrid compound of RS 30199-193 with buspirone, RS 64459-193 (5-chloro-2-[4-(8-azaspiro[4,5]decane-7,9-dione)-but-1-yl]-1,2,3,4,8,9,10,10a-octahydronaphth[1,8-cd]-3-azepine-HCl) maintained high affinity for the 5-HT<sub>1A</sub> binding site in rat brain and both inhibited the response to field stimulation and antagonized the responses to 8-OH-DPAT and DP5CT. Thus the buspirone side chains when added to RS 30199-193 appears either to induce affinity for a distinct subset of receptors in the guinea pig ileum or is required for functional effectiveness at the 5-HT<sub>1A</sub> receptor.

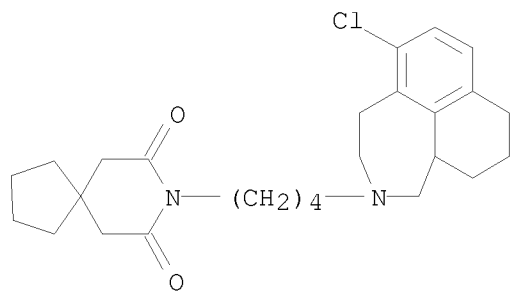
IT 123882-89-3, RS 64459-193

RL: BIOL (Biological study)  
(intestine ileum contraction inhibition by)

RN 123882-89-3 CAPLUS

CN 8-Azaspiro[4.5]decane-7,9-dione, 8-[4-(5-chloro-3,4,8,9,10,10a-hexahydronaphth[1,8-cd]azepin-2(1H)-yl)butyl]-, monohydrochloride (9CI)  
(CA INDEX NAME)

10/561,071



● HCl

L19 ANSWER 27 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1990:55570 CAPLUS

DOCUMENT NUMBER: 112:55570

ORIGINAL REFERENCE NO.: 112:9535a,9538a

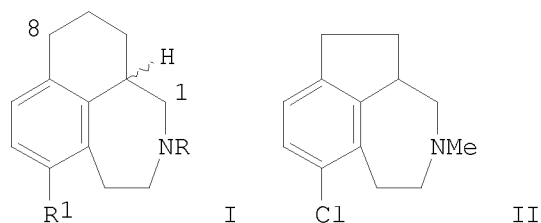
TITLE: 1,9-Alkano-bridged 2,3,4,5-tetrahydro-1H-3-benzazepines with affinity for the  $\alpha$ 2-adrenoceptor and the 5-HT1A receptorAUTHOR(S): Clark, Robin D.; Weinhardt, Klaus K.; Berger, Jacob; Fisher, Lawrence E.; Brown, Christine M.; MacKinnon, Alison C.; Kilpatrick, Andrew T.; Spedding, Michael  
CORPORATE SOURCE: Inst. Org. Chem., Syntex Res., Palo Alto, CA, 94304, USASOURCE: Journal of Medicinal Chemistry (1990), 33(2), 633-41  
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 112:55570

GI



AB A number of 1,9-alkano-bridged 2,3,4,5-tetrahydro-1H-3-benzazepines were prepared and evaluated for 5-HT1A receptor and  $\alpha$ 2-adrenoceptor affinity by using radioligand receptor-binding techniques. Several compds. displayed 5-HT1A receptor affinity comparable to, or greater than, that of the known 5-HT1A ligand buspirone. The highest affinity 5-HT1A receptor ligands were N-methyl-5-chloro-, N-allyl-5-chloro-, and N-allyl-5-methoxy-1,2,3,4,8,9,10,10a-octahydronaphth[1,8-cd]azepines I (R = Me, allyl, R1 = Cl; R = allyl, R1 = OMe), which had pKi values of 7.9-8.1. The (S)-enantiomer of I (R = Me, R1 = Cl) had a higher affinity for the 5-HT1A receptor than the corresponding (R)-isomer [pKi of 8.2 for (S)-I vs. 7.7 for (R)-I]. These compds. had a relatively low affinity for the  $\alpha$ 2-adrenoceptor (pKi of 7 or less). On the other hand, the closely related 5-chloro-2-methyl-2,3,4,8,9,9a-hexahydro-1H-indeno[1,7-cd]azepine (II) had high affinity for both the  $\alpha$ 2-adrenoceptor (pKi = 8.1) and 5-HT1A receptor (pKi = 7.6). These results indicate that the 2 receptors may share common recognition sites.

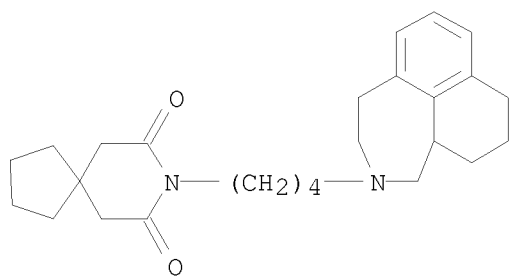
IT 123882-87-1P 123882-89-3P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and adrenoceptor and hydroxytryptamine receptor binding activity of)

RN 123882-87-1 CAPLUS

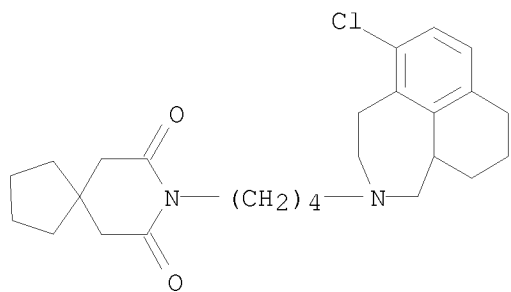
CN 8-Azaspiro[4.5]decane-7,9-dione, 8-[4-(3,4,8,9,10,10a-hexahydronaphth[1,8-cd]azepin-2(1H)-yl)butyl]-, monohydrochloride (9CI) (CA INDEX NAME)

10/561,071



● HCl

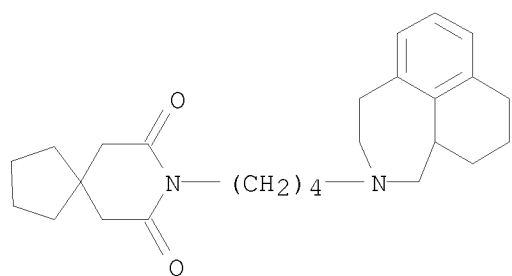
RN 123882-89-3 CAPLUS  
CN 8-Azaspiro[4.5]decane-7,9-dione, 8-[4-(5-chloro-3,4,8,9,10,10a-hexahydronaphth[1,8-cd]azepin-2(1H)-yl)butyl]-, monohydrochloride (9CI)  
(CA INDEX NAME)



● HCl

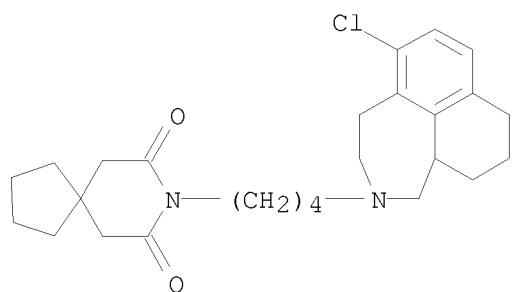
IT 123882-86-0P 123882-88-2P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation, adrenoceptor and hydroxytryptamine receptor binding activity,  
and conversion of, to salt)  
RN 123882-86-0 CAPLUS  
CN 8-Azaspiro[4.5]decane-7,9-dione, 8-[4-(3,4,8,9,10,10a-hexahydronaphth[1,8-cd]azepin-2(1H)-yl)butyl]- (CA INDEX NAME)

10/561,071



RN 123882-88-2 CAPLUS

CN 8-Azaspiro[4.5]decane-7,9-dione, 8-[4-(5-chloro-3,4,8,9,10,10a-hexahydronaphth[1,8-cd]azepin-2(1H)-yl)butyl]- (CA INDEX NAME)

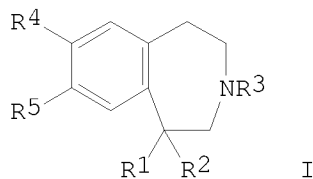


L19 ANSWER 28 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1989:75345 CAPLUS  
 DOCUMENT NUMBER: 110:75345  
 ORIGINAL REFERENCE NO.: 110:12449a,12452a  
 TITLE: Substituted benzazepines, their preparation,  
 pharmaceutical compositions containing them, and their  
 use as antipsychotics  
 INVENTOR(S): Berger, Joel G.; Chang, Wei K.; Peters, Marjorie  
 PATENT ASSIGNEE(S): Schering Corp., USA  
 SOURCE: Eur. Pat. Appl., 45 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 285919	A1	19881012	EP 1988-104758	19880324
EP 285919	B1	19941012		
R: ES, GR				
ZA 8802080	A	19890426	ZA 1988-2080	19880323
WO 8807526	A1	19881006	WO 1988-US899	19880324
W: AU, DK, FI, HU, JP, KR, NO, US				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
AU 8815964	A	19881102	AU 1988-15964	19880324
AU 619744	B2	19920206		
EP 357641	A1	19900314	EP 1988-903596	19880324
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 02502723	T	19900830	JP 1988-503399	19880324
JP 06062574	B	19940817		
HU 53882	A2	19901228	HU 1988-2812	19880324
HU 205744	B	19920629		
IL 85855	A	19930221	IL 1988-85855	19880324
CA 1321195	C	19930810	CA 1988-562352	19880324
NO 8805096	A	19881115	NO 1988-5096	19881115
NO 174507	B	19940207		
NO 174507	C	19940518		
DK 8806526	A	19881123	DK 1988-6526	19881123
DK 165688	B	19930104		
DK 165688	C	19930524		
US 5015639	A	19910514	US 1989-322801	19890313
FI 8904566	A	19890927	FI 1989-4566	19890927
US 5247080	A	19930921	US 1991-646574	19910221
PRIORITY APPLN. INFO.:			US 1987-32135	A 19870327
			WO 1988-US899	A 19880324
			US 1989-322801	A3 19890313
OTHER SOURCE(S):	MARPAT 110:75345			
GI				





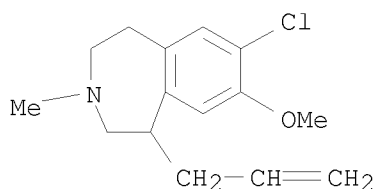
AB The title compds. [I; R1 = H, cycloalkyl, cycloalkenyl, cyano, R6X, R7O2C, R7CO2, R72NCO2, R7C.tplbond.C, R72NCO, imidazolyl, pyrrolyl, (un)substituted alkyl, alkenyl, etc.; R2 = H, OH, alkoxy; R1R2 = atoms to complete a carbocycle or heterocycle; R3 = H, alkyl, CH2CHCH2, cyclopropylmethyl; R4 = H, (halo)alkyl, alkoxy, halo; R5 = R8O, R72N, R,9CO2CR102O; R6 = H, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl, heteroaryl, (un)substituted alkyl; R7 = H, alkyl, alkoxy(alkyl), aryl, aralkyl; R8 = H, R7CO, R72NCO; R9 = alkyl, aryl, aralkyl; R10 = H, alkyl; X = O, S, R7N] and their pharmaceutically acceptable salts were prepared as dopamine D1 receptor antagonists, useful as antipsychotics, antidepressants, and analgesics. 3,4-Cl(MeO)C6H3CH2CH2NHMe was N-alkylated with (EtO)2CHCH2Br and the product was cyclized by heating at 70° with MeSO3H to give I (R1 = EtO, R2 = H, R3 = Me, R4 = Cl, R5 = MeO). The latter was deetherified by heating 10 h with EtSNa in DMF to give I (R1 = EtO, R2 = H, R3 = Me, R4 = Cl, R5 = OH) (II). In the conditioned avoidance response test in rats II suppressed the response with a min. ED of 1 mg/kg s.c.

IT 118615-45-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reaction of, in preparation of antipsychotics)

RN 118615-45-5 CAPLUS

CN 1H-3-Benzazepine, 7-chloro-2,3,4,5-tetrahydro-8-methoxy-3-methyl-1-(2-propenyl)- (9CI) (CA INDEX NAME)



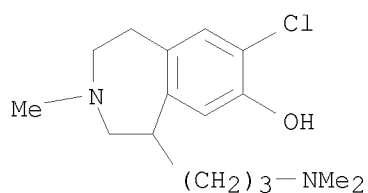
IT 118615-60-4P 118615-62-6P 118615-67-1P  
118615-69-3P 118615-70-6P 118615-71-7P  
118615-72-8P 118615-83-1P 118615-85-3P  
118615-87-5P 118615-88-6P 118615-89-7P  
118615-90-0P 118615-91-1P 118652-79-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as antipsychotic and antidepressant)

RN 118615-60-4 CAPLUS

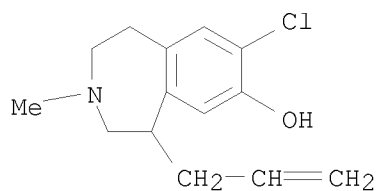
CN 1H-3-Benzazepin-7-ol, 8-chloro-5-[3-(dimethylamino)propyl]-2,3,4,5-tetrahydro-3-methyl- (CA INDEX NAME)

10/561,071



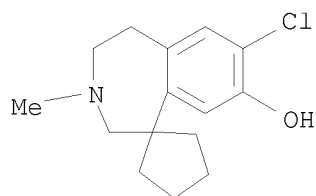
RN 118615-62-6 CAPLUS

CN 1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-propenyl)-  
(9CI) (CA INDEX NAME)



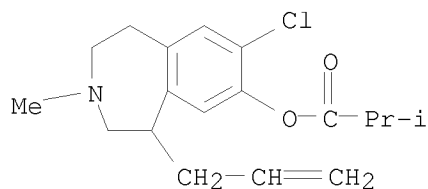
RN 118615-67-1 CAPLUS

CN Spiro[1H-3-benzazepine-1,1'-cyclopentan]-8-ol, 7-chloro-2,3,4,5-tetrahydro-  
3-methyl- (CA INDEX NAME)



RN 118615-69-3 CAPLUS

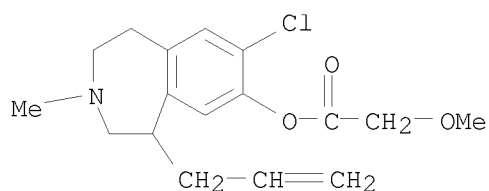
CN Propanoic acid, 2-methyl-, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-propenyl)-1H-3-benzazepin-7-yl ester (9CI) (CA INDEX NAME)



RN 118615-70-6 CAPLUS

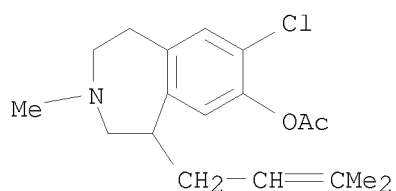
CN Acetic acid, methoxy-, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-propenyl)-  
1H-3-benzazepin-7-yl ester (9CI) (CA INDEX NAME)

10/561,071



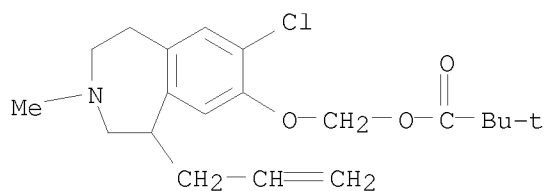
RN 118615-71-7 CAPLUS

CN 1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(3-methyl-2-butenyl)-, acetate (ester) (9CI) (CA INDEX NAME)



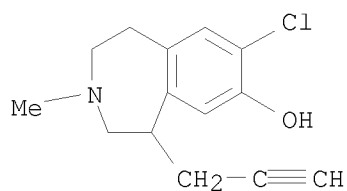
RN 118615-72-8 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, [[8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-propenyl)-1H-3-benzazepin-7-yl]oxy]methyl ester (9CI) (CA INDEX NAME)



RN 118615-83-1 CAPLUS

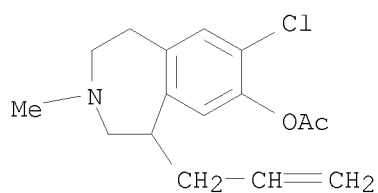
CN 1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-propynyl)- (9CI) (CA INDEX NAME)



RN 118615-85-3 CAPLUS

CN 1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-propenyl)-, acetate (ester), hydrochloride (9CI) (CA INDEX NAME)

10/561,071

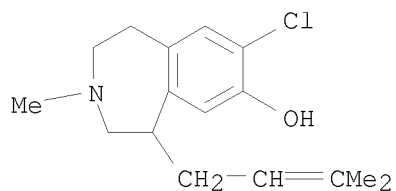


● HCl

RN 118615-87-5 CAPLUS  
CN 1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(3-methyl-2-butenyl)-, (2Z)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

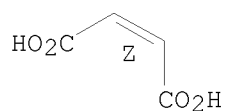
CRN 118615-86-4  
CMF C16 H22 Cl N O



CM 2

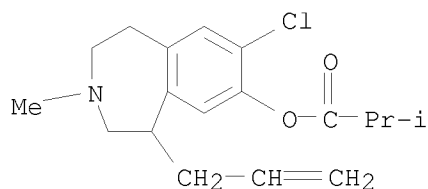
CRN 110-16-7  
CMF C4 H4 O4

Double bond geometry as shown.



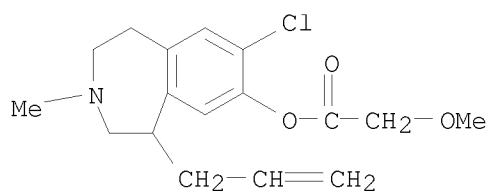
RN 118615-88-6 CAPLUS  
CN Propanoic acid, 2-methyl-, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-methyl-2-propenyl)-1H-3-benzazepin-7-yl ester, hydrochloride (9CI) (CA INDEX NAME)

10/561,071



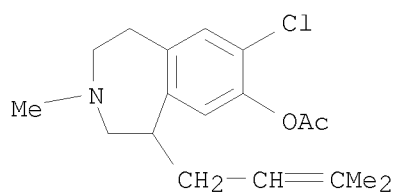
● HCl

RN 118615-89-7 CAPLUS  
CN Acetic acid, methoxy-, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-propenyl)-1H-3-benzazepin-7-yl ester, hydrochloride (9CI) (CA INDEX NAME)



● HCl

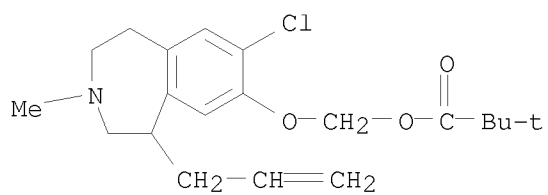
RN 118615-90-0 CAPLUS  
CN 1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(3-methyl-2-butenyl)-, acetate (ester), hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 118615-91-1 CAPLUS  
CN Propanoic acid, 2,2-dimethyl-, [(8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-propenyl)-1H-3-benzazepin-7-yl)oxy]methyl ester, hydrochloride (9CI) (CA INDEX NAME)

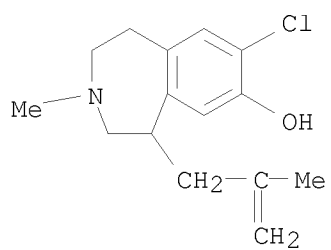
10/561,071



● HCl

RN 118652-79-2 CAPLUS

CN 1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-methyl-2-propenyl)- (9CI) (CA INDEX NAME)



10/561,071

L19 ANSWER 29 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1987:84373 CAPLUS

DOCUMENT NUMBER: 106:84373

ORIGINAL REFERENCE NO.: 106:13845a,13848a

TITLE: Strategic considerations in the radiosynthesis of substituted 1-phenyl-2,3,4,5-tetrahydro-1H-3-benzazepine-7,8-diols

AUTHOR(S): Blackburn, Dale; Villani, Anthony; Senderoff, Steve; Landvatter, Scott; Garnes, Keith

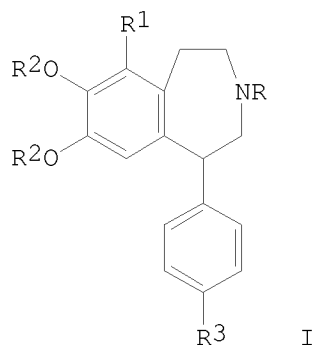
CORPORATE SOURCE: Smith Kline and French Lab., Philadelphia, PA, 19101, USA

SOURCE: Synth. Appl. Isot. Labeled Compd. Proc. Int. Symp., 2nd (1986), Meeting Date 1985, 309-10. Editor(s): Muccino, Richard Robert. Elsevier: Amsterdam, Neth. CODEN: 55BUAT

DOCUMENT TYPE: Conference

LANGUAGE: English

GI



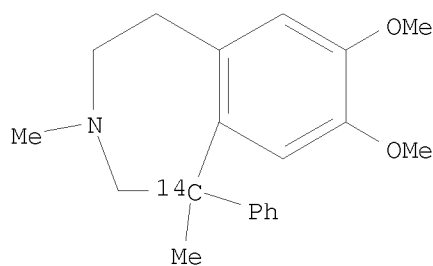
AB Benzazepines I (R-R3 = H; R = R2 = H, R1 = Cl, R3 = OH; R = R2 = Me, R1 = R3 = H; R = allyl, R1 = Cl, R2 = H, R3 = OH) labeled with <sup>14</sup>C and <sup>3</sup>H were prepared

IT 106621-73-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and resolution of)

RN 106621-73-2 CAPLUS

CN 1H-3-Benzazepine-1-<sup>14</sup>C, 2,3,4,5-tetrahydro-7,8-dimethoxy-1,3-dimethyl-1-phenyl- (9CI) (CA INDEX NAME)



10/561,071

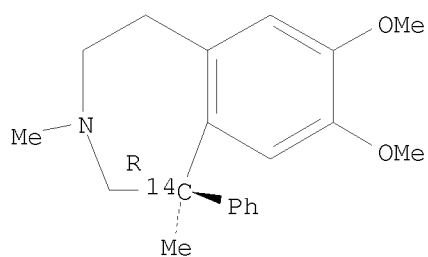
IT 106526-99-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 106526-99-2 CAPLUS

CN 1H-3-Benzazepine-1- $^{14}\text{C}$ , 2,3,4,5-tetrahydro-7,8-dimethoxy-1,3-dimethyl-1-phenyl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





L19 ANSWER 30 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1983:34483 CAPLUS

DOCUMENT NUMBER: 98:34483

ORIGINAL REFERENCE NO.: 98:5393a,5396a

TITLE: The synthesis of 7,8-dimethoxy-1-(3,4-dimethoxybenzyl)-  
2,3-dihydro-1H-3-benzazepine and related compounds

AUTHOR(S): Newton, Roger F.; Sainsbury, Malcolm; Stanley, Paul L.  
R.

CORPORATE SOURCE: Glaxo Group Res. Ltd., Ware/Herts., SG12 0DJ, UK

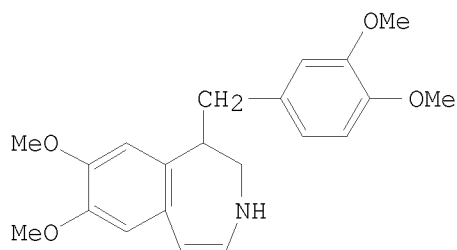
SOURCE: Heterocycles (1982), 19(11), 2037-40  
CODEN: HTCYAM; ISSN: 0385-5414

DOCUMENT TYPE: Journal

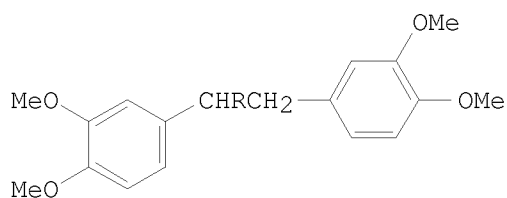
LANGUAGE: English

OTHER SOURCE(S): CASREACT 98:34483

GI



I



II

AB The title compound (I) was prepared from phenylacetonitrile derivative II (R = cyano) (III) in a series of reactions. III was reduced, the II (R = CH<sub>2</sub>NH<sub>2</sub>) product reacted with BrCH<sub>2</sub>CH(OEt)<sub>2</sub> to yield II [R = CH<sub>2</sub>NHCH<sub>2</sub>CH(OEt)<sub>2</sub>], and the latter was cyclized in HCl to give I.

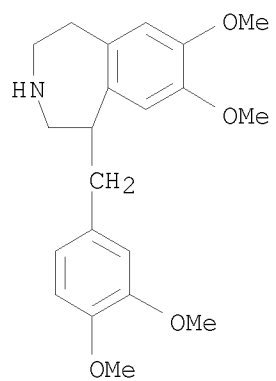
IT 84122-17-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 84122-17-8 CAPLUS

CN 1H-3-Benzazepine, 1-[(3,4-dimethoxyphenyl)methyl]-2,3,4,5-tetrahydro-7,8-dimethoxy- (CA INDEX NAME)

10/561,071



L19 ANSWER 31 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1981:156775 CAPLUS

DOCUMENT NUMBER: 94:156775

ORIGINAL REFERENCE NO.: 94:25629a,25632a

TITLE: Substituted 1-thienyl and furyl-2,3,4,5-tetrahydro-1H-3-benzazepine compounds

INVENTOR(S): Holden, Kenneth G.; Yim, Nelson C.

PATENT ASSIGNEE(S): Smith Kline and French Canada Ltd., Can.

SOURCE: Can., 35 pp.  
CODEN: CAXXA4

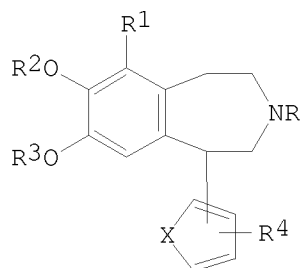
DOCUMENT TYPE: Patent

LANGUAGE: English

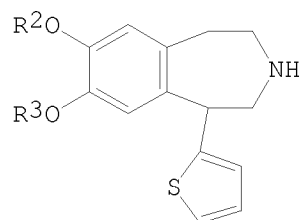
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 1090797	A1	19801202	CA 1978-305809	19780620
AU 515236	B2	19810326	AU 1978-37471	19780626
AU 7837471	A	19800103		
PRIORITY APPLN. INFO.: GI			CA 1978-305809	A 19780620



I



II

AB Benzazepines I (R = H, CH<sub>2</sub>Ph, CH<sub>2</sub>CH<sub>2</sub>Ph, alkanoyl, alkyl, CH<sub>2</sub>CH<sub>2</sub>OH, alkenyl; R<sub>1</sub> = H, halogen, CF<sub>3</sub>, SMe, SCF<sub>3</sub>, Me, OMe; R<sub>2</sub>, R<sub>3</sub> = H, alkyl, alkanoyl; R<sub>2</sub>R<sub>3</sub> = CH<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub>; R<sub>4</sub> = H, halogen, CH<sub>2</sub>CN, Me, CO<sub>2</sub>Me; X = O, S) were prepared. Thus 2-thiophenecarboxaldehyde was treated with Me<sub>3</sub>S+I<sup>-</sup> to give 2-epoxyethylthiophene, which was treated with 3,4-(MeO)<sub>2</sub>C<sub>6</sub>H<sub>3</sub>CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub> and cyclized with acid to give II (R<sub>2</sub> = R<sub>3</sub> = Me). Demethylation of II (R<sub>2</sub> = R<sub>3</sub> = Me) with BBr<sub>3</sub> gave II.HBr (R<sub>2</sub> = R<sub>3</sub> = H), which caused a 30% decrease in renal vascular resistance at 30 µg/kg i.v. in dogs and was diuretic at 10 µg/kg min i.v. in dogs.

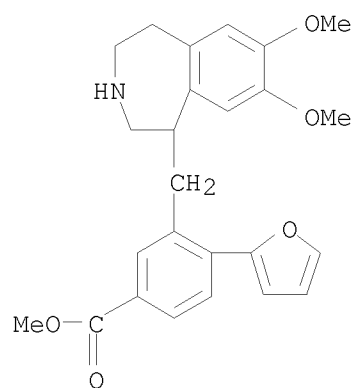
IT 77222-50-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and demethylation of)

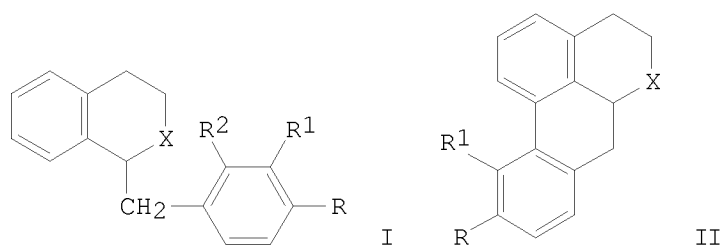
RN 77222-50-5 CAPLUS

CN Benzoic acid, 4-(2-furanyl)-3-[(2,3,4,5-tetrahydro-7,8-dimethoxy-1H-3-benzazepin-1-yl)methyl]-, methyl ester (CA INDEX NAME)

10/561,071



L19 ANSWER 32 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1976:592530 CAPLUS  
 DOCUMENT NUMBER: 85:192530  
 ORIGINAL REFERENCE NO.: 85:30786h,30787a  
 TITLE: Seven-membered heterocycles. 20th Communication.  
 1-Aralkylated tetrahydro-2-benzazepines. Part III.  
 Synthesis from  $\beta$ -tetralones  
 AUTHOR(S): Berney, Daniel; Schuh, Karlheinz  
 CORPORATE SOURCE: Sandoz Res. Unit, Wander Ltd., Bern, Switz.  
 SOURCE: Helvetica Chimica Acta (1976), 59(6), 2059-67  
 CODEN: HCACAV; ISSN: 0018-019X  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 85:192530  
 GI



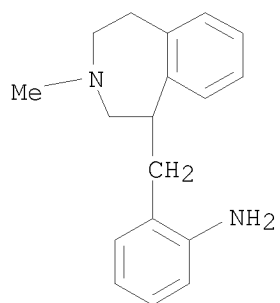
AB Benzazepinones I (X = NHCO, R1 = R2 = H, OMe, R2 = H, NO2; R = Cl, R1 = R2 = H) were prepared by Schmidt reaction of the tetralones I (X = CO). Beckmann reaction of I (X = CO) gave I (X = CONH). LiAlH4 reduction gave I (X = NHCH2, CH2NH), which was subjected to N-methylation, reduction of the NO2 group and Pschorr reaction of I (X = NMeCH2, CH2NMe, R2 = NH2) to give the phenanthroazepines II (X = NMeCH2, CH2NMe).

IT 61034-82-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and Pschorr reaction of)

RN 61034-82-0 CAPLUS

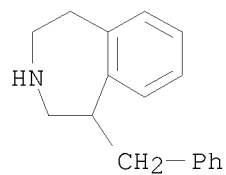
CN Benzenamine, 2-[(2,3,4,5-tetrahydro-3-methyl-1H-3-benzazepin-1-yl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

10/561,071



● HCl

IT 61034-74-0P 61034-76-2P 61034-77-3P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and methylation of)  
RN 61034-74-0 CAPLUS  
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-(phenylmethyl)-, hydrochloride  
(9CI) (CA INDEX NAME)



● HCl

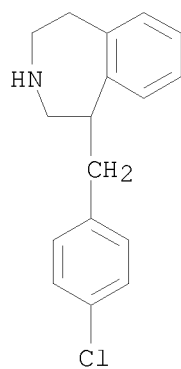
RN 61034-76-2 CAPLUS  
CN 1,5-Naphthalenedisulfonic acid, compd. with 1-[(4-chlorophenyl)methyl]-  
2,3,4,5-tetrahydro-1H-3-benzazepine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 61034-75-1

CMF C17 H18 Cl N

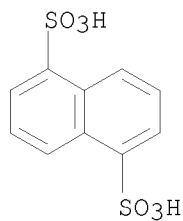
10/561,071



CM 2

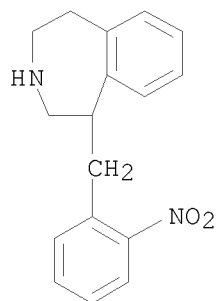
CRN 81-04-9

CMF C10 H8 O6 S2



RN 61034-77-3 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-[(2-nitrophenyl)methyl]- (CA INDEX NAME)



IT 61034-79-5P 61034-80-8P 61034-81-9P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 61034-79-5 CAPLUS

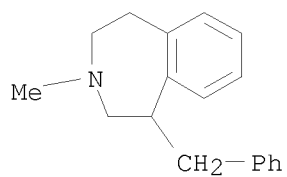
CN 1,5-Naphthalenedisulfonic acid, compd. with 2,3,4,5-tetrahydro-3-methyl-1-(phenylmethyl)-1H-3-benzazepine (1:1) (9CI) (CA INDEX NAME)

10/561,071

CM 1

CRN 61034-78-4

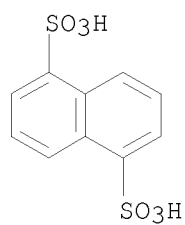
CMF C18 H21 N



CM 2

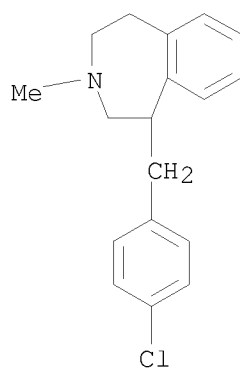
CRN 81-04-9

CMF C10 H8 O6 S2



RN 61034-80-8 CAPLUS

CN 1H-3-Benzazepine, 1-[(4-chlorophenyl)methyl]-2,3,4,5-tetrahydro-3-methyl-, hydrochloride (9CI) (CA INDEX NAME)



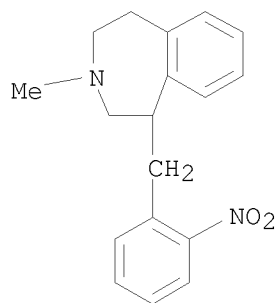
● HCl

RN 61034-81-9 CAPLUS



10/561,071

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-[(2-nitrophenyl)methyl]-,  
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L19 ANSWER 33 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1975:72813 CAPLUS  
 DOCUMENT NUMBER: 82:72813  
 ORIGINAL REFERENCE NO.: 82:11631a,11634a  
 TITLE: Benzazepines  
 INVENTOR(S): Walter, Lewis A.; Chang, Wei K.  
 PATENT ASSIGNEE(S): Scherico Ltd.  
 SOURCE: Patentschrift (Switz.), 8 pp.  
 CODEN: SWXXAS  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CH 555831	A	19741115	CH 1967-2477	19670217
PRIORITY APPLN. INFO.:			CH 1967-2477	A 19670217

GI For diagram(s), see printed CA Issue.

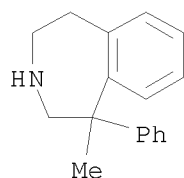
AB Ten benzazepines I (R = H, Me; R1 = allyl, Me, CH<sub>2</sub>CH<sub>2</sub>OH, NR1 = N+Me<sub>2</sub>I<sup>-</sup>; R2 = H, Me; R3 = HO, MeO; R4 = H, HO, MeO; Z = H<sub>2</sub>) and I (R = R1 = R2 = R4 = H, R3 = MeO, Z = O) (II), useful as antibacterials, antidepressants, analgesics, and antihypertensives (no data) were prepared by cyclization of hydroxybis(phenethyl)amines or phenethylcarboxamides. Thus, styrene oxide heated with Ph-CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub> 12 hr on a steam bath gave PhCH(OH)CH<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>Ph which cyclized with H<sub>2</sub>SO<sub>4</sub> to give I (R = R1 = R2 = R3 = R4 = H, Z = H<sub>2</sub>). m-MeOC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub> and Et mandelate gave N-(m-methoxyphenethyl)mandelamide, cyclized with polyphosphoric acid to give II, which was reduced to the Z = H<sub>2</sub> analog with LiAlH<sub>4</sub>. Reactive sites of I permitted further substitution.

IT 20012-03-7P 20012-04-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

RN 20012-03-7 CAPLUS

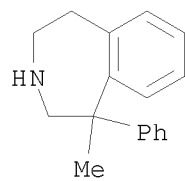
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-1-phenyl- (CA INDEX NAME)



RN 20012-04-8 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-1-phenyl-, hydrochloride  
 (8CI, 9CI) (CA INDEX NAME)

10/561,071



● HCl

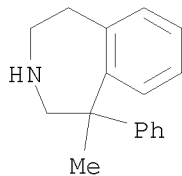
10/561,071

L19 ANSWER 34 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN

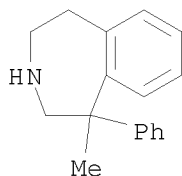
ACCESSION NUMBER: 1973:418604 CAPLUS  
DOCUMENT NUMBER: 79:18604  
ORIGINAL REFERENCE NO.: 79:2987a,2990a  
TITLE: 1-Phenyl-2,3,4,5-tetrahydro-1H-3-benzazepines  
PATENT ASSIGNEE(S): Scherico Ltd.  
SOURCE: Fr. M., 25 pp.  
CODEN: FMXXAJ  
DOCUMENT TYPE: Patent  
LANGUAGE: French  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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FR 8369		19710222	FR 1967-96572	19670227

OTHER SOURCE(S): MARPAT 79:18604  
GI For diagram(s), see printed CA Issue.  
AB Benzazepines I (R = R1 = R4 = H, R2 = R3 = H, OMe; R = allyl, CH2CH2OH, R1-R4 = H; R = Me.MeI, H.HBr, R1 = R4 = H, R2 = R3 = OMe; R = R2-R4 = H, R1 = Me; R-R3 = H, R4 = Me; R-R2 = R4 = H, R3 = OMe) were prepared Thus styrene oxide was treated with PhCH2CH2NH2 to give PhCH2CH2NHCH2CH(OH)Ph, which was cyclized to I (R-R4 = H) with H2SO4.  
IT 20012-03-7P 20012-04-8P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)  
RN 20012-03-7 CAPLUS  
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-1-phenyl- (CA INDEX NAME)



RN 20012-04-8 CAPLUS  
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-1-phenyl-, hydrochloride  
(8CI, 9CI) (CA INDEX NAME)



● HCl

L19 ANSWER 35 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1972:85677 CAPLUS

DOCUMENT NUMBER: 76:85677

ORIGINAL REFERENCE NO.: 76:13779a,13782a

TITLE: Preparation and properties of aldehydes of 3-benzazepines

AUTHOR(S): Ben Hassine-Coniac, Nicole; Hazerbroucq, Georges; Gardent, Jean

CORPORATE SOURCE: Pharm. Cent., Hop. Paris, Paris, Fr.

SOURCE: Bulletin de la Societe Chimique de France (1971), (11), 3985-92

CODEN: BSCFAS; ISSN: 0037-8968

DOCUMENT TYPE: Journal

LANGUAGE: French

GI For diagram(s), see printed CA Issue.

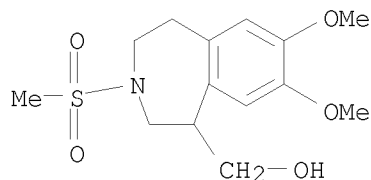
AB Vilsmeier-Haack formylation of 3-substituted-4,5-dihydro-[3H]-3-benzazepines I (R = MeO, R1 = MeSO2) (II), I (R = MeO, R1 = C7H7SO2) (III), I (R = H, R1 = MeSO2) (IV) with DMF containing POCl3 led to the 1-formyl derivs., resp. Thus, II gave an aldehyde I (R = MeO, R1 = MeSO2, R2 = CHO) (V), which opened reversibly in alkaline solution to give substituted malonic aldehydes (Va); methylation of Va with Me2SO4 gave VI. Treatment of 3-substituted 2,3,4,5-tetrahydro-[1H]-3-benzazepin-1-ones (VII) with DMF containing POCl3 gave unsatd. chloro aldehydes. Thus, VII (R = MeO, R1 = MeSO2) yielded 1-chloro-2-formyl-3-methylsulfonyl-7,8-dimethoxy-4,5-dihydro[3H]-3-benzazepine (VIII). Catalytic reduction of VIII in MeOH-MeONa over Pd/C gave 2-formyl-3-methylsulfonyl-7,8-dimethoxy-2,3,4,5-tetrahydro-[1H]-3-benzazepine.

IT 35612-92-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 35612-92-1 CAPLUS

CN 1H-3-Benzazepine-1-methanol, 2,3,4,5-tetrahydro-7,8-dimethoxy-3-(methylsulfonyl)- (CA INDEX NAME)

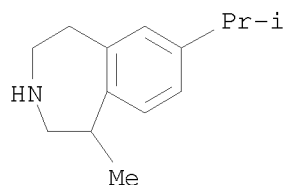


L19 ANSWER 36 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN

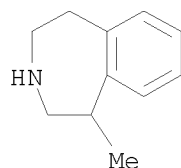
ACCESSION NUMBER: 1971:141586 CAPLUS  
 DOCUMENT NUMBER: 74:141586  
 ORIGINAL REFERENCE NO.: 74:22875a,22878a  
 TITLE: 2,3,4,5-Tetrahydro-1H-3-benzazepines as pharmaceutical intermediates  
 INVENTOR(S): Hoegerle, Karl; Habicht, Ernst  
 PATENT ASSIGNEE(S): CIBA-Geigy A.-G.  
 SOURCE: Patentschrift (Switz.), 5 pp.  
 CODEN: SWXXAS  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CH 500194	A	19701215	CH 1968-500194	19680215
PRIORITY APPLN. INFO.:			CH 1968-2261	A 19680215

GI For diagram(s), see printed CA Issue.  
 AB The title products (I), which are suitable as pharmaceutical intermediates, are prepared Thus, styrene or a derivative is treated with ethylenimine and Na to obtain a 1-phenyl-2-aziridinoethane (II) which HCl in MeOH yields a N-(2-chloroethyl)phenethylamine hydrochloride. This is heated with AlCl<sub>3</sub> or another Lewis acid to obtain I.  
 IT 23166-93-0P 23266-24-2P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 23166-93-0 CAPLUS  
 CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-isopropyl-1-methyl- (8CI) (CA INDEX NAME)



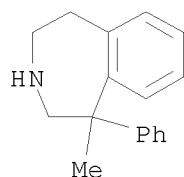
RN 23266-24-2 CAPLUS  
 CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



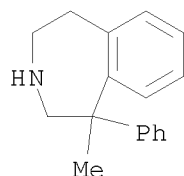
L19 ANSWER 37 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1970:425331 CAPLUS  
 DOCUMENT NUMBER: 73:25331  
 ORIGINAL REFERENCE NO.: 73:4210h,4211a  
 TITLE: 1-Phenyl-2,3,4,5-tetrahydro-1H-3-benzazepines  
 INVENTOR(S): Walter, Lewis A.; Chang, Wei K.  
 PATENT ASSIGNEE(S): Scherico Ltd.  
 SOURCE: Brit. Amended, 13 pp.  
 CODEN: BSXXAH  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	GB 1118688		19690415	GB 1967-7632	19670217
AB	Same disclosure. This amendment excludes 1-phenyl-2,3,4,5-tetrahydro-1H-3-benzazepine and 1-phenyl-7,8-diethoxy-2,3,4,5-tetrahydro-1H-3-benzazepine from the preps. and claims.				
IT	20012-03-7P		20012-04-8P		
	RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)				
RN	20012-03-7		CAPLUS		
CN	1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-1-phenyl- (CA INDEX NAME)				



RN 20012-04-8 CAPLUS  
 CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-1-phenyl-, hydrochloride  
 (8CI, 9CI) (CA INDEX NAME)



● HCl

L19 ANSWER 38 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1969:461251 CAPLUS  
 DOCUMENT NUMBER: 71:61251  
 ORIGINAL REFERENCE NO.: 71:11275a,11278a  
 TITLE: Tetrahydrobenzazepines  
 INVENTOR(S): Hoegerle, Karl; Habicht, Ernst  
 PATENT ASSIGNEE(S): Geigy, J. R., A.-G.  
 SOURCE: S. African, 18 pp.  
 CODEN: SFXAB  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ZA 6801019		19681031		
CH 481110			CH	
CH 488705			CH	
DE 1668915			DE	
DE 1695124			DE	
FR 1561479			FR	
FR 7915			FR	
GB 1221324			GB	
GB 1222397			GB	
US 3652543		19720328	US	19680215
PRIORITY APPLN. INFO.:			CH	19670217
			CH	19670818

OTHER SOURCE(S): MARPAT 71:61251

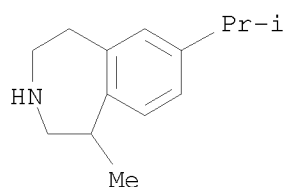
GI For diagram(s), see printed CA Issue.

AB Title compds. and their addition salts were prepared for use as intermediates in the preparation of pharmaceuticals. The 7-chloro compds. exhibit anorexic action. Pharmaceutical formulations were described. Thus, 389 g. finely powdered N-[(2-chloroethyl)phenylethylamine]-HCl (I) was heated in an oil bath with 470 g. AlCl<sub>3</sub>, 12 hrs. at 180°, cooled to 100°, poured onto ice, and worked up to give 2,3,4,5-tetrahydro-1H-3-benzazepine (II), b<sub>0</sub>.1 65°, n<sub>20</sub>D 1.565; HCl salt m. 248-50°. Styrene (900 ml.) was added dropwise to 745 ml. ethylenimine and 9 g. Na (the 1st 100 ml. styrene was added quickly, and the rest added at such a rate as to keep the temperature at 40-50°), and the mixture stirred overnight at room temperature and worked up to give 1-phenyl-2-aziridinoethane (III), b<sub>0</sub>.1 48°, n<sub>20</sub>D 1.5205. III (100 g.) in 100 ml. MeOH was added dropwise at 10-15° to 500 ml. MeOH saturated in an ice bath with HCl, and the mixture worked up to give I, m. 188-90° (EtOH-HOAc). N-(2-Chloroethyl)-2-methyl-2-phenylethylamine-HCl treated with AlCl<sub>3</sub> as in the preparation of II gave 5-methyl-2,3,4,5-tetrahydro-1H-3-benzazepine (IIIa), b<sub>0</sub>.6 72°, n<sub>20</sub>D 1.5580. 1-Phenyl-1-methyl-2-aziridinoethane (281 g.) was added to 800 ml. EtOH saturated with HCl, and the mixture worked up to give N-(2-chloroethyl)-2-methyl-2-phenylethylamine-HCl, m. 178-80°. N-(2-chloroethyl)-2-(p-chlorophenyl)ethylamine-HCl (IV) (120 g.) treated with AlCl<sub>3</sub> as in the preparation of II gave 7-chloro-2,3,4,5-tetrahydro-1H-3-benzazepine, b<sub>0</sub>.1 110-15°, n<sub>20</sub>D 1.5765; HCl salt m. 171-3° (MeCN). IV was prepared as in the preparation of II by treatment of 4-chlorostyrene with Na and ethylenimine, and treatment of the N-[2-(p-

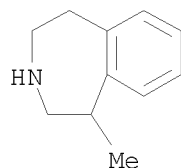


chlorophenyl)ethyl]aziridine formed,  $b_0.7$   $93^\circ$ ,  $n_{20D}$  1.5357, with HCl in MeOH to give IV, m.  $189-91^\circ$  (MeCN). N-( $\beta$ -Chloro- $\beta$ -phenylethyl)phenylethylamine-HCl (1 g.) added portionwise at  $150^\circ$  to 14 g. polyphosphoric acid, and the mixture kept 0.5 hr. at  $150^\circ$  and worked up gave 1-phenyl-2,3,4,5-tetrahydro-1H-3-benzazepine, b.  $140-50^\circ$  (high vacuum). Similarly were prepared the following (m.p. HCl salt given): N-(1-methyl-2-chloroethyl)phenylethylamine,  $160-5^\circ$ ; N-( $\beta$ -chloro- $\beta$ -phenylethyl)phenylethylamine,  $168-70^\circ$ ; N-(2-chlorocyclohexyl)phenylethylamine,  $165-7^\circ$ ; N-(2-chloroethyl)- $\alpha$ -methylphenylethylamine,  $149-51^\circ$ ; and N-(2-chloroethyl)- $\beta$ -methyl-4-isopropylphenylethylamine,  $184-6^\circ$ . Also prepared were the following 2,3,4,5-tetrahydro-1H-3-benzazepines: 2-methyl-,  $b_0.2$   $60^\circ$ ; 1-phenyl-,  $b_0.01$   $140-50^\circ$ ; 4-methyl-,  $b_0.2$   $64^\circ$ ,  $n_{20D}$  1.5507; 5-methyl-8-isopropyl-,  $b_0.2$   $71-2^\circ$ ,  $n_{20D}$  1.5554; and 2,3,4,4a,5,6,-7,11b-octahydro-1H-dibenz[b,d]azepine,  $b_0.01$   $150-5^\circ$ .

IT 23166-93-0P 23266-24-2P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 23166-93-0 CAPLUS  
 CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-7-isopropyl-1-methyl- (8CI) (CA INDEX NAME)



RN 23266-24-2 CAPLUS  
 CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl- (CA INDEX NAME)



L19 ANSWER 39 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1968:506576 CAPLUS  
 DOCUMENT NUMBER: 69:106576  
 ORIGINAL REFERENCE NO.: 69:19967a,19970a  
 TITLE: 1-Phenyl-2,3,4,5-tetrahydro-1H,3-benzazepines  
 INVENTOR(S): Walter, Lewis A.; Chang, Wei K.  
 PATENT ASSIGNEE(S): Scherico Ltd.  
 SOURCE: Brit., 15 pp.  
 CODEN: BRXXAA  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1118688		19680703	GB 1967-7632	19670217
DE 1795540			DE	

GI For diagram(s), see printed CA Issue.

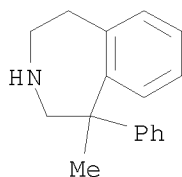
AB The preparation of the title compds. (I) is described. Thus, a mixture of 82 g.

styrene oxide and 100 g. d-amphetamine was stirred 12 hrs. on a steam bath, then distilled in vacuo to give PhCH<sub>2</sub>CHMeNHCH<sub>2</sub>CH(OH)Ph (II), b<sub>1</sub> 160-80°, m. 53-5° (petroleum ether), [α]<sub>25D</sub> + 14.6° (c 1, EtOH). II (15 g.) was slowly added to 100 ml. concentrated H<sub>2</sub>SO<sub>4</sub> at 0°, stirred 1 hr., then poured onto ice-H<sub>2</sub>O, and worked up to give I (R<sub>1</sub> = R<sub>2</sub> = R<sub>4</sub> = R<sub>5</sub> = H, R<sub>3</sub> = Me) b<sub>1</sub> 149-51°, HCl salt, m. 206-7° (iso-PrOH), [α]<sub>25D</sub> -42.0° (c 1, HCONMe<sub>2</sub>). Similarly were prepared: PhCH<sub>2</sub>CH<sub>2</sub>NHCH<sub>2</sub>CH(OH)Ph; I (R<sub>1</sub>-R<sub>5</sub> = H) (III), m. 78-80° (hexane), [α]<sub>25D</sub> -29.9° (c 1, HCONMe<sub>2</sub>), phenylsuccinate, m. 180-2° (90% EtOH), [α]<sub>25D</sub> + 55.2° (c 1, HCONMe<sub>2</sub>); 3,4-(MeO)<sub>2</sub>C<sub>6</sub>H<sub>3</sub>CH<sub>2</sub>CH<sub>2</sub>NHCH<sub>2</sub>CH(OH)Ph, m. 95-8°; I (R<sub>1</sub> = R<sub>2</sub> = MeO, R<sub>3</sub> = R<sub>4</sub> = R<sub>5</sub> = H) (IV), b<sub>2</sub> 198-200°, HBr salt, m. 283-5°, acid maleate salt, m. 198-200°; PhCH<sub>2</sub>CH<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>CMc(OH)Ph, b<sub>1</sub> 160-8°, HCl salt, m. 142-5° (MeCN); and I (R<sub>1</sub> = R<sub>2</sub> = R<sub>3</sub> = R<sub>4</sub> = H, R<sub>5</sub> = Me), m. 76-9° (hexane), HCl salt, m. 228-9°. Et mandelate (30 g.) and 25 g. 4-MeOC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub> were stirred 3 hrs. at 180-90°, and the mixture was cooled to give a precipitate of 4-MeOC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>CH<sub>2</sub>NHCOCH(OH)Ph (V), m. 75-6° (Et<sub>2</sub>O). Powdered V (20 g.) was added slowly to 700 g. polyphosphoric acid, the mixture warmed slowly to 100°, the temperature maintained 1 hr., then cooled, poured onto ice-H<sub>2</sub>O, and worked up to give 1-phenyl-2-oxo-methoxy-2,3,4,5-tetrahydro-1H-3-benzazepine (VI), m. 169-71° (EtOAc). To 5 g. LiAlH<sub>4</sub> stirred in 200 ml. refluxing dioxane was added dropwise a solution of 10 g. VI in 250 ml. dioxane, refluxing continued 3 hrs., the mixture cooled to 20°, treated dropwise 4 times with 0.5 ml. H<sub>2</sub>O, 4 times with 0.5 ml. 15% aqueous NaOH, and 13.5 ml. H<sub>2</sub>O, then stirred 1 hr., the precipitate removed, the filtrate evaporated,

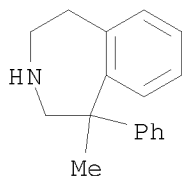
the residue stirred with 100 ml. 5% HCl and 200 ml. Et<sub>2</sub>O, and the resulting solution worked up to give I (R<sub>1</sub> = R<sub>3</sub> = R<sub>4</sub> = R<sub>5</sub> = H, R<sub>2</sub> = MeO). maleate salt, m. 196-7°. To 750 g. polyphosphoric acid stirred at 60-70° was added 18.1 g. 3,4-(MeO)<sub>2</sub>C<sub>6</sub>H<sub>3</sub>CH<sub>2</sub>CH<sub>2</sub>NHAc, and, after 10-15 min., 18 g. Et mandelate dropwise in 5-10 min., the mixture heated 1 hr. at 90-5°, then poured into 2.5 kg. ice-H<sub>2</sub>O, the crude 2,4,5-AcNHCH<sub>2</sub>CH<sub>2</sub>(MeO)<sub>2</sub>C<sub>6</sub>H<sub>3</sub>CH<sub>2</sub>CH<sub>2</sub>NH(CO<sub>2</sub>Et)Ph extracted with CHCl<sub>3</sub>, the exts. washed with H<sub>2</sub>O and dilute aqueous NaHCO<sub>3</sub>, then heated in vacuo on a steam bath

to constant weight to give, on crystallization from EtOH, 1-phenyl-7,8-dimethoxy-2-oxo-2,3,4,5-tetrahydro-1H-3-benzazepine (VII), which was reduced with LiAlH<sub>4</sub> to give IV. III (6 g.), 2.4 g. CH<sub>2</sub>:CHCH<sub>2</sub>Br, 25 g. anhydrous K<sub>2</sub>CO<sub>3</sub>, and 250 ml. anhydrous Me<sub>2</sub>CO were refluxed 14 hrs. with stirring, cooled, the Me<sub>2</sub>CO distilled off, the residue dissolved in Et<sub>2</sub>O-H<sub>2</sub>O, and the organic layer worked up to give the 3-allyl derivative of III, m. 65-8° (hexane), HCl salt, m. 203-5°. A mixture of 6 g. III, 50 ml. EtOH, and 1 g. ethylene oxide was kept several days at room temperature in a stoppered flask, then distilled to give the 3-(β-hydroxyethyl) derivative of III, m. 95-7° (iso-Pr<sub>2</sub>O). A mixture of 9 g. IV, 15 ml. 37% HCHO, and 23 ml. 90% HCO<sub>2</sub>H was refluxed 18 hrs., then 5 ml. concentrated HCl in 10 ml. H<sub>2</sub>O added, the solution evaporated in vacuo on a steam bath, the residue treated with 25 ml. H<sub>2</sub>O, evaporated, then Et<sub>2</sub>O and excess aqueous NaOH added, and the organic layer worked up to give I (R<sub>1</sub> = R<sub>2</sub> = MeO, R<sub>3</sub> = R<sub>5</sub> = H, R<sub>4</sub> = Me) (VIII), m. 82-4° (hexane). VIII (5 g.) in 5 ml. EtOH was treated with 5 ml. MeI and kept 15 hrs. at room temperature, precipitating 1-phenyl-3,3-dimethyl-7,8-dimethoxy-2,3,4,5-tetrahydro-1H-3-benzazepinium iodide, m. 246-9°. Refluxing IV with 48% aqueous HBr for 2.5 hrs. under N gave I (R<sub>1</sub> = R<sub>2</sub> = OH, R<sub>3</sub> = R<sub>4</sub> = R<sub>5</sub> = H) hydrobromide, m. 283-5°. The title compds. have antibacterial, antidepressant, analgesic, and hypotensive activity.

IT 20012-03-7P 20012-04-8P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 20012-03-7 CAPLUS  
 CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-1-phenyl- (CA INDEX NAME)



RN 20012-04-8 CAPLUS  
 CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-1-phenyl-, hydrochloride  
 (8CI, 9CI) (CA INDEX NAME)



● HCl

L19 ANSWER 40 OF 40 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1968:496507 CAPLUS  
 DOCUMENT NUMBER: 69:96507  
 ORIGINAL REFERENCE NO.: 69:18058h,18059a  
 TITLE: Benzazepines  
 INVENTOR(S): Walter, Lewis A.; Chang, Wei K.  
 PATENT ASSIGNEE(S): Schering Corp.  
 SOURCE: U.S., 5 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3393192	A	19680716	US 1965-451063	19650426
PRIORITY APPLN. INFO.:			US 1965-451063	19650426

GI For diagram(s), see printed CA Issue.

AB Dehydration of ( $\beta$ -hydroxyethyl) ( $\beta$ -phenylalkyl) amines (I) yields the title compds. (II). A mixture of 100 g. PhCH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub> and 82 g. styrene oxide (III) kept on a steam bath for 12 hrs., gave PhCH<sub>2</sub>CH<sub>2</sub>NHCH<sub>2</sub>CHPhOH (IIIa). To 100 ml. concentrated H<sub>2</sub>SO<sub>4</sub> at 0-5° was added 15 g. IIIa, and the mixture stirred 1 hr. to give II (A = Ph, R = R<sub>1</sub> = R<sub>2</sub> = X = Y = H) (IIa). From homoveratrylamine and III was prepared 3,4-(MeO)<sub>2</sub>C<sub>6</sub>H<sub>3</sub>CH<sub>2</sub>CH<sub>2</sub>NHCH<sub>2</sub>CHPhOH, m. 95-8°, which was similarly converted to II (A = Ph, R = R<sub>1</sub> = R<sub>2</sub> = H, X = Y = MeO) (IIb), b<sub>2</sub> 198-200°; acid maleate m. 198-200°. From 100 g. d-amphetamine and 82 g. III, heated 12 hrs. on a steam bath, was obtained PhCH<sub>2</sub>CHMeNHCH<sub>2</sub>CHPhOH (IIIb), b<sub>1</sub> 160-80°, m. 53-5° (petroleum ether), [ $\alpha$ ]<sub>D</sub><sup>25</sup> 14.6° (1%, EtOH). From 15 g. IIIb and 100 ml. concentrated H<sub>2</sub>SO<sub>4</sub> was prepared 4-methyl-1-phenyl-2,3,4,5-tetrahydro-3,1-benzazepine, b<sub>1</sub> 149-51°; hydrochloride, m. 206-7° (iso-PrOH), [ $\alpha$ ]<sub>D</sub><sup>25</sup> 42.0° (1%, Me<sub>2</sub>NCHO). From 60 g.  $\alpha$ -methylstyrene oxide and 66 g. PhCH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub> on a steam bath 6 hrs. was prepared PhCH<sub>2</sub>CH<sub>2</sub>NHCH<sub>2</sub>CMePhOH, b<sub>1</sub> 160-8°; hydrochloride m. 143-5° (MeCN), which was converted to 1-methyl-1-phenyl-2,3,4,5-tetrahydro-3,1-benzazepine, b<sub>1</sub> 160-60°, m. 76-9° (C<sub>6</sub>H<sub>14</sub>); hydrochloride m. 228-9°. Heating 25 g. p-MeOC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub> and 30 g. PhCH(OH)CO<sub>2</sub>Et at 180-90° in 3 hrs. gave PhCH(OH)CONHCH<sub>2</sub>CH<sub>2</sub>C<sub>6</sub>H<sub>4</sub>OMe-p (IV), m. 75-6°. Dehydration of 20 g. IV by heating with 700 g. polyphosphoric acid at 100° for 1 hr. gave 1-phenyl-2-oxo-7-methoxy-2,3,4,5-tetrahydro-3,1-benzazepine (V) m. 169-71° (EtOAc). Addition of 10 g. V in 250 ml. dioxane to a refluxing suspension of 5 g. LiAlH<sub>4</sub> in 200 ml. dioxane and refluxing 3 hrs. gave 1-phenyl-7-methoxy-2,3,4,5-tetrahydro-3,1-benzazepine as maleate, m. 196-7°. Refluxing 6 g. IIa, 2.4 g. CH<sub>2</sub>:CHCH<sub>2</sub>Br, and 25 g. anhydrous K<sub>2</sub>CO<sub>3</sub> in 250 ml. anhydrous Me<sub>2</sub>CO 14 hrs. gave 1-phenyl-3-allyl-2,3,4,5-tetrahydro-3,1-benzazepine, m. 65-8° (C<sub>6</sub>H<sub>14</sub>); hydrochloride m. 203-5°. IIa (6 g.), 1 g. ethylene oxide, and 50 ml. EtOH at room temperature several days gave 1-phenyl-3-( $\beta$ -hydroxyethyl)-2,3,4,5-tetrahydro-3,1-benzazepine, m. 95-7° (isopropyl ether). Refluxing 9 g. IIb, 15 ml. 37% CH<sub>2</sub>O, and 23 ml. 90% HCO<sub>2</sub>H for 18 hrs. gave 7,8-dimethoxy-3-methyl-1-phenyl-2,3,4,5-tetrahydro-3,1-benzazepine (VI), m. 82-4° (C<sub>6</sub>H<sub>14</sub>). Action of 5 ml. MeI on 5 g. VI in 5 ml. EtOH at room temperature 15 hrs. gave 7,8-dimethoxy-3,3-dimethyl-1-phenyl-2,3,4,5-tetrahydro-3,1-benzazepinium iodide, m. 246-9°. Refluxing 15 g.

10/561,071

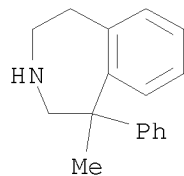
IIb and 110 ml. 48% HBr 2.5 hrs. gave 1-phenyl-7,8-dihydroxy-2,3,4,5-tetrahydro-3,1-benzazepine hydrochloride, m. 283-5°. II and their salts have antibacterial, antidepressant, analgesic, and hypotensive effects.

IT 20012-03-7P 20012-04-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

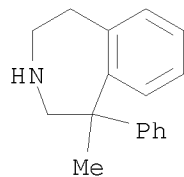
RN 20012-03-7 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-1-phenyl- (CA INDEX NAME)



RN 20012-04-8 CAPLUS

CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-1-methyl-1-phenyl-, hydrochloride  
(8CI, 9CI) (CA INDEX NAME)



● HCl

10/561,071

=> => d his

(FILE 'HOME' ENTERED AT 12:30:08 ON 26 AUG 2008)

FILE 'REGISTRY' ENTERED AT 12:30:16 ON 26 AUG 2008

L1           STRUCTURE UPLOADED  
L2           43429 S C6-C6N/EA  
L3           41 S C3-C6-C6N/EA  
L4           81 S C4-C6-C6N/EA  
L5           713 S C5-C6-C6N/EA  
L6           16282 S C6-C6-C6N/EA  
L7           82 S C6-C6N-C7/EA  
L8           17199 S L3 OR L4 OR L5 OR L6 OR L7  
L9           909 S L8 AND SPIRO  
L10          44338 S L9 OR L2  
L11          50 S L1   SUB=L10 SAM  
L12          13163 S L1   SUB=L10 FUL

FILE 'CAPLUS' ENTERED AT 12:41:55 ON 26 AUG 2008

FILE 'REGISTRY' ENTERED AT 12:43:25 ON 26 AUG 2008

FILE 'CAPLUS' ENTERED AT 12:45:01 ON 26 AUG 2008

FILE 'REGISTRY' ENTERED AT 12:53:09 ON 26 AUG 2008

FILE 'CAPLUS' ENTERED AT 12:57:40 ON 26 AUG 2008

FILE 'REGISTRY' ENTERED AT 12:58:59 ON 26 AUG 2008

L13          8 S L12 AND L9  
L14               STRUCTURE UPLOADED  
L15          514 S L14   SUB=L12 FUL

FILE 'CAPLUS' ENTERED AT 13:02:26 ON 26 AUG 2008

L16          50 S L15  
L17          37 S L16 NOT (2008/SO OR 2007/SO OR 2006/SO OR 2005/SO OR 2004/SO)  
L18          6 S L13  
L19          40 S L17 OR L18

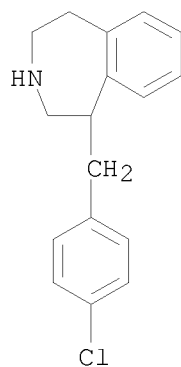
FILE 'REGISTRY' ENTERED AT 13:05:19 ON 26 AUG 2008

L20          450 S L15 AND CAPLUS/LC  
L21          64 S L15 NOT L20

=> d 64

10/561,071

L21 ANSWER 64 OF 64 REGISTRY COPYRIGHT 2008 ACS on STN  
RN 61034-75-1 REGISTRY  
ED Entered STN: 16 Nov 1984  
CN 1H-3-Benzazepine, 1-[(4-chlorophenyl)methyl]-2,3,4,5-tetrahydro- (CA  
INDEX NAME)  
MF C17 H18 Cl N  
CI COM



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

10/561,071

=> d 60-63



10/561,071

L21 ANSWER 60 OF 64 REGISTRY COPYRIGHT 2008 ACS on STN

RN 763046-70-4 REGISTRY

ED Entered STN: 15 Oct 2004

CN 1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-propen-1-yl)-, 7-acetate (CA INDEX NAME)

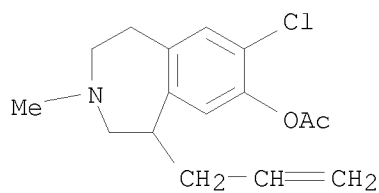
OTHER CA INDEX NAMES:

CN 1H-3-Benzazepin-7-ol, 8-chloro-2,3,4,5-tetrahydro-3-methyl-5-(2-propenyl)-, acetate (ester) (9CI)

MF C16 H20 Cl N O2

CI COM

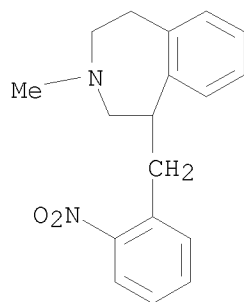
SR CA



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

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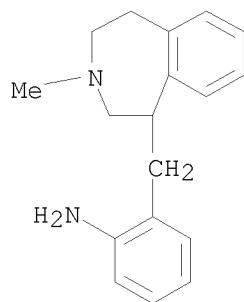
L21 ANSWER 61 OF 64 REGISTRY COPYRIGHT 2008 ACS on STN  
RN 754922-46-8 REGISTRY  
ED Entered STN: 01 Oct 2004  
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-3-methyl-1-[(2-nitrophenyl)methyl]-  
(CA INDEX NAME)  
MF C18 H20 N2 O2  
CI COM  
SR CA



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

10/561,071

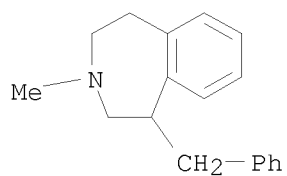
L21 ANSWER 62 OF 64 REGISTRY COPYRIGHT 2008 ACS on STN  
RN 752147-17-4 REGISTRY  
ED Entered STN: 26 Sep 2004  
CN Benzenamine, 2-[(2,3,4,5-tetrahydro-3-methyl-1H-3-benzazepin-1-yl)methyl]-  
(CA INDEX NAME)  
MF C18 H22 N2  
CI COM  
SR CA



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

10/561,071

L21 ANSWER 63 OF 64 REGISTRY COPYRIGHT 2008 ACS on STN  
RN 61034-78-4 REGISTRY  
ED Entered STN: 16 Nov 1984  
CN 1H-3-Benzazepine, 2,3,4,5-tetrahydro-3-methyl-1-(phenylmethyl)- (CA INDEX  
NAME)  
MF C18 H21 N  
CI COM



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

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